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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
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NEWS 3 OCT 06 Increase your retrieval consistency with new formats or for Taiwanese application numbers in CA/CAplus.
NEWS 4 OCT 21 CA/CAplus kind code changes for Chinese patents increase consistency, save time
NEWS 5 OCT 22 New version of STN Viewer preserves custom highlighting of terms when patent documents are saved in .rtf format
NEWS 6 OCT 28 INPADOCDB/INPAFAMDB: Enhancements to the US national patent classification.
NEWS 7 NOV 03 New format for Korean patent application numbers in CA/CAplus increases consistency, saves time.
NEWS 8 NOV 04 Selected STN databases scheduled for removal on December 31, 2010
NEWS 9 NOV 18 PROUSDDR and SYNTHLINE Scheduled for Removal December 31, 2010 by Request of Prous Science
NEWS 10 NOV 22 Higher System Limits Increase the Power of STN Substance-Based Searching
NEWS 11 NOV 24 Search an additional 46,850 records with MEDLINE backfile extension to 1946
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NEWS 16 JAN 24 The new and enhanced DPCI file on STN has been released
NEWS 17 JAN 26 Improved Timeliness of CAS Indexing Adds Value to USPATFULL and USPAT2 Chemistry Patents
NEWS 18 JAN 26 Updated MeSH vocabulary, new structured abstracts, and other enhancements improve searching in STN reload of MEDLINE
NEWS 19 JAN 28 CABA will be updated weekly
NEWS 20 FEB 23 PCTFULL file on STN completely reloaded
NEWS 21 FEB 23 STN AnaVist Test Projects Now Available for Qualified Customers
NEWS 22 FEB 25 LPCI will be replaced by LDPCI

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
AND CURRENT DISCOVER FILE IS DATED 24 JANUARY 2011.

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and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 19:49:26 ON 01 MAR 2011

=>
=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.23	0.23

FILE 'REGISTRY' ENTERED AT 19:49:53 ON 01 MAR 2011
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 28 FEB 2011 HIGHEST RN 1265276-96-7
DICTIONARY FILE UPDATES: 28 FEB 2011 HIGHEST RN 1265276-96-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

Please note that search-term pricing does apply when
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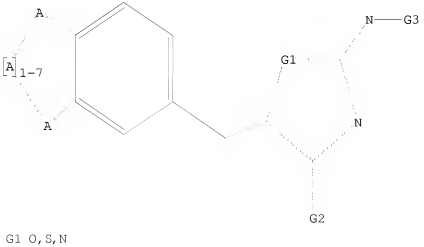
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10.565976\20110301-sa.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N
G2 O,S
G3 C,S,O

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sss sam
SAMPLE SEARCH INITIATED 19:50:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     2474 TO ITERATE

100.0% PROCESSED     2474 ITERATIONS                                 19 ANSWERS
SEARCH TIME: 00.00.01

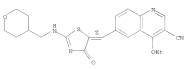
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        46497 TO    52463
PROJECTED ANSWERS:             119 TO      641

L2           19 SEA SSS SAM L1

=> d scan
```

L2 19 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
IN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-(4-oxo-2-[[(tetrahydro-2H-pyran-4-yl)methyl]amino]-5(4H)-thiazolylidene)methyl]-
MF C22 H22 N4 O3 S

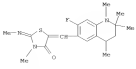
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1)-12

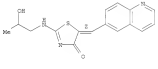
L2 19 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
IN 4-Thiazolidinone, 5-[(7-fluoro-1,2,3,4-tetrahydro-1,2,2,4-tetramethyl-6-quinolinyl)methylene]-3-methyl-2-(methylimino)-
MF C19 H24 F N3 O S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 19 ANSWERS REGISTRY COPYRIGHT 2011 ACS on STN
IN 4(5H)-Thiazolone, 2-[(2-hydroxypropyl)amino]-5-[(6-quinolinylmethylene)-, (5Z)-
MF C16 H15 N3 O2 S

Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1)-0

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=> s l1 sss full
FULL SEARCH INITIATED 19:51:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    49165 TO ITERATE

100.0% PROCESSED    49165 ITERATIONS                          484 ANSWERS
SEARCH TIME: 00.00.01

L3          484 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             197.37     197.60

FILE 'CAPLUS' ENTERED AT 19:51:10 ON 01 MAR 2011
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 1 Mar 2011  VOL 154 ISS 10
FILE LAST UPDATED: 28 Feb 2011  (20110228/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Oct 2010
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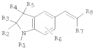
L4 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:811884 CAPLUS
DOCUMENT NUMBER: 153:137745
TITLE: Probe for a biological specimen and labeling method and screening method using the probe
INVENTOR(S): Shinto, Taichi; Miyazaki, Takeshi; Hirose, Masashi; Ookubo, Taketoshi; Watanabe, Kohei; Nomoto, Tsuyoshi; Tanaka, Toshio; Nishimura, Yuhei; Shimada, Yasuhito; Nishimura, Norihiro
PATENT ASSIGNEE(S): Canon Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 101pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2010074326	A1	20100701	WO 2009-JP71866		20091224
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
JP 2010169678	A	20100805	JP 2009-292716		20091224
PRIORITY APPLN. INFO.:			JP 2008-330987	A	20081225

OTHER SOURCE(S): MARPAT 153:137745
GI



I

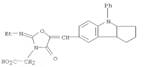
AB Provided is a novel probe for a biol. specimen for labeling by itself and clearly visualizing one of a specific cell and a specific cell organ in a living body, the probe having excellent spectral characteristics and exhibiting excellent storage stability. The probe for a biol. specimen contains, as an active agent, at least one kind of compound represented by a general formula (I, wherein R1 represents one of a hydrogen atom, an alkyl group, an aralkyl group, an alkenyl group, an aryl group, a heterocyclic group, and an acyl group; R2 to R5 each independently represent one of a hydrogen atom, an alkyl group, an aryl group, a carboxylic acid group, a carboxylic acid ester group, and an acyl group, and R2 and R4 may be bonded to each other to form a ring; and R6 represents one of a hydrogen atom, an alkyl group, an alkoxy group, and a halogen atom, R7 and R8 each independently represent one of a hydrogen atom, an alkenyl group, a cyano group, a carboxylic acid group, a carboxylic acid ester group, a sulfonic acid group, an acyl group, and a heterocyclic group, and R7 and R8 may be bonded to each other to form a ring).

IT 1233712-35-0

L4 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RL: ARU (Analytical role, unclassified); BUU (Biological use, unclassified); DGN (Diagnostic use); PRPH (Prophetic); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(probe for a biol. specimen and labeling method and screening method using the probe)

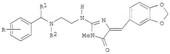
RN 1233712-35-0 CAPLUS
CN 3-Oxazolidineacetic acid, 2-(ethylimino)-5-[(1,2,3,3a,4,8b-hexahydro-4-phenylcyclopent[b]indol-7-yl)methylene]-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2010:86055 CAPLUS
DOCUMENT NUMBER: 152:311797
TITLE: Synthesis and preliminary biological evaluation of new derivatives of the marine alkaloid leucettamine B as kinase inhibitors
AUTHOR(S): Debdab, Mansour; Renault, Steven; Lozach, Olivier; Meijer, Laurent; Paquin, Ludovic; Carreaux, Francois; Bazureau, Jean-Pierre
CORPORATE SOURCE: Sciences Chimiques de Rennes, UMR CNRS 6226, Groupe Ingenierie Chimique & Molecules pour le Vivant (ICMV), Universite de Rennes 1, Rennes, 35042, Fr.
SOURCE: European Journal of Medicinal Chemistry (2010), 45(2), 805-810
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Masson SAS
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 152:311797
GI



I

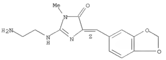
AB New derivs., I (R = Ph, 4-MeOC6H4, 3,4-methylenedioxyphenyl, etc.; R1R2 = bond; R1 = R2 = H), of the marine alkaloid leucettamine B were prepared in five steps with overall yields ranging from 23 to 30%. The key step of our strategy has been the sulfur/nitrogen displacement under solvent-free microwave irradiation of (5Z)-5-benzo[1,3]-dioxo-5-ylmethylene-2-ethylsulfanyl-3,5-dihydroimidazol-4-one with mono-Boc-protected ethylenediamine. After deprotection of the N-Boc group, the amino derivative of leucettamine B was subjected to reductive amination in two steps with retention of configuration of the double bond, to lead to eight new analogs of leucettamine B. The effect of these compds. on CK1α/β, CDK5/p25, and GSK-3α/β were investigated.

IT 1213706-22-9P 1213706-23-0P 1213706-25-2P
1213706-26-3P 1213706-27-4P 1213706-28-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of leucettamine B derivs. via microwave-induced substitution reaction and inhibition of kinases related Alzheimer's disease)

RN 1213706-22-9 CAPLUS
CN 4H-Imidazol-4-one, 2-[(2-aminoethyl)amino]-5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-, hydrochloride (1:1), (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

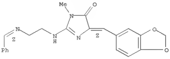
L4 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



● HCl

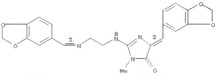
RN 1213706-23-0 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[[2-[(Z)-(phenylmethylene)amino]ethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



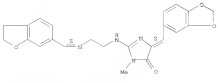
RN 1213706-25-2 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-2-[[2-[(Z)-(1,3-benzodioxol-5-ylmethylene)amino]ethyl]amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



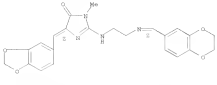
RN 1213706-26-3 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-2-[[2-[(Z)-[(2,3-dihydro-6-benzofuranyl)methylene]amino]ethyl]amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



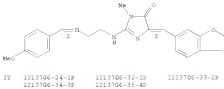
RN 1213706-27-4 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-2-[[2-[[2-[[2,3-dihydro-1,4-benzodioxin-6-yl]methylene]amino]ethyl]amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



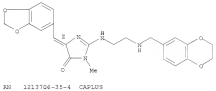
RN 1213706-28-5 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-[[2-[[(E)-[(4-methoxyphenyl)methylene]amino]ethyl]amino]-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



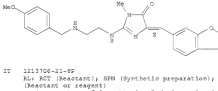
IT 1213706-24-1P 1213706-32-1P 1213706-33-2P
1213706-34-3P 1213706-35-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of isocettamine B derivs. via microwave-induced substitution reaction and inhibition of kinases related Alzheimer's disease)
RN 1213706-24-1 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[[2-[(phenylmethyl)amino]ethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



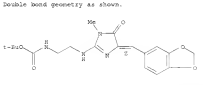
RN 1213706-33-4 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-[[2-[[4-methoxyphenyl)methyl]amino]ethyl]amino)-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



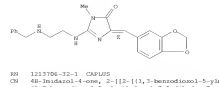
IT 1213706-21-9P
RL: ACT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of isocettamine B derivs. via microwave-induced substitution reaction and inhibition of kinases related Alzheimer's disease)
RN 1213706-21-9 CAPLUS
CN Carbamic acid, N-[2-[[(4Z)-4-[(3,4-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]amino]ethyl]-, 2,2-dimethylethyl ester (CA INDEX NAME)

Double bond geometry as shown.



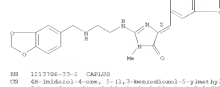
IT 1213706-29-6P 1213706-30-9P 1213706-31-0P
1213706-36-5P 1213706-37-6P 1213706-38-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of isocettamine B derivs. via microwave-induced substitution reaction and inhibition of kinases related Alzheimer's disease)
RN 1213706-29-6 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[[2-[[2-[(4-nitrophenyl)methylene]amino]ethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



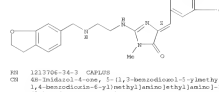
RN 1213706-32-1 CAPLUS
CN 4H-Imidazol-4-one, 2-[[2-[(1,3-benzodioxol-5-ylmethyl)amino]ethyl]amino]-5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



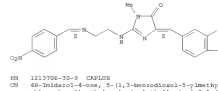
RN 1213706-33-2 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-2-[[2-[[(2,3-dihydro-6-benzofuranyl)methyl]amino]ethyl]amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



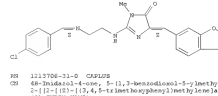
RN 1213706-34-3 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-2-[[2-[[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]amino]ethyl]amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



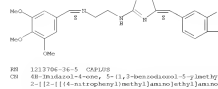
RN 1213706-30-9 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-2-[[2-[[(E)-[(4-chlorophenyl)methylene]amino]ethyl]amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



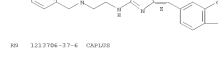
RN 1213706-31-0 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[[2-[[(E)-[(3,4,5-trimethoxyphenyl)methylene]amino]ethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1213706-36-5 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[[2-[[(4-nitrophenyl)methyl]amino]ethyl]amino]-, (5Z)- (CA INDEX NAME)

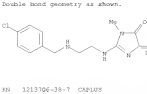
Double bond geometry as shown.



RN 1213706-37-6 CAPLUS

L4 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-2-[[2-[[[4-chlorophenyl]methyl]amino]ethyl]amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1213706-38-7 CAPLUS
CN 4H-Imidazol-4-one, 5-[(1,3-benzodioxol-5-ylmethylene)-2,5-dihydro-3-methyl-2-[[2-[[(3,4,5-trimethoxyphenyl)methyl]amino]ethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2009:825033 CAPLUS
DOCUMENT NUMBER: 151:145654
TITLE: Protein kinase genes showing altered levels of expression in breast cancer tissue and their diagnostic use
INVENTOR(S): Bertucci, Francois; Birnbaum, Daniel; Finetti, Pascal
PATENT ASSIGNEE(S): IPSOGEN, Fr.; INSERM-Institut National de la Sante et de la Recherche Medicale; Institut Paoli-Calmettes
SOURCE: PCT Int. Appl., 9 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

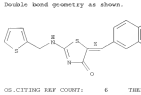
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009085709	A1	20090709	WO 2008-US6622	20080524
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
EP 2235211	A1	20101006	EP 2008-866045	20081224
R: AL, BE, BG, CH, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, TR, AL, BA, MK, RS				
US 20110014191	A1	20110120	US 2010-8105?6	20100929
PRIORITY APPLN. INFO.:			US 2007-9395P	P 20071228
			WO 2008-US6622	W 20080524

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSSP DISPLAY FORMAT
AB The present invention relates to a method for analyzing cancer, e.g., breast cancer comprising detection of differential expression of at least one of the 16 genes encoding serine/threonine kinases listed in Table 1, or of said 16 genes, and to a polynucleotide library comprising at least one said 16 genes. A method of diagnosing breast cancer by anal. of the levels of expression of members of a group of 16 protein kinase genes is described. Levels of expression of the genes can also be used in prognosis and in monitoring the effectiveness of therapies. The levels of expression of these genes were analyzed in 227 samples of breast cancer tissue as part of a larger anal. of gene expression in breast cancer. Validation of the use of these genes in diagnosis and in prognosis is demonstrated.
IT 872573-93-8
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selection for cancer therapy; protein kinase genes showing altered levels of expression in breast cancer tissue and their diagnostic use)
RN 872573-93-8 CAPLUS
CN 4(5H)-Thiazolone, 5-[6-quinolinylmethylene)-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2009:790987 CAPLUS
DOCUMENT NUMBER: 151:543094
TITLE: Cyclin-dependent kinase 1 inhibitor RO-3306 enhances p53-mediated Bax activation and mitochondrial apoptosis in AML
AUTHOR(S): Kojima, Kensuke; Shimanuki, Masaya; Shikami, Masato; Andreeff, Michael; Nakakuma, Hideki
CORPORATE SOURCE: Department of Hematology/Oncology, Wakayama Medical University, Wakayama, Japan
SOURCE: Cancer Science (2009), 100(6), 1128-1136
CODEN: CSCOCM; ISSN: 1347-9032
PUBLISHER: Wiley-Blackwell
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Cyclin-dependent kinase (CDK) 1 and the murine double minute 2 homolog (MDM2)-p53 interaction are potential therapeutic targets in cancers, and their inhibition has been reported to be more proapoptotic in malignant cells compared to normal cells. We investigated the effect of CDK1 inhibition on p53 signaling after simultaneous dual blockade using the CDK1 inhibitor RO-3306 and the MDM2 inhibitor Nutlin-3 in AML. Treatment of growing AML cells with RO-3306 induced G2/M-phase cell cycle arrest and apoptosis in a dose- and time-dependent manner. We found that RO-3306 acts cooperatively with Nutlin-3 to induce mitochondrial apoptosis in a cell cycle-independent fashion. RO-3306 downregulated expression of the antiapoptotic proteins Bcl-2 and survivin and blocked p53-mediated induction of p21 and MDM2. CDK1 siRNA experiments that reduced CDK1 expression affects p53-induced p21 transactivation. We suggest that RO-3306 actively enhances downstream p53 signaling to promote apoptosis and that a combination strategy aimed at both inhibiting CDK1 and activating p53 signaling is potentially effective in AML, where TP53 mutations are rare and downstream p53 signaling is intact.
IT 872573-93-8, RO-3306
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(RO-3306 enhanced nutlin-3-induced p53 protein-mediated Bax protein activation and mitochondrial apoptosis in human acute myeloid leukemia cells)
RN 872573-93-8 CAPLUS
CN 4(5H)-Thiazolone, 5-[6-quinolinylmethylene)-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

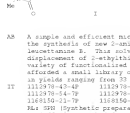


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

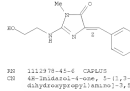
L4 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:581095 CAPLUS
DOCUMENT NUMBER: 151:214209
TITLE: An efficient method for the preparation of new analogs of leucettamine B under solvent-free microwave irradiation
AUTHOR(S): Debdab, Mansour; Renault, Steven; Kid, Samar; Losach, Olivier; Meijer, Laurent; Carreaux, Francois; Bazureau, Jean Pierre
CORPORATE SOURCE: Sciences Chimiques de Rennes, UMR CNRS 6226, Groupe Ingenierie Chimique
& Molecules pour la Vivant (ICMV), Univerite de Rennes 1, Rennes, 35042, Fr.
SOURCE: Heterocycles (2009), 78(5), 1193-1203
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 151:214209
GI

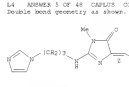


AB A simple and efficient microwave-assisted protocol has been developed for the synthesis of new 2-amino-3,4-dihydro-4H-imidazol-4-one deriva. of leucettamine B. This solvent-free protocol involves sulfur/nitrogen displacement of 2-ethylthio-5-arylene-imidazol-4 (R = SEt) with a variety of functionalized polar primary amines and this general method afforded a small library of the desired pure products, e.g. I (R = PhNH) in yields ranging from 33 to 92% in moderate reaction times (30-100 min).
IT 1112978-43-4P 1112978-45-6P 1112978-51-4P
1112978-54-7P 1112978-66-1P 1168150-20-6P
1168150-21-7P 1168150-22-8P 1168150-23-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(efficient method for the preparation of analogs of leucettamine B via coupling reactions under solvent-free microwave irradiation)
RN 1112978-43-4 CAPLUS
CN 4H-Imidazol-4-one, 5-[(1,3-benzodioxol-5-ylmethyl)amino]-3,5-dihydro-2-[(2-hydroxyethyl)amino]-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

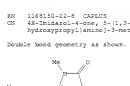


RN 1112978-45-6 CAPLUS
CN 4H-Imidazol-4-one, 5-[(1,3-benzodioxol-5-ylmethyl)-2-[(2,3-dihydroxypropyl)amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)



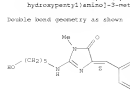
L4 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

Double bond geometry as shown.



RN 1168150-21-7 CAPLUS
CN 4H-Imidazol-4-one, 5-[(1,3-benzodioxol-5-ylmethyl)-3,5-dihydro-3-methyl-2-[(2-[4-morpholinyl]ethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1168150-22-8 CAPLUS
CN 4H-Imidazol-4-one, 5-[(1,3-benzodioxol-5-ylmethyl)-3,5-dihydro-2-[(2-hydroxypropyl)amino]-3-methyl-, (5Z)- (CA INDEX NAME)

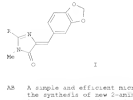
Double bond geometry as shown.

L4 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

Double bond geometry as shown.

RN 1168150-23-9 CAPLUS
CN 4H-Imidazol-4-one, 5-[(1,3-benzodioxol-5-ylmethyl)amino]-3,5-dihydro-2-[(5-hydroxypentyl)amino]-3-methyl-, (5Z)- (CA INDEX NAME)

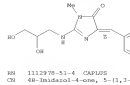
Double bond geometry as shown.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

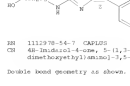
L4 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

Double bond geometry as shown.



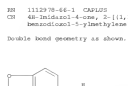
RN 1112978-51-4 CAPLUS
CN 4H-Imidazol-4-one, 5-[(1,3-benzodioxol-5-ylmethyl)amino]-3,5-dihydro-2-[(3-hydroxypropyl)amino]-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



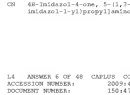
RN 1112978-54-7 CAPLUS
CN 4H-Imidazol-4-one, 5-[(1,3-benzodioxol-5-ylmethyl)amino]-2-[(2,2-dimethoxyethyl)amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1112978-66-1 CAPLUS
CN 4H-Imidazol-4-one, 2-[(1,3-benzodioxol-5-ylmethyl)amino]-5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1168150-20-6 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-[(3R-imidazol-1-yl)propyl]amino]-3-methyl-, (5Z)- (CA INDEX NAME)

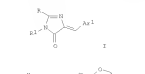
L4 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2009:491763 CAPLUS
DOCUMENT NUMBER: 150:472956
TITLE: Preparation of imidazolone derivatives, derivatives of marine alkaloid leucettamine B as dual specificity tyrosine-regulated kinase-1A inhibitors
INVENTOR(S): Carreaux, Francois; Bazureau, Jean-Pierre; Renault, Steven; Meijer, Laurent; Losach, Olivier
PATENT ASSIGNEE(S): Universite De Rennes 1, Fr.; Centre National De La Recherche Scientifique (C.N.R.S.)
SOURCE: PCT Int. Appl., 54pp.; Chemical Indexing Equivalent to 150:214566 (FR)
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009058252	A2	20090423	WO 2008-FR1152	20080801
WO 2009058252	A3	20090723		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, HR, LV, EA, EP, OA			
FR 2919620	A1	20090206	FR 2007-56230	20070801
CA 2694377	A1	20090423	CA 2008-2694377	20080801
AU 2008315699	A1	20090507	AU 2008-315699	20080801
EP 2185547	A2	20100519	EP 2008-839828	20080801
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS				
JP 2010535180	T	20101118	JP 2010-518711	20080801
MX 2010001170	A	20100625	MX 2010-1170	20100129
IN 2010DN01061	A	20100723	IN 2010-DN1061	20100217
CN 101794542	A	20100721	CN 2008-80103961	20100222
US 20100216855	A1	20100826	US 2010-45290	20100426

PRIORITY APPLN. INFO.: FR 2007-5632 A 20070801
WO 2008-FR1152 W 20080801

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 150:472956
GI



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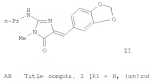


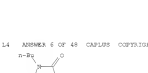
II

AB Title compds. I [R1 = H, (un)substituted Alkyl, (hetero)aryl; Ar1 = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring, or a heteroaryl optionally condensed with a 5-6 membered aryl ring with the heteroatom selected from N, S, O; R = NR2, NHR3, NHCOR4, Ar1; R2 = (un)substituted alkyl, vinyl, benzyl, etc.; R3 = R, R2; Ar2 = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring] were prepared as inhibitors of dual specificity tyrosine-regulated kinase-1A (DYRK1A). Thus, imidazolone II, prepared from glycine Me ester hydrochloride, inhibited DYRK1A with IC50 = 2.3 μM. I are useful for treating Alzheimer, tauopathies, trisomy 21, Pick's disease and neurodegenerative disorders (no data).

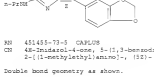
IT 257869-46-8P 257869-53-7P 451455-66-6P
451455-67-7P 451455-68-8P 451455-69-9P
451455-70-2P 451455-73-5P 1112978-40-1P
1112978-41-2P 1112978-42-3P 1112978-43-4P
1112978-44-5P 1112978-45-6P 1112978-46-7P
1112978-47-8P 1112978-48-9P 1112978-49-0P
1112978-51-4P 1112978-52-5P 1112978-53-6P
1112978-54-7P 1112978-56-9P 1112978-57-0P
1112978-58-1P 1112978-59-2P 1112978-70-7P
1112978-72-9P 1112978-73-0P 1112978-81-0P
1112978-82-1P 1112978-83-2P 1112978-86-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of Leucettamine B derivs. as DYRK1A inhibitors)

RN 257869-46-8 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-2-(methylamino)-, (5E)- (CA INDEX NAME)

Double bond geometry as shown.

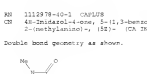


RN 257869-53-7 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[(phenylmethyl)amino]-, (5Z)- (CA INDEX NAME)



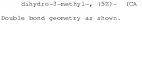
RN 451455-70-2 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-3-phenyl-2-(propylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 451455-73-5 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[(1-methylethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



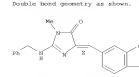
RN 1112978-40-1 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-(methylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 1112978-41-2 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-2-(ethylamino)-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

Double bond geometry as shown.

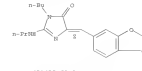


RN 451455-66-6 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-(propylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

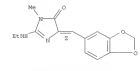
RN 451455-67-7 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-2-(butylamino)-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



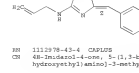
RN 451455-68-8 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-3-butyl-3,5-dihydro-2-(propylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 451455-69-9 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-3-butyl-2-(butylamino)-3,5-dihydro-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

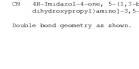


RN 1112978-42-3 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[(2-propen-1-ylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 1112978-43-4 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-2-[[2-hydroxyethyl]amino]-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

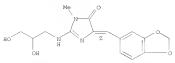


RN 1112978-44-5 CAPLUS
CN 4H-Imidazol-4-one, 2-[(2-aminoethyl)amino]-5-[(3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

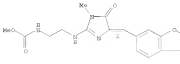
RN 1112978-45-6 CAPLUS
CN 4H-Imidazol-4-one, 5-[(3,7-benzodioxol-5-ylmethylene)-2-[(2,3-dihydroxypropyl)amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



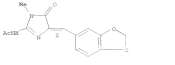
RN 1112978-46-7 CAPLUS
CN Carbamic acid, N-[2-[[(4Z)-4-(7,9-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]amino]ethyl]-, methyl ester (CA INDEX NAME)

Double bond geometry as shown.



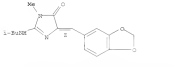
RN 1112978-47-8 CAPLUS
CN Acetamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



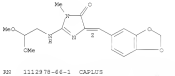
RN 1112978-48-9 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[(2-methylpropyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



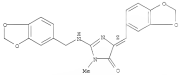
RN 1112978-49-0 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(cyclopropylmethyl)amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



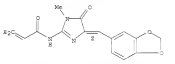
RN 1112978-44-5 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[(3-methylbutyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



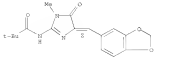
RN 1112978-45-6 CAPLUS
CN 4H-Imidazol-4-one, 2-[(3,5-benzodioxol-5-ylmethyl)amino]-5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



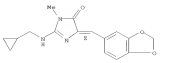
RN 1112978-47-2 CAPLUS
CN 2-Propenamide, N-[(4Z)-4-(7,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



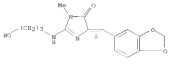
RN 1112978-48-3 CAPLUS
CN Propanamide, N-[(4Z)-4-(7,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]-2,2-dimethyl- (CA INDEX NAME)

Double bond geometry as shown.



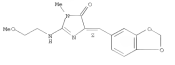
RN 1112978-51-4 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-[(3-hydroxypropyl)amino]-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



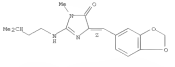
RN 1112978-52-5 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-[(2-methoxyethyl)amino]-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



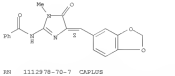
RN 1112978-53-6 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[(3-methylbutyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



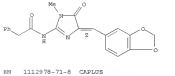
RN 1112978-54-7 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(2,2-dimethoxyethyl)amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



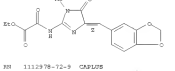
RN 1112978-70-7 CAPLUS
CN Benzeneacetamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



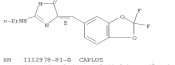
RN 1112978-71-8 CAPLUS
CN Acetic acid, 2-[[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]amino]-2-oxo-, ethyl ester (CA INDEX NAME)

Double bond geometry as shown.



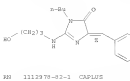
RN 1112978-72-9 CAPLUS
CN 4H-Imidazol-4-one, 5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-3,5-dihydro-3-methyl-2-(propylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



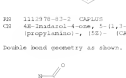
RN 1112978-81-0 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3-butyl-3,5-dihydro-2-[(3-hydroxypropyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



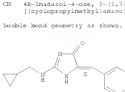
RN 1112978-82-2 CAPLUS
CN 4H-Imidazol-4-one, 5-[3,7-benzodioxol-5-ylmethylene)-2-(ethylamino)-3,5-dihydro-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



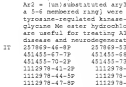
RN 1112978-83-3 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-(propylamino)-, (5Z)- (CA INDEX NAME)

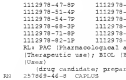
Double bond geometry as shown.



RN 1112978-86-5 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-2-[(cyclopropylmethyl)amino]-3,5-dihydro-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

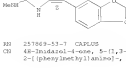




AB Title compds. I [R1 = H, (un)substituted alkyl, (hetero)aryl; Ar1 = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring, or a heteroaryl optionally condensed with a 5-6 membered aryl ring with the heteroatom selected from N, S, O; R = NR2, NHR3, NHCOR4, Ar3; R2 = (un)substituted alkyl, vinyl, benzyl, etc.; R3 = H, R2; Ar2 = (un)substituted aryl with 2 adjacent substituents optionally forming a 5-6 membered ring] were prepared as inhibitors of dual specificity tyrosine-regulated kinase-1A (DYRK1A). Thus, imidazolone II, prepared from glycine Me ester hydrochloride, inhibited DYRK1A with IC50 = 2.3 μM. I are useful for treating Alzheimer, tauopathies, trisomy 21, Pick's disease and neurodegenerative disorders (no data).

IT	257969-46-9	257969-53-7	451455-66-6P
	451455-67-7P	451455-68-8P	451455-69-9P
	451455-70-2P	451455-73-5P	1112978-80-9P
	1112978-81-2P	1112978-82-3P	1112978-83-4P
	1112978-84-5P	1112978-85-6P	1112978-86-7P
	1112978-87-8P	1112978-88-9P	1112978-89-0P
	1112978-90-3P	1112978-91-4P	1112978-92-5P
	1112978-93-6P	1112978-94-7P	1112978-95-8P
	1112978-96-9P	1112978-97-0P	1112978-98-1P
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
	(drug candidate; preparation of Leucettamine B derivs. as DYRK1A inhibitors)
RN	257969-46-9 CAPLUS
CN	4H-Imidazol-4-one, 5-[3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-2-(methylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

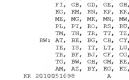


RN 257969-53-7 CAPLUS
CN 4H-Imidazol-4-one, 5-[3,7-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-(phenylmethylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 451455-66-6 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-(propylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 451455-67-7 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-2-(butylamino)-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

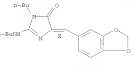
Double bond geometry as shown.

RN 451455-68-8 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3-butyl-3,5-dihydro-2-(propylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

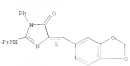
RN 451455-69-9 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3-butyl-2-(butylamino)-3,5-dihydro-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



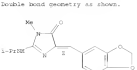
RN 451455-70-2 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-phenyl-2-(propylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



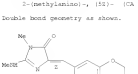
RN 451455-73-5 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[(1-methylethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



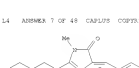
RN 1112978-40-1 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-(methylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



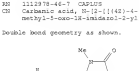
RN 1112978-41-2 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-2-(ethylamino)-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



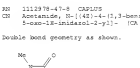
RN 1112978-46-7 CAPLUS
CN Carbamic acid, N-[2-[(4Z)-4-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]ethyl]-, methyl ester (CA INDEX NAME)

Double bond geometry as shown.



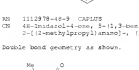
RN 1112978-47-8 CAPLUS
CN Acetamide, N-[(4Z)-4-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



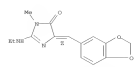
RN 1112978-48-9 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[(2-methylpropyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



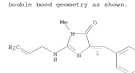
RN 1112978-49-0 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-2-[(cyclopropylmethyl)amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



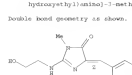
RN 1112978-42-3 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-(2-propen-1-ylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



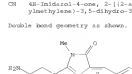
RN 1112978-43-4 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-[[2-hydroxyethyl)amino]-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



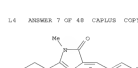
RN 1112978-44-5 CAPLUS
CN 4H-Imidazol-4-one, 2-[(2-aminoethyl)amino)-5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



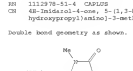
RN 1112978-45-6 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-2-[(2,3-dihydroxypropyl)amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



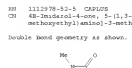
RN 1112978-51-4 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-[[3-hydroxypropyl)amino]-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1112978-52-5 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-[[2-methoxyethyl)amino]-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

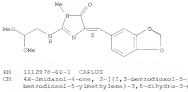


RN 1112978-53-6 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[(3-methylbutyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 1112978-54-7 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-2-[(2,2-dimethoxyethyl)amino]-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

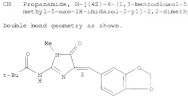


RN 1112978-66-1 CAPLUS
CN 4H-Imidazol-4-one, 2-[(3,3-benzodioxol-5-ylmethyl)amino]-5-[3,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

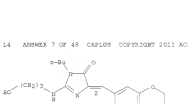
RN 1112978-67-2 CAPLUS
CN 5-Propenamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



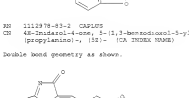
RN 1112978-68-3 CAPLUS
CN Propanamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]-2,2-dimethyl- (CA INDEX NAME)

Double bond geometry as shown.



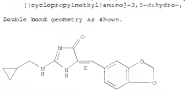
RN 1112978-69-4 CAPLUS
CN Benzamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1112978-82-1 CAPLUS
CN 4H-Imidazol-4-one, 5-[3,3-benzodioxol-5-ylmethylene)-2-(ethylamino)-3,5-dihydro-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 1112978-83-2 CAPLUS
CN 4H-Imidazol-4-one, 5-[3,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-(propylamino)-, (5Z)- (CA INDEX NAME)

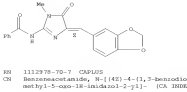
Double bond geometry as shown.

RN 1112978-86-5 CAPLUS
CN 4H-Imidazol-4-one, 5-[3,3-benzodioxol-5-ylmethylene)-2-[(cyclopropylmethyl)amino]-3,5-dihydro-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

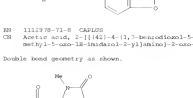
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



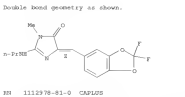
RN 1112978-70-7 CAPLUS
CN Benzeneacetamide, N-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



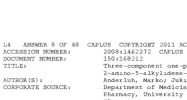
RN 1112978-71-8 CAPLUS
CN Acetic acid, 2-[(4Z)-4-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]amino]-2-oxo-, ethyl ester (CA INDEX NAME)

Double bond geometry as shown.



RN 1112978-72-9 CAPLUS
CN 4H-Imidazol-4-one, 5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene)-3,5-dihydro-3-methyl-2-(propylamino)-, (5Z)- (CA INDEX NAME)

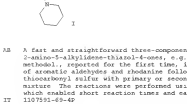
Double bond geometry as shown.



RN 1112978-81-0 CAPLUS
CN 4H-Imidazol-4-one, 5-[3,3-benzodioxol-5-ylmethylene)-3-butyl-3,5-dihydro-2-[(3-hydroxypropyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

ACCESSION NUMBER: 2008:1462272 CAPLUS
DOCUMENT NUMBER: 150:168212
TITLE: Three-component one-pot synthetic route to 2-amino-5-alkylidene-thiazol-4-ones
AUTHOR(S): Anderluh, Marko; Jukic, Marko; Petric, Rok
CORPORATE SOURCE: Department of Medicinal Chemistry, Faculty of Pharmacy, University of Ljubljana, Ljubljana, 1000, Slovenia
SOURCE: Tetrahedron (2008), Volume Date 2009, 65(1), 344-350
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 150:168212
GI



I

AB A fast and straightforward three-component reaction to 2-amino-5-alkylidene-thiazol-4-ones, e.g., I, is described. The one-pot methodol., reported for the first time, involves Knoevenagel condensation of aromatic aldehydes and rhodanine followed by displacement of the thioacetheryl sulfur with primary or secondary amines in the same reaction mixture. The reactions were performed using a dedicated microwave reactor, which enabled short reaction times and easy work-up.

IT 1107593-65-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective preparation of amino alkylidene thiazolones via subsequent Knoevenagel condensation and addition-elimination of aryl/heteroaryl aldehydes, rhodanine and primary/cyclic amines)

RN 1107593-65-6 CAPLUS
CN 4(5H)-Thiazolone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(phenylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

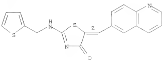
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2008:919058 CAPLUS
DOCUMENT NUMBER: 149:439602
TITLE: CDK1 inhibitors antagonize the immediate apoptosis triggered by spindle disruption but promote apoptosis following the subsequent rereplication and abnormal mitosis
AUTHOR(S): Chan, Ying Wai; Ma, Hoi Tang; Wong, Winnie; Ho, Chui Chui; On, Kin Fan; Poon, Randy Y. C.
CORPORATE SOURCE: Department of Cell Biology, Max Planck Institute of Biochemistry, Martinsried, Germany
SOURCE: Cell Cycle (2008), 7(10), 1449-1461
CODEN: CCEYAS; ISSN: 1538-4101
PUBLISHER: Landes Bioscience
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Spindle-disrupting agents and CDK inhibitors are important cancer therapeutic agents. Spindle toxins activate the spindle-assembly checkpoint and lead to sustained activation of CDK1. Different published results indicate that CDK1 activity is either important or dispensable for the cytotoxicity associated with spindle disruption. Using live cell imaging and various approaches that uncoupled mitotic events, we show that apoptosis was induced by both prolonged nocodazole treatment as well as by inhibition of CDK1 activity after a transient nocodazole block. However, distinct mechanisms are involved in the two types of cell death. The massive apoptosis triggered by nocodazole treatment requires the continuous activation of cyclin B1-CDK1 and is antagonized by premature mitotic slippage. By contrast, apoptosis induced by nocodazole followed by CDK inhibitors occurred after rereplication and multipolar mitosis of the subsequent cell cycle. The presence of dual mechanisms of cytotoxicity mediated by spindle disruption and CDK inhibition may reconcile the various apparent inconsistent published results. These data underscore the essential role of cyclin B1-CDK1 as the basis of apoptosis during mitotic arrest, and the role of mitotic slippage and abnormal mitosis for apoptosis at later stages.

IT 872573-93-8, RO3306
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CDK1 inhibitors antagonize the immediate apoptosis triggered by spindle disruption but promote apoptosis following the subsequent rereplication and abnormal mitosis)
RN 872573-93-8 CAPLUS
CN 4(5H)-Thiazolone, 5-(6-quinolinylmethylene)-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

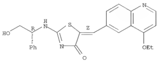
L4 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2007:1116484 CAPLUS
DOCUMENT NUMBER: 147:420045
TITLE: Tumor models employing green fluorescent protein
INVENTOR(S): Qing, Weiguo
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: Eur. Pat. Appl., 69pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1840570	A1	20071003	EP 2007-104650	20070322
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
EP 2037270	A2	20090318	EP 2008-170178	20070322
EP 2037270	A3	20090325		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				
US 20070231789	A1	20071004	US 2007-728403	20070326
US 7749486	B2	20100706		
CA 2582236	A1	20070930	CA 2007-2582236	20070327
JP 2007275060	A	20071025	JP 2007-94652	20070330
SG 136110	A1	20071029	SG 2007-2390	20070330
CN 101046471	A	20071003	CN 2007-10092159	20070402
PRIORITY APPLN. INFO.:			US 2006-788250P P	20060331
			EP 2007-104650 A3	20070322

AB The present invention provides a method of evaluating whether a tumor metastasizes which comprises injecting GFP (green fluorescent protein)-expressing tumor cells into an athymic mouse, such as a nude or SCID mouse, followed by sacrificing the mouse and removing one or more tissues to be evaluated. The removed tissue is homogenized, and the level of GFP in the homogenized sample quantified using laser-scanning fluoroscopy. Preferred GFP-expressing tumor cells are LOX-GFP cells. More preferably, GFP-expressing tumor cells are LOX-GFP-LM cells. The present invention also provides a method for evaluating a candidate drug or protocol for the inhibition of metastasis of a tumor which comprises injecting an athymic mouse with GFP-expressing tumor cells and administering a candidate drug or protocol to the mouse.

IT 879323-76-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tumor models employing green fluorescent protein (GFP) to determination metastasis and evaluate drug candidates)
RN 879323-76-9 CAPLUS
CN 4(5H)-Thiazolone, 5-[(4-ethoxy-6-quinolinyl)methylene]-2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

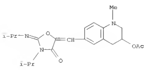
L4 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2007:1089317 CAPLUS
DOCUMENT NUMBER: 147:395222
TITLE: Fabrication of lithographic printing plate
INVENTOR(S): Ishiji, Yohei
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 61pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007249153	A	20070927	JP 2006-123822	20060427
PRIORITY APPLN. INFO.:			JP 2006-36836 A	20060214

OTHER SOURCE(S): MARPAT 147:395222

AB The fabrication method involves (1) irradiating 350-450 nm-wavelength laser to a plate master having a hydrophilic support, a photosensitive layer containing (A) sensitizing dyes having absorption in 350-450 nm-wavelength region and solubility to a pH 4.5-aqueous solution at 25° ≥100 mg/L, (B) initiators, (C) polymerizable compds., and (D) hydrophobic polymer binders having acid value ≤0.3 meq/g, and a protection layer in this order and (2) scrubbing the plate surface with an automatic processor in the presence of a developing solution at pH 2-10 to remove the protection layer and the photosensitive layer in nonexposed parts. The printing plate fabricated as above is also claimed. The plate master with high sensitivity to the above laser and storage stability is processed to give the printing plate in good workability, developability, low environmental load, etc.

IT 950692-97-4
RL: PEP (Physical, engineering or chemical process); TEM (Technical or engineered material use); PROC (Process); USES (Uses)
(sensitizing dyes, photosensitive layer containing; fabrication of lithog. printing plate by laser irradiation and scrubbing)
RN 950692-97-4 CAPLUS
CN 4-Oxazolidinone, 5-[[3-(acetyloxy)-1,2,3,4-tetrahydro-1-methyl-6-quinolinyl]methylene]-3-(1-methylethyl)-2-[(1-methylethyl)imino]- (CA INDEX NAME)



L4 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:1022578 CAPLUS
DOCUMENT NUMBER: 147:365484
TITLE: Preparation of thiazolones for use as PI3 kinase inhibitors
INVENTOR(S): Dhanak, Dashyant; Knight, Steven David
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007103755	A2	20070913	WO 2007-US63113	20070302
WO 2007103755	A3	20080306		

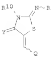
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1993536	A2	20081126	EP 2007-757756	20070302

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2009528384	T	20090806	JP 2008-557506	20070302
US 20090048252	A1	20090219	US 2008-281181	20080829
PRIORITY APPLN. INFO.:			US 2006-778272P P	20060302
			WO 2007-US63113 W	20070302

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:365484
GI



I

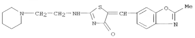
AB The title compds. I [R = H, (un)substituted aryl, cycloalkyl, alkyl; R10 = H, alkyl, (CH2)mOH, (CH2)mCO2H; m = 0-6; Y = O, S, NR11; R11 = H, alkyl, (CH2)pOH, (CH2)pCO2H; p = 0-6; Q = (un)substituted benzoxazolyl, benzimidazolyl, etc.], useful for inhibiting the activity/function of PI3 kinases, were prepared and formulated. E.g., a multi-step synthesis of (5Z)-2-[(2-chlorophenyl)amino]-5-[(1-methyl-1H-benzimidazol-6-yl)methylidene]-1,3-thiazol-4(5H)-one, starting from 3-methoxy-4-nitrobenzoic acid, was given. Also invented is a method of treating one or more disease states selected from: autoimmune disorders, inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, allergy, asthma, pancreatitis, multiorgan failure, kidney diseases, platelet aggregation, cancer, sperm motility, transplantation

L4 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

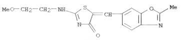
rejection, graft rejection and lung injuries by the administration of substituted thiazolones I.

IT 864274-17-9P 864274-20-4P 864274-21-5P
864274-23-7P 864274-25-9P 864274-26-0P
864274-27-1P 864274-31-7P 864274-32-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted thiazolones as PI3 kinase inhibitors useful in combination therapy of diseases)

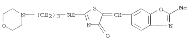
RN 864274-17-9 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2-methyl-6-benzoxazolyl)methylene]-2-[[2-(1-piperidinyl)ethyl]amino]- (CA INDEX NAME)



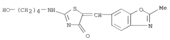
RN 864274-20-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-methoxyethyl)amino]-5-[(2-methyl-6-benzoxazolyl)methylene]- (CA INDEX NAME)



RN 864274-21-5 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2-methyl-6-benzoxazolyl)methylene]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

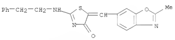


RN 864274-23-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[(4-hydroxybutyl)amino]-5-[(2-methyl-6-benzoxazolyl)methylene]- (CA INDEX NAME)

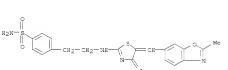


RN 864274-25-9 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2-methyl-6-benzoxazolyl)methylene]-2-[(2-phenylethyl)amino]- (CA INDEX NAME)

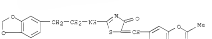
L4 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



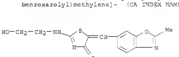
RN 864274-26-0 CAPLUS
CN Benzenesulfonamide, 4-[2-[[4,5-dihydro-5-[(2-methyl-6-benzoxazolyl)methylene]-4-oxo-2-thiazolyl]amino]ethyl]- (CA INDEX NAME)



RN 864274-27-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(1,3-benzodioxol-5-yl)ethyl]amino]-5-[(2-methyl-6-benzoxazolyl)methylene]- (CA INDEX NAME)

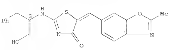


RN 864274-31-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-hydroxyethyl)amino]-5-[(2-methyl-6-benzoxazolyl)methylene]- (CA INDEX NAME)



RN 864274-32-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1S)-1-(hydroxymethyl)-2-phenylethyl]amino]-5-[(2-methyl-6-benzoxazolyl)methylene]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:1022549 CAPLUS
DOCUMENT NUMBER: 147:365483
TITLE: Preparation of thiazolones for use as PI3 kinase inhibitors
INVENTOR(S): Dhanak, Dashyant; Knight, Steven David
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007103754	A2	20070913	WO 2007-US63112	20070302
WO 2007103754	A3	20080306		

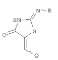
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1993535	A2	20081126	EP 2007-757755	20070302

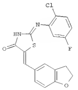
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2009528383	T	20090806	JP 2008-557505	20070302
US 20090023742	A1	20090122	US 2008-281179	20080829
PRIORITY APPLN. INFO.:			US 2006-778428P P	20060302
			WO 2007-US63112 W	20070302

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 147:365483; MARPAT 147:365483
GI



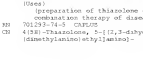
I



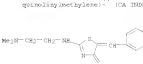
II

AB The title compds. I [R = cycloalkyl, naphthyl, (un)substituted Ph, etc.; Q = benzofuranyl, quinolinyl, Ph, etc.], useful for inhibiting the activity/function of PI3 kinases, were prepared. E.g., a multi-step synthesis of II, starting 2-chloro-5-fluoroaniline, was given. Also invented is a method of treating one or more disease states selected from: autoimmune disorders, inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, allergy, asthma, pancreatitis, multiorgan failure, kidney diseases, platelet aggregation, cancer, sperm motility,

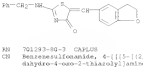
L4 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
transplantation rejection, graft rejection and lung injuries by the administration of substituted thiazolones I
IT 701293-74-3P 701293-76-5P 701293-78-9P
701293-80-3P 701293-81-4P 701293-82-5P
701294-17-9P 901324-18-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological activity); PREP (Preparation); USES (Uses)
(preparation of thiazolone compds. as PI3 kinase inhibitors useful in combination therapy of diseases)
RN 701293-74-3 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2,3-dihydro-5-benzofuranyl)methylene]-2-[[2-[dimethylamino]ethyl]amino]- (CA INDEX NAME)



RN 701293-76-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(dimethylamino)ethyl]amino]-5-[(6-quinolinyl)methylene]- (CA INDEX NAME)



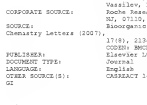
RN 701293-78-9 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2,3-dihydro-5-benzofuranyl)methylene]-2-[(phenylethyl)amino]- (CA INDEX NAME)



RN 701293-80-3 CAPLUS
CN Benzenesulfonamide, 4-[[5-[(2,3-dihydro-5-benzofuranyl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]amino]methyl]- (CA INDEX NAME)

RN 701293-81-4 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2,3-dihydro-5-benzofuranyl)methylene]-2-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

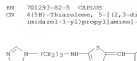
L4 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2007:382127 CAPLUS
DOCUMENT NUMBER: 147:52839
TITLE: Synthesis and activity of quinolinyl-methylene-thiazolinones as potent and selective cyclin-dependent kinase 1 inhibitors
AUTHOR(S): Chen, Shaoqing; Chen, Lu; Le, Nan T.; Zhao, Chunlin; Siddiqui, Ambyrharao; Lou, Jian Ping; Michod, Christophe; Portland, Louis; Jackson, Nicole; Liu, Jin-Yun; Kemmelman, Fredy; Chi, Feng; Tovar, Christian; Kiang, Qing; Chen, Yingqi; Wen, Yang; Vassilev, Lyubomir T.
CORPORATE SOURCE: Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(8), 2134-2138
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:52839
GI



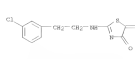
AB A novel series of quinolinyl-methylene-thiazolinones, e.g., I, has been identified as potent and selective cyclin-dependent kinase 1 (CDK1) inhibitors. Their synthesis and structure activity relationships (SAR) are described. Representative compds. from this class reversibly inhibit CDK1 activity in vitro, and block cell cycle progression in human tumor cell lines, suggesting a potential use as antitumor agents.
IT 872573-92-8P 872573-97-2P 872574-03-3P
872574-04-4P 872574-05-5P 872574-06-6P
872574-09-9P 872574-12-3P 872574-14-5P
872574-21-2P 872574-22-4P 872574-27-9P
872574-37-3P 872574-56-6P 872574-59-9P
872574-63-7P 938047-25-0P 938047-34-9P
938047-35-0P 938047-36-1P 938047-38-8P
938047-20-2P 938047-21-3P 938047-22-4P
938047-23-5P 938047-24-6P 938047-25-7P
938047-26-8P 938047-27-9P 938047-28-0P
938047-29-1P 938047-31-5P 938047-34-8P
938047-46-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, cyclin-dependent kinase 1 inhibitory activity, antitumor activity, and SAR of [quinolinylmethylidene]thiazolidinones)
RN 872573-93-8 CAPLUS
CN 4(5H)-Thiazolone, 5-[(6-quinolinylmethylene)-2-[[2-thienylmethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

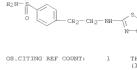
L4 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



RN 701293-82-5 CAPLUS
CN 4(5H)-Thiazolone, 5-[[2,3-dihydro-5-benzofuranyl)methylene]-2-[[3-(1H-imidazol-1-yl)propyl]amino]- (CA INDEX NAME)



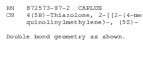
RN 701294-17-9 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(3-chlorophenyl)ethyl]amino]-5-[(6-quinolinyl)methylene]- (CA INDEX NAME)



RN 701294-18-0 CAPLUS
CN Benzenesulfonamide, 4-[2-[[4,5-dihydro-4-oxo-5-[(6-quinolinyl)methylene]-2-thiazolyl]amino]ethyl]- (CA INDEX NAME)

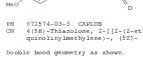
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



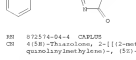
RN 872573-97-2 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(4-methoxyphenyl)ethyl]amino]-5-[(6-quinolinyl)methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



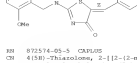
RN 872574-03-3 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(2-ethoxyphenyl)ethyl]amino]-5-[(6-quinolinyl)methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



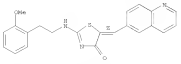
RN 872574-04-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(2-methoxyphenyl)methyl]amino]-5-[(6-quinolinyl)methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



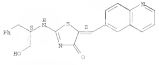
RN 872574-05-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(2-methoxyphenyl)ethyl]amino]-5-[(6-quinolinyl)methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



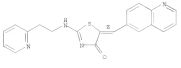
RN 872574-04-6 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1S)-1-(hydroxymethyl)-2-phenylethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



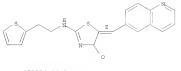
RN 872574-09-9 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(2-pyridinyl)ethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



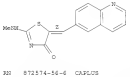
RN 872574-11-3 CAPLUS
CN 4(5H)-Thiazolone, 5-(6-quinolinylmethylene)-2-[[2-(2-thienyl)ethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



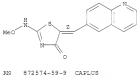
RN 872574-14-6 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(2R)-1-(hydroxymethyl)-2-phenylethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



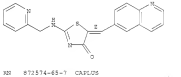
RN 872574-56-6 CAPLUS
CN 4(5H)-Thiazolone, 2-(methoxyamino)-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



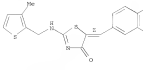
RN 872574-59-9 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-pyrrolidinylmethyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



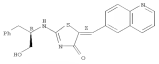
RN 872574-63-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(2-methyl-2-thienyl)methyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



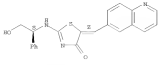
RN 938047-10-0 CAPLUS
CN 4(5H)-Thiazolone, 2-(butylamino)-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



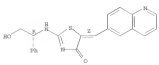
RN 872574-21-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1S)-2-hydroxy-1-phenylethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



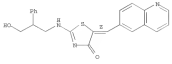
RN 872574-22-6 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



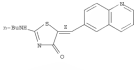
RN 872574-27-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[(3-hydroxy-2-phenylpropyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



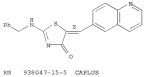
RN 872574-37-3 CAPLUS
CN 4(5H)-Thiazolone, 2-(methylamino)-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



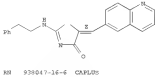
RN 938047-14-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[(phenylmethyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



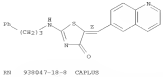
RN 938047-15-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-phenylethyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



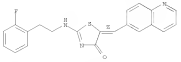
RN 938047-16-6 CAPLUS
CN 4(5H)-Thiazolone, 2-[[3-phenylpropyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



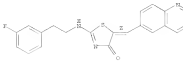
RN 938047-18-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(2-fluorophenyl)ethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



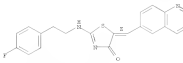
RN 938047-20-2 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(3-fluorophenyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



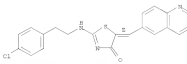
RN 938047-21-3 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(4-fluorophenyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



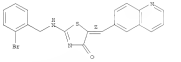
RN 938047-22-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(4-chlorophenyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



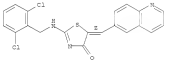
RN 938047-23-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(4-bromophenyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



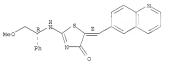
RN 938047-28-0 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(2,6-dichlorophenyl)methyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



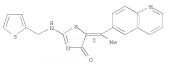
RN 938047-29-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1R)-2-methoxy-1-phenylethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



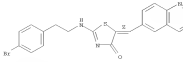
RN 938047-31-5 CAPLUS
CN 4(5H)-Thiazolone, 5-[7-(6-quinolinyl)ethylidene]-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



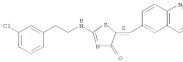
RN 938047-34-8 CAPLUS
CN 4(5H)-Oxazolone, 5-[(6-quinolinylmethylene)-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



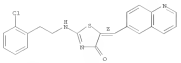
RN 938047-24-6 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(3-chlorophenyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



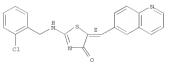
RN 938047-25-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(2-chlorophenyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



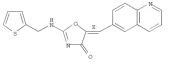
RN 938047-26-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[[(2-chlorophenyl)methyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

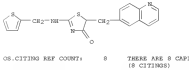


RN 938047-27-9 CAPLUS
CN 4(5H)-Thiazolone, 2-[[[(2-bromophenyl)methyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 938047-46-2 CAPLUS
CN 4(5H)-Thiazolone, 5-(6-quinolinylmethyl)-2-[(2-thienylmethyl)amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:330181 CAPLUS

DOCUMENT NUMBER: 146:358833

TITLE: Preparation of thiazolinone and oxazolinone derivatives as PTP-1B inhibitors

INVENTOR(S): Banerjee, Rakesh Kumar; Gupta, Ramesh Chandra; Tuli, Davinder; Rode, Milind; Shuthar, Bharat; Umrani, Dhananjay; Pathak, Padmaja; Choksi, Tejal; Chaudhary, Anita

PATENT ASSIGNEE(S): Torrent Pharmaceuticals Ltd., India

SOURCE: PCT Int. Appl., 110pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007032028	A1	20070322	WO 2006-IN368		20060915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
IN 2005KO00860	A	20090619	IN 2005-KO860		20050916
AU 2006290250	A1	20070322	AU 2006-290250		20060915
CA 2622518	A1	20070322	CA 2006-2622518		20060915
EP 1934192	A1	20080625	EP 2006-796203		20060915
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS					
JP 2009508848	T	20090305	JP 2008-530756		20060915
ZA 2008002078	A	20090826	ZA 2008-2078		20080305
CN 101268060	A	20080917	CN 2006-80034134		20080317
MX 2008003783	A	20080507	MX 2008-3783		20080318
KR 2008056730	A	20080623	KR 2008-7009160		20080416
US 20090088432	A1	20090402	US 2008-992016		20080813
PRIORITY APPLN. INFO.:			IN 2005-KO860	A	20050916
			WO 2006-IN368	W	20060915

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:358833; MARPAT 146:358833

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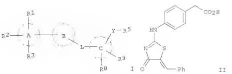


AB The title thiazolinone and oxazolinone derivs. I [wherein ring A = naphthalene, biphenyl, etc.; ring B = (un)substituted

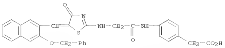
L4 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

(thiazolinone)methylene, (oxazolinone)methylene, etc.; ring C = benzene, naphthalene, etc.; L = NH, NHCH2, etc.; Y = (un)substituted CH2, CH2CH2, or CH2CH2CH2; R1 = H, -CH2CO2H, etc.; R2 and R3 = independently H, -CH2CO2H, etc.; R5 = COCO2H, (un)substituted CO2H, etc.; R8 and R9 = independently H, halo, alkyl, etc.] or pharmaceutically acceptable salts or prodrugs thereof are prepd. as protein tyrosine phosphatase (PTP) inhibitors for treating or preventing PTP-1B mediated diseases. For example, the compd. II was prepd. in a multi-step synthesis. Some of the compds. I showed good inhibitory activities against human PTP-1B.

IT 929701-41-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of thiazolinone and oxazolinone derivs. as PTP-1B inhibitors)

RN 929701-41-7 CAPLUS

CN Benzeneacetic acid, 4-[[2-[[4,5-dihydro-4-oxo-5-[[3-(phenylmethoxy)-2-naphthalenyl]methylene]-2-thiazolyl]amino]acetyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:178211 CAPLUS

DOCUMENT NUMBER: 146:225243

TITLE: Cell cycle synchronization at the G2/M phase border by reversible inhibition of CDK1

AUTHOR(S): Vassilev, Lyubomir T.

CORPORATE SOURCE: Discovery Oncology; Roche Research Center, Hoffmann-La Roche Inc, Nutley, NJ, 07110, USA

SOURCE: Cell Cycle (2006), 5(22), 2555-2556
CODEN: CCEYAS; ISSN: 1538-4101

PUBLISHER: Landes Bioscience

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

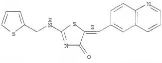
AB A review. Chemical agents for cell cycle synchronization have greatly facilitated the study of biochem. events driving cell cycle progression. G1, S, and M phase inhibitors have been developed and used widely in cell cycle research. However, currently there are no effective G2 phase inhibitors and synchronization of cultured cells in G2 phase has been challenging. Recently, a selective CDK1 inhibitor, RO-3306, has been identified that reversibly arrests proliferating human cells at the G2/M phase border and provides a novel means for cell cycle synchronization. A single-step protocol using RO-3306 permits the synchronization of >95% of cycling cancer cells in G2 phase. RO-3306 arrested cells enter mitosis rapidly after release from the G2 block thus allowing for isolation of mitotic cells without microtubule poisons. RO-3306 represents a new mol. tool for studying CDK1 function in human cells.

IT 872573-93-8, RO-3306
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(cell cycle synchronization at the G2/M phase border by reversible inhibition of CDK1)

RN 872573-93-8 CAPLUS

CN 4(5H)-Thiazolone, 5-(6-quinolinylmethylene)-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:116304 CAPLUS

DOCUMENT NUMBER: 146:216421

TITLE: Photosensitive composition with improved short wavelength sensitivity containing sensitizing dye

INVENTOR(S): Hanaki, Naoyuki

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 74pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

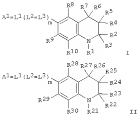
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007025059	A	20070201	JP 2005-204537	20050713
PRIORITY APPLN. INFO.:			JP 2005-204537	20050713

OTHER SOURCE(S): MARPAT 146:216421

GI



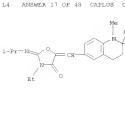
AB Disclosed is a photosensitive composition comprising (a) ≥1 sensitizing dye represented by I or II (R1,21 = alkyl, aryl, heterocyclyl; R2-10, R22-30 = H, monovalent substituent; L1-3, L21,22 = methine; A1,21 = aromatic, heterocyclyl; and m, n = integer ≥0), (b) an initiator capable of generating an acid, a base, or a radical, and (c) a compound which changes its chemical or phys. characteristic irreversibly upon an interaction with an acid, a base, or a radical. The photosensitive composition is used for a CTP system.

IT 922509-76-0
RL: TEM (Technical or engineered material use); USES (Uses)
(Photosensitive composition with improved short wavelength sensitivity containing sensitizing dye)

RN 922509-76-0 CAPLUS

CN 4-Oxazolidinone, 3-ethyl-2-[(1-methylethyl)imino]-5-[(1,2,3,4-tetrahydro-1,2,2-trimethyl-6-quinolinyl)methylene]- (CA INDEX NAME)

L4 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



L4 ANSWER 18 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

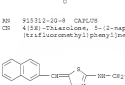
ACCESSION NUMBER: 2006:1225881 CAPLUS
DOCUMENT NUMBER: 146:7948
TITLE: Preparation of 2,5-disubstituted thiazol-4-ones as vanilloid receptor VR1 ligands.
INVENTOR(S): Frank, Robert; Klaus, Achim; Jostock, Ruth
PATENT ASSIGNEE(S): Grunenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 153pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006122777	A2	20061123	WO 2006-EP4666	20060517
WO 2006122777	A3	20070222		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102005024612	A1	20061123	DE 2005-102005024612	20050520
CA 2609902	A1	20061123	CA 2006-2609902	20060517
EP 1890695	A2	20080227	EP 2006-753692	20060517
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008540595	T	20081106	JP 2008-511623	20060517
US 20090215758	A1	20090827	US 2008-915156	20080210
PRIORITY APPLN. INFO.:			DE 2005-102005024612A	20050520
			WO 2006-EP4666 W	20060517

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LOST DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 146:7948; MARPAT 146:7948
GI

AB Title compds. [I; R1 = (substituted) (unsatd.) (heteroatom-containing) (condensed) cycloalkaphatyl, aryl, amino, arylamino, ureido; R2 = (substituted) (unsatd.) (heteroatom-containing) (condensed) cycloalkphatyl, CHOR, etc.; Q = O, S, NR, NNR, NSO2R; X = (substituted) (fused) aryl, heteroaryl, were prepared Thus, 4-methoxybenzonitrile, thioglycolic acid, and Et3N were kept in EtOH to give 27% 2-(4-hydroxy-3-methoxyphenyl)-1,3-thiazol-4-one. The latter was refluxed overnight with 4-methylbenzaldehyde and NaOAc in HOAc to give 74% 2-(4-hydroxy-3-methoxyphenyl)-5-(4-methylbenzylidene)thiazole-4-one. Tested 1 showed IC50 values for affinity to human VR1 receptors of 1.02 to >25.

L4 ANSWER 18 OF 48 CAPLUS (Continued)
IT 915312-09-3 915312-20-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of thiazolones as vanilloid receptor VR1 ligands)
RN 915312-09-3 CAPLUS
CN 4(5H)-Thiazolone, 2-[[4-methylphenyl)methyl]amino]-5-[(2-naphthalenylmethyl)ene]- (CA INDEX NAME)



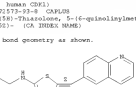
RN 915312-20-0 CAPLUS
CN 4(5H)-Thiazolone, 5-(2-naphthalenylmethylene)-2-[[(4-trifluoromethyl)phenyl]methyl]amino]- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:715150 CAPLUS
DOCUMENT NUMBER: 145:257890
TITLE: Selective small-molecule inhibitor reveals critical mitotic functions of human CDK1
AUTHOR(S): Vassilev, Lyubomir T.; Tovar, Christian; Chen, Shaoqing; Knezevic, Dejan; Zhao, Xiaoyu; Sun, Hongmao; Heimbrook, David C.; Chen, Li
CORPORATE SOURCE: Department of Discovery Oncology, Roche Research Center, Hoffmann-La Roche, Inc., Nutley, NJ, 07110, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2006), 103(28), 10660-10665
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
AB CDK1 is a nonredundant cyclin-dependent kinase (CDK) with an essential role in mitosis, but its multiple functions still are poorly understood at a mol. level. Here we identify a selective small-mol. inhibitor of CDK1 that reversibly arrests human cells at the G2/M border of the cell cycle and allows for effective cell synchronization in early mitosis. Inhibition of CDK1 during cell division revealed that its activity is necessary and sufficient for maintaining the mitotic state of the cells, preventing replication origin licensing and premature cytokinesis. Although CDK1 inhibition for up to 24 h is well tolerated, longer exposure to the inhibitor induces apoptosis in tumor cells, suggesting that selective CDK1 inhibitors may have utility in cancer therapy.
IT 875573-93-8, Bo 3304
RL: BMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selective small-mol. inhibitor reveals critical mitotic functions of human CDK1)
RN 875573-93-8 CAPLUS
CN 4(5H)-Thiazolone, 5-(6-quinolylmethylene)-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 76 THERE ARE 76 CAPLUS RECORDS THAT CITE THIS RECORD (76 CITINGS)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2006:633542 CAPLUS
DOCUMENT NUMBER: 145:93024
TITLE: Photosensitive composition containing sensitizing dye
INVENTOR(S): Tahiji, Yohei; Shibuya, Akinori
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 56 pp.
CODEN: JKXOAP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

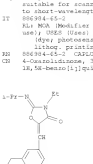
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006137809	A	20060529	JP 2005-219199	20050728
PRIORITY APPLN. INFO.:			JP 2004-331763	A 20041116
OTHER SOURCE(S):	MARPAT 145:93024			

GI

AB The composition contains (A) a sensitizing dye I (A = an aromatic ring or a hetero ring having a substituent; X3 = H or monovalent nonmetallic group; X4 and X5 = monovalent nonmetallic group; X6 = H or a monovalent nonmetallic group; A, X3, X4, X5, and/or X6 are bonded to form alicyclic or aromatic ring; Z = 5- or 6-membered heterocyclic), (B) an initiator generating a radical, an acid, or a base, and (C) a polymerizing compound The composition, especially suitable for scanning lithog. printing plates, provides high sensitivity to short-wavelength semiconductor laser.

IT 886904-65-2
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(dye; photosensitive composition containing IR-absorbing dye for scanning lithog. printing plate)

RN 886904-65-2 CAPLUS
CN 4-Oxazolidinone, 3-ethyl-2-[(1-methylethyl)imino]-5-[(2,3,6,7-tetrahydro-1H,5H-benzo[ij]quinolizin-9-yl)methylene]- (CA INDEX NAME)



ACCESSION NUMBER: 2006:465092 CAPLUS
DOCUMENT NUMBER: 144:490394
TITLE: Radiation curable ink-jet inks containing polymerization initiation sensitizing dyes
INVENTOR(S): Tsuchimura, Tomotaka; Kunita, Kazuto
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan; Fujifilm Corporation
SOURCE: Eur. Pat. Appl., 205 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1657286	A2	20060517	EP 2005-24674	20051111
EP 1657286	A3	20091223		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
JP 2006137876	A	20060601	JP 2004-329435	20041112
JP 2006249154	A	20060921	JP 2005-64636	20050308
JP 4619832	B2	20110126		
JP 2006249155	A	20060921	JP 2005-64637	20050308
JP 4619833	B2	20110126		
US 20060218823	A1	20061005	US 2005-272367	20051114
PRIORITY APPLN. INFO.:			JP 2004-329435	A 20041112
			JP 2005-64636	A 20050308
			JP 2005-64637	A 20050308

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSTB DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 144:490394

AB The invention relates to an ink-jet printing ink having high sensitivity to radiation (UV), excellent storage stability, and ability to form high quality image, as well as to a method for producing a planog. printing plate which does not require development processing and has high printing durability. The radiation-curable ink-jet printing ink comprises a colorant, a polymerizable compound, and a polymerization initiation system comprising a polymerization initiator and a sensitizing dye selected from specific compds. The method for producing a planog. printing plate comprises the steps of ejecting the ink onto a hydrophilic support to obtain a hydrophobic image, and irradiating the ink on the support. Thus, a yellow ink having a curing sensitivity of 100 mJ/cm2 was produced by mixing a yellow pigment dispersion (20, stearyl acrylate (60), 2-hydroxyethyl acrylate-terminated bisphenol A diisocyanate-1,6-hexanediol copolymer having a mol. weight of 1,500 (10), pentaerythritol triacrylate-terminated 2,4-butanediol-hexamethylene diisocyanate copolymer having a mol. weight of 1,500 (5), 5-[(4-(diphenylamino)phenyl)methylene]-3-(2-phenylethyl)-2,4-oxazolidinedione as a sensitizing dye (1), and polyoxyalkylene alkyl ether-modified dimethylpolysiloxane (DOI 7941 as a polymerization initiator (4 parts), the pigment dispersion comprising C.I. Pigment Yellow 12 (10), a Solsperse-type dispersant (5), and stearyl acrylate (85 parts).

IT 886904-65-2
RL: CAT (Catalyst use); USES (Uses)
(sensitizing dye; UV-curable ink-jet inks containing polymerization initiation sensitizing dyes)

RN 886904-65-2 CAPLUS
CN 4-Oxazolidinone, 3-ethyl-2-[(1-methylethyl)imino]-5-[(2,3,6,7-tetrahydro-1H,5H-benzo[ij]quinolizin-9-yl)methylene]- (CA INDEX NAME)

ACCESSION NUMBER: 2006:967076 CAPLUS
DOCUMENT NUMBER: 144:198359
TITLE: PYI kinase gamma inhibitors for the treatment of anemia
INVENTOR(S): Wehrmann, Reinhard; Moeller, Angelika; Kommel, Christian
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040318	A2	20060420	WO 2005-EP55156	20051011
WO 2006040318	A3	20060810		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

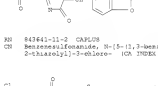
AU 2005293556	A1	20060420	AU 2005-293556	20051011
CA 2584446	A1	20060420	CA 2005-2584446	20051011
EP 1807075	A2	20070718	EP 2005-801722	20051011
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101054633	A	20071017	CN 2005-80038804	20051011
JP 2008513355	T	20080501	JP 2007-536146	20051011
ZA 200702435	A	20080625	ZA 2007-2435	20051011
NO 2007017416	A	20071001	NO 2005-17416	20051011
IN 2007DN02459	A	20070903	IN 2007-DN2450	20070402
MX 2007004702	A	20070907	MX 2007-4702	20070411
NO 2007002793	A	20070509	NO 2007-2793	20070509
US 2008242773	A1	20080216	US 2007-664969	20070710

PRIORITY APPLN. INFO.: EP 2004-104997 A 20041012
WO 2005-EP55156 W 20051011

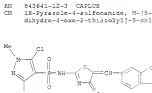
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 144:198359

GI

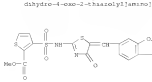
AB This present invention is related to the use of selective PI kinase gamma inhibitors for the manufacture of a medicament for the treatment of disorders related to erythropoyte deficiency. Specifically, the present invention is related to the use of selective PI3 Kinase gamma inhibitors, e.g.



RN 843641-10-1 CAPLUS
CN Ethanesulfonamide, N-[3-(3,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



RN 843641-11-2 CAPLUS
CN Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-3-chloro- (CA INDEX NAME)



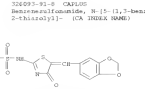
RN 843641-12-3 CAPLUS
CN 1H-Pyrazole-4-sulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-5-chloro-1,3-dimethyl- (CA INDEX NAME)

RN 843641-13-4 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

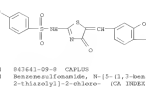
IT 176529-48-3 326093-91-8 419552-35-5
843641-09-8 843641-10-1 843641-11-2
843641-12-3 843641-13-4 843641-14-5
843641-15-6 843641-16-7 843641-17-8
843641-18-9 843641-19-0 843641-20-3
843641-21-4 843641-22-5 843641-23-6
843641-24-7 843641-25-8 843641-26-9
843641-27-0 843641-28-1 843641-29-2
843641-30-5 843641-31-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PI3 kinase gamma inhibitors for treatment of anemia)

RN 176529-48-3 CAPLUS
CN Cyanamide, [5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

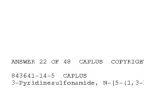
RN 326093-91-8 CAPLUS
CN Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



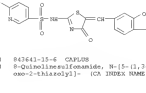
RN 419552-35-5 CAPLUS
CN Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (CA INDEX NAME)



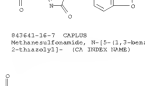
RN 843641-09-8 CAPLUS
CN Benzenesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-2-chloro- (CA INDEX NAME)



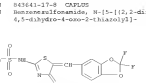
RN 843641-14-5 CAPLUS
CN 3-Pyridinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-6-chloro- (CA INDEX NAME)



RN 843641-15-6 CAPLUS
CN 8-Quinolinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



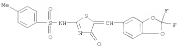
RN 843641-16-7 CAPLUS
CN Methanesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



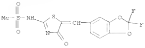
RN 843641-17-8 CAPLUS
CN Benzenesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

RN 843641-18-9 CAPLUS
CN Benzenesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (CA INDEX NAME)

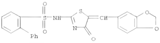
L4 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



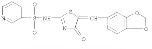
RN 843641-19-0 CAPLUS
CN Methanesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



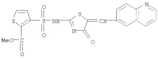
RN 843641-20-3 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



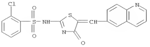
RN 843641-21-4 CAPLUS
CN 3-Pyridinesulfonamide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



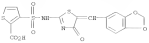
RN 843641-22-5 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



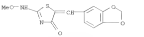
L4 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
RN 843641-23-6 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]- (CA INDEX NAME)



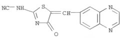
RN 843641-24-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]- (CA INDEX NAME)



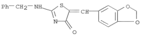
RN 843641-25-8 CAPLUS
CN 4(5H)-Thiazolone, 5-(1,3-benzodioxol-5-ylmethylene)-2-(methoxyamino)- (CA INDEX NAME)



RN 843641-26-9 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-(6-quinoxalinylmethylene)-2-thiazolyl]- (9CI) (CA INDEX NAME)

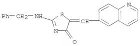


RN 843641-27-0 CAPLUS
CN 4(5H)-Thiazolone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(phenylmethyl)amino]- (CA INDEX NAME)

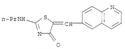


RN 843641-28-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[(phenylmethyl)amino]-5-(6-quinolinylmethylene)- (CA INDEX NAME)

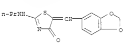
L4 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



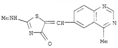
RN 843641-29-2 CAPLUS
CN 4(5H)-Thiazolone, 2-(propylamino)-5-(6-quinolinylmethylene)- (CA INDEX NAME)



RN 843641-30-5 CAPLUS
CN 4(5H)-Thiazolone, 5-(1,3-benzodioxol-5-ylmethylene)-2-(propylamino)- (CA INDEX NAME)



RN 843641-31-6 CAPLUS
CN 4(5H)-Thiazolone, 2-(methylamino)-5-[(4-methyl-6-quinazolinyl)methylene]- (CA INDEX NAME)

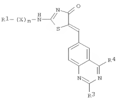


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2006:362447 CAPLUS
DOCUMENT NUMBER: 144:412525
TITLE: Preparation of quinazolinylmethylene thiazolinones as CDK1 inhibitors
INVENTOR(S): Chen, Li; Chen, Shaoqing; Liu, Jin-Jun
PATENT ASSIGNEE(S): F.Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040050	A1	20060420	WO 2005-EP10703	20051005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005293832	A1	20060420	AU 2005-293832	20051005
CA 2583311	A1	20060420	CA 2005-2583311	20051005
US 20060084804	A1	20060420	US 2005-244028	20051005
US 7501428	B2	20090310		
EP 1802614	A1	20070704	EP 2005-794407	20051005
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101039939	A	20070919	CN 2005-80034902	20051005
CN 101039939	B	20100707		
JP 2008516904	T	20080522	JP 2007-536045	20051005
BR 2005018164	A	20081104	BR 2005-18164	20051005
RU 2405782	C2	20101210	RU 2007-117766	20051005
MX 2007004274	A	20070516	MX 2007-4274	20070411
KR 2007053343	A	20070523	KR 2007-7008501	20070413
KR 890533	B1	20090327		
IN 2007CN01498	A	20070831	IN 2007-CN1498	20070413
PRIORITY APPLN. INFO.:			US 2004-618612P P	20041014
			US 2005-681079P P	20050513
			WO 2005-EP10703 W	20051005

OTHER SOURCE(S): CASREACT 144:412525; MARPAT 144:412525
GI



I

AB Title compds. represented by the formula I [wherein R1 = H, alkyl, (un)substituted (hetero)aryl or (heterocyclyl); X = (cyclo)alkylene; R3 = H, alkyl)amino, -SHCO-alkyl; R4 = H, alkyl, -O(CH2CH2O)n-alkyl; n = 0 or 7; n = 0 or 1; with the proviso; and pharmaceutically acceptable salts thereof] were prepared as CDK1 (Cyclin-dependent kinase 1) inhibitors. For example, reaction of 2-methylsulfanyl-5-quinazolin-6-ylmethylene)thiazol-4-one (preparation given) with thiophene methylamine gave I (R1Dn = 2-(thien-2-yl)ethyl, R3 = R4 = H), which showed CDK1/Cyclin B activity with R1 of 1.22 uM. Thus, the title compds. and their pharmaceutical compns. are useful as CDK1 inhibitors for treatment of cancers (no data).

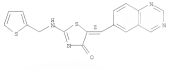
IT 883867-25-2, (E)-5-[2-(Quinazolin-6-ylmethylidene)-2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one 883867-29-6P, (E)-2-[[2-(3-fluorophenyl)ethyl]amino]-5-[1-(quinazolin-6-yl)methylidene]thiazol-4-one 883867-33-2P 883867-34-3P, (E)-2-[[3-Fluorobenzyl]amino]-5-[1-(quinazolin-6-yl)methylidene]thiazol-4-one 883867-36-5P, (E)-5-[1-(4-Ethoxyquinazolin-6-yl)methylidene]-2-[[2-(3-fluorophenyl)ethyl]amino]thiazol-4-one 883867-44-5P, 2-[2-Chlorobenzyl]amino]-5-[(4-ethoxy-2-[methylamino]quinazolin-6-yl)methylene]thiazol-4-one 883867-46-7P, 5-[(4-Ethoxy-2-methylamino)quinazolin-6-yl)methylene]-2-[[(3-methylthien-2-yl)methyl]amino]thiazol-4-one 883867-47-8P, 2-[[3-Chloro-4-fluorobenzyl]amino]-5-[(4-ethoxy-2-(methylamino)quinazolin-6-yl)methylene]thiazol-4-one 883867-48-9P, 2-[[2-Chloro-4-fluorobenzyl]amino]-5-[(4-ethoxy-2-(methylamino)quinazolin-6-yl)methylene]thiazol-4-one 883867-49-0P, 2-[[2-Chloro-6-methylbenzyl]amino]-5-[(4-ethoxy-2-(methylamino)quinazolin-6-yl)methylene]thiazol-4-one 883867-50-3P, 5-[(4-Ethoxy-2-(methylamino)quinazolin-6-yl)methylene]-2-[(thiophen-2-ylmethyl)amino]thiazol-4-one 883867-51-4P, 5-[(4-Ethoxy-2-(methylamino)quinazolin-6-yl)methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]thiazol-4-one 883867-52-5P, 5-[(4-Ethoxy-2-(methylamino)quinazolin-6-yl)methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]thiazol-4-one 883867-53-6P, 5-[(4-Ethoxy-2-(methylamino)quinazolin-6-yl)methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]thiazol-4-one 883867-54-7P, 5-[1-(4-Ethoxy-2-methylamino)quinazolin-6-yl)methylidene]-2-[[2-(3-fluorophenyl)ethyl]amino]thiazol-4-one 883867-55-8P, 5-[(2-Quinazolin-6-yl)methylidene]-2-[(thiophen-2-ylmethyl)amino]thiazol-4-one 883867-56-9P, 5-[1-(Quinazolin-6-yl)methylidene]-2-[(thiophen-2-ylmethyl)amino]thiazol-4-one 883867-57-0P, 2-[(4-Hydroxyethyl-3-phenylethyl)amino]-5-[1-(quinazolin-6-yl)methylidene]thiazol-4-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

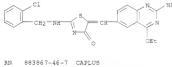
(preparation of quinazolinylmethylene thiazolinones as CDK1 inhibitors for treatment of cancers)

RN 883867-25-2 CAPLUS
CN 4(5H)-Thiazolone, 5-[(6-quinazolinylmethylene)-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

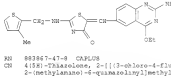
Double bond geometry as shown.



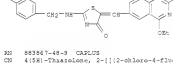
RN 883867-29-6 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-(3-fluorophenyl)ethyl]amino)-5-(6-quinazolinylmethylene)-, (5Z)- (CA INDEX NAME)



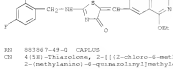
RN 883867-46-7 CAPLUS
CN 4(5H)-Thiazolone, 5-[(4-ethoxy-2-(methylamino)-6-quinazolinyl]methylene]-2-[[(3-methyl-2-thienyl)methyl]amino]- (CA INDEX NAME)



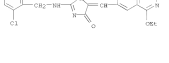
RN 883867-47-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(3-chloro-4-fluorophenyl)methyl]amino]-5-[(4-ethoxy-2-(methylamino)-6-quinazolinyl]methylene]- (CA INDEX NAME)



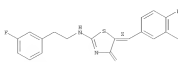
RN 883867-48-9 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-chloro-4-fluorophenyl)methyl]amino]-5-[(4-ethoxy-2-(methylamino)-6-quinazolinyl]methylene]- (CA INDEX NAME)



RN 883867-49-0 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-chloro-6-methylphenyl)methyl]amino]-5-[(4-ethoxy-2-(methylamino)-6-quinazolinyl]methylene]- (CA INDEX NAME)

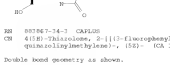


Double bond geometry as shown.



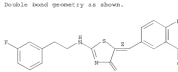
RN 883867-33-2 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(3R)-1-(hydroxymethyl)-2-phenylethyl]amino]-5-[(6-quinazolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



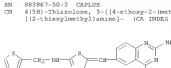
RN 883867-34-3 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(3-fluorophenyl)methyl]amino]-5-[(6-quinazolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 883867-36-5 CAPLUS
CN 4(5H)-Thiazolone, 5-[(4-ethoxy-6-quinazolinyl)methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]-, (5Z)- (CA INDEX NAME)

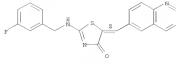
Double bond geometry as shown.



RN 883867-44-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(2-chlorophenyl)methyl]amino]-5-[(4-ethoxy-2-(methylamino)-6-quinazolinyl]methylene]- (CA INDEX NAME)

RN 883867-50-3 CAPLUS
CN 4(5H)-Thiazolone, 5-[(4-ethoxy-2-(methylamino)-6-quinazolinyl]methylene]-2-[(2-thienylmethyl)amino]- (CA INDEX NAME)

RN 883867-51-4 CAPLUS
CN 4(5H)-Thiazolone, 5-[(4-ethoxy-2-(methylamino)-6-quinazolinyl]methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]- (CA INDEX NAME)



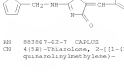
RN 883867-57-0 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(3-fluorophenyl)ethyl]amino]-5-(6-quinazolinylmethylene)- (CA INDEX NAME)

RN 883867-58-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[[3-fluorophenyl)methyl]amino]-5-(6-quinazolinylmethylene)- (CA INDEX NAME)

RN 883867-59-2 CAPLUS
CN 4(5H)-Thiazolone, 5-[(4-ethoxy-6-quinazolinyl)methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]- (CA INDEX NAME)

RN 883867-60-5 CAPLUS

CN 4(5H)-Thiazolone, 5-(6-quinazolinylmethylene)-2-[(2-thienylmethyl)amino]- (CA INDEX NAME)



RN 883967-62-7 CAPLUS

CN 4(5H)-Thiazolone, 2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-5-(6-quinazolinylmethylene)- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Title compds. represented by the formula I [wherein R1 = H, (aryloxy)alkyl, arylalkylene, etc.; R2 = H; R3 = H, alkyl or carbonylalkyl; R4 = H, perfluoroalkyl(alkylene)oxy or aryl(alkylene); and pharmaceutically acceptable salts thereof] were prepared as CDK (cyclin dependent kinase) inhibitors, especially CDK1 inhibitors. For example, II•HCF3CO2H was provided in a multi-step synthesis starting from 3-ethoxyaryloyl chloride. I showed CDK1/cyclin B activity with KI of 0.001 - 5.00 μM. Thus, I and their pharmaceutical compns., which have CDK1 antiproliferative activity, are useful for the treatment of cancers.

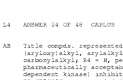
IT 880144-62-7P, 5-[(2-Amino-4-ethoxy-6-quinolinyl)methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]-4-one 880144-68-3P, N-[4-Ethoxy-6-[(4-oxo-2-[[(tetrahydropyran-4-yl)methyl]amino]-4H-thiazol-5-ylidene]methyl]quinolin-2-yl]acetamide 880144-71-8P, N-[4-Ethoxy-6-[(4-oxo-2-[[3-(tetrahydropyran-4-yl)ethyl]amino]-4H-thiazol-5-ylidene]methyl]quinolin-2-yl]acetamide 880144-73-0P, N-[6-[[2-[(Cyclopropylmethyl)amino]-4-oxo-4H-thiazol-5-ylidene]methyl]-4-ethoxyquinolin-2-yl]acetamide 880144-75-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinolinyl thiazolinones as CDK1 inhibitors for treatment of cancers)

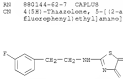
RN 880144-62-7 CAPLUS

CN 4(5H)-Thiazolone, 5-[(2-amino-4-ethoxy-6-quinolinyl)methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]- (CA INDEX NAME)



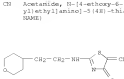
RN 880144-68-3 CAPLUS

CN Acetamide, N-[4-ethoxy-6-[[4-oxo-2-[[(tetrahydro-2H-pyran-4-yl)methyl]amino]-5(4H)-thiazolylidene]methyl]-2-quinolinyl]- (CA INDEX NAME)



RN 880144-71-8 CAPLUS

CN Acetamide, N-[4-ethoxy-6-[[4-oxo-2-[[2-(tetrahydro-2H-pyran-4-yl)ethyl]amino]-5(4H)-thiazolylidene]methyl]-2-quinolinyl]- (CA INDEX NAME)



RN 880144-73-0 CAPLUS

ACCESSION NUMBER: 2006:273697 CAPLUS

DOCUMENT NUMBER: 144:331426

TITLE: Preparation of quinolinyl thiazolinones as CDK1 inhibitors for treatment of cancers

INVENTOR(S): Chen, Li; Chen, Shaoqing; Muchowski, Christophe

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

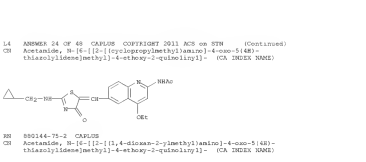
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006029063	A1	20060323	WO 2005-EP9927	20050915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20060067904	A1	20060323	US 2005-224175	20050912
US 7241893	B2	20070710		
AU 2005284294	A1	20060323	AU 2005-284294	20050915
CA 2579340	A1	20060323	CA 2005-2579340	20050915
EP 1791836	A1	20070606	EP 2005-788472	20050915
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101018755	A	20070815	CN 2005-80039002	20050915
JP 2008513399	T	20080501	JP 2007-531677	20050915
ER 2005015451	A	20080729	ER 2005-15451	20050915
RU 2395509	C2	20100727	RU 2007-114104	20050915
MX 2007002721	A	20070423	MX 2007-2723	20070306
ER 2007043896	A	20070425	ER 2007-7000967	20070315
ER 901091	B1	20090608		
IN 2007CN01146	A	20070817	IN 2007-CN1146	20070319
ER 2009031797	A	20090327	ER 2009-7004678	20090305
PRIORITY APPLN. INFO.:			US 2004-610767P	P 20040917
			WO 2005-EP9927	W 20050915
			ER 2007-7000967	A3 20070315

OTHER SOURCE(S): CASREACT 144:331426; MARPAT 144:331426

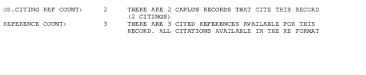
GI



CN Acetamide, N-[6-[[2-[(cyclopropylmethyl)amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-ethoxy-2-quinolinyl]- (CA INDEX NAME)

RN 880144-75-2 CAPLUS

CN Acetamide, N-[6-[[2-[[1,4-dioxan-2-ylmethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-ethoxy-2-quinolinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

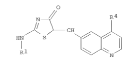
L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2006:273695 CAPLUS
DOCUMENT NUMBER: 144:312080
TITLE: Preparation of thiazolinone 4-monosubstituted quinolines as CDK1-Cyclin B inhibitors for use as anti-cancer agents
INVENTOR(S): Chen, Li; Chen, Shaoqing; Sidduri, Achyutharao; Lou, Jianping
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

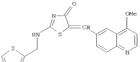
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006029861	A1	20060323	WO 2005-EP9925		20050915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
US 20060063805	A1	20060323	US 2005-214153		20050829
US 7253285	B2	20070807			
AU 2005284292	A1	20060323	AU 2005-284292		20050915
CA 2579476	A1	20060323	CA 2005-2579476		20050915
EP 1797085	A1	20070620	EP 2005-787266		20050915
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 101023080	A	20070822	CN 2005-80031330		20050915
JP 2008513396	T	20080501	JP 2007-531675		20050915
BR 2005015467	A	20080722	BR 2005-15467		20050915
RU 2397983	C2	20100827	RU 2007-114122		20050915
MX 2007002914	A	20070427	MX 2007-2914		20070309
KR 2007043890	A	20070425	KR 2007-7006017		20070315
KR 898533	B1	20090520			
IN 2007CN01148	A	20070817	IN 2007-CN1148		20070319
KR 2009031798	A	20090327	KR 2009-7004698		20090305
PRIORITY APPLN. INFO.:			US 2004-610679P	P	20040917
			WO 2005-EP9925	W	20050915
			KR 2007-7006017	A3	20070315

OTHER SOURCE(S): MARPAT 144:312080
GI

L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



I



II

AB The present invention relates to thiazolinone monosubstituted quinoline derivs. (shown as I; variables defined below; e.g. (Z)-5-(4-Methoxyquinolin-6-ylmethylidene)-2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one (shown as II)), where the quinoline ring is mono-substituted at the 4 positions, which derivs. demonstrate CDK 1 antiproliferative activity and are useful as anti-cancer agents; to processes making said derivs. as well as medicaments containing them. For I: R1 is H, lower alkyl, aryloxy-lower alkyl, lower alkoxy-lower alkyl, -C(O)O[CH2CH2O]pR9, [CH2CH2O]vR8 or R2(X)n-; X is lower alkylene, hydroxy-lower alkylene, cycloalkylene, aryl-lower alkylene, carboxy-lower alkylene, amido-lower alkylene, mono- or di- halo lower alkylene, amino-lower alkylene, mono- or di- lower alkyl amino lower alkylene or imido-lower alkylene; R2 is R5-R7-substituted ring P where P = aryl, cycloalkyl containing 3-6 C atoms, 4-6 membered heterocycloalkyl containing 3-5 C atoms and 1-2 hetero atoms O, N and S, or a 5 or 6 membered heteroarom. ring containing 1-2 hetero atoms O, S and N. R5, R6 and R7 = hydroxy, lower alkyl sulfone, hydroxy-lower alkyl, H, lower alkyl, halo, perfluorolower alkyl, lower alkoxy, amino, mono- or di- lower alkyl amino, or when two of the substituents R5, R6 and R7 are substituted on adjacent C atoms on ring P, these 2 substituents can be taken together with their adjacent, attached C atoms to form an aryl, 3-6 membered cycloalkyl, 4-6 membered heterocycloalkyl or 4-6 membered heteroarom. ring, said heterocycloalkyl ring and said heteroarom. ring containing 1-2 hetero atoms O, N or S; R4 = halo, -(CH2)mNR15R16, -(O)k(CH2CH2O)yR10, (R17- and R18-substituted ring R)-(CH2)w(O)k-, -SR12 or -O(CH2)tR14. Ring R = aryl, cycloalkyl containing 3-6 C atoms, 4-6 membered heterocyclic alkyl containing 3-5 C atoms and 1-2 hetero atoms O, S and N, or a 5-6 membered heteroarom. ring containing 1-2 hetero atoms O S and N; R8, R9, R11, R15 and R16 = H or lower alkyl; R10 and R12, are lower alkyl; R14 is perfluoro lower alkyl or -NR15R16; R17 and R18 = H, lower alkyl, or -(CH2)zC(:O)OR11; n and k = 0-1; m, w, y and z = 0-3; p = 0-6; and v and t = 1-6. Also included are N-oxides of compds. where R2 contains a N in the heterocycloalkyl or heteroarom. ring and sulfones where R2 contains a S in the heterocycloalkyl or heteroarom. ring. Methods of preparation are claimed and prepns. and/or characterization data for .apprx.50 examples of I are included. For example, II was prepared in 9 steps (87, 97.6, 98, 95, 98.5, 90.8, 64, 58, 73 %, resp.) starting with ethoxide displacement from di-Et 2-ethoxymethylenemalonate by 4-bromoaniline to give 2-[(4-bromophenylamino)methylene]malonic acid di-Et ester followed by formation of the following intermediates: 6-bromo-4-hydroxyquinoline-3-carboxylic acid Et ester, 6-bromo-4-hydroxyquinoline-3-carboxylic acid, 6-bromoquinolin-4-ol, 6-bromo-4-chloroquinoline, 6-bromo-4-methoxyquinoline, and 4-methoxyquinoline-6-carboxaldehyde, 2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one. CDK1/Cyclin B inhibitory

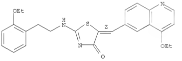
L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

activity is tabulated for 8 examples of I.

IT 879324-56-8P, (Z)-2-[[2-(2-Ethoxyphenyl)ethyl]amino]-5-[1-(4-ethoxyquinolin-6-yl)methylidene]thiazol-4-one 879324-59-1P, (Z)-2-[[2,2-Difluoro-2-(pyridin-2-yl)ethyl]amino]-5-[1-(4-ethoxyquinolin-6-yl)methylidene]thiazol-4-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of thiazolinone 4-monosubstituted quinolines as CDK1-Cyclin B inhibitors for use as anti-cancer agents)

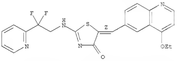
RN 879324-56-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(2-ethoxyphenyl)ethyl]amino]-5-[(4-ethoxy-6-quinolinyl)methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 879324-59-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2,2-difluoro-2-(2-pyridinyl)ethyl]amino]-5-[(4-ethoxy-6-quinolinyl)methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



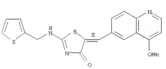
IT 879323-72-5P, (Z)-5-(4-Methoxyquinolin-6-ylmethylidene)-2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one 879323-76-9P, (Z)-5-(4-Ethoxyquinolin-6-ylmethylidene)-2-[(2-hydroxy-1-(R)-phenylethyl)amino]thiazol-4-one 879323-79-2P, (Z)-5-(4-Ethoxyquinolin-6-ylmethylidene)-2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one 879323-81-6P, (Z)-5-(4-Chloroquinolin-6-ylmethylidene)-2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one 879323-83-8P, (Z)-2-[(2-Hydroxy-1-(R)-phenylethyl)amino]-5-(4-methoxyquinolin-6-ylmethylidene)thiazol-4-one 879323-84-9P, (Z)-5-[4-(Cyclohexylmethoxy)quinolin-6-ylmethylidene]-2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one 879323-88-3P, (Z)-5-[4-(Cyclohexylmethoxy)quinolin-6-ylmethylidene]-2-[(2-hydroxy-1-(R)-phenylethyl)amino]thiazol-4-one 879323-94-1P, (Z)-5-[4-(Morpholin-4-yl)quinolin-6-ylmethylidene]-2-[(2-hydroxy-1-(R)-phenylethyl)amino]thiazol-4-one 879323-95-2P, (Z)-5-[4-(Morpholin-4-yl)quinolin-6-ylmethylidene]-2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one 879323-99-6P, (Z)-5-[4-(2-Methoxyethoxy)quinolin-6-ylmethylidene]-2-[(thiophen-2-ylmethyl)amino]thiazol-4-one 879324-00-2P, (Z)-5-[4-(2-Methoxyethoxy)quinolin-6-ylmethylidene]-2-[(2-hydroxy-1-(R)-phenylethyl)amino]thiazol-4-one 879324-10-4P, (Z)-2-[(2-Chlorobenzyl)amino]-5-(4-ethoxyquinolin-6-ylmethylidene)thiazol-4-one 879324-13-7P, (Z)-2-[(2-Chloro-6-methylbenzyl)amino]-5-

L4 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

(4-ethoxyquinolin-6-ylmethylidene)thiazol-4-one 879324-17-1P, (Z)-5-(4-Ethoxyquinolin-6-ylmethylidene)-2-[[[3-(methyl)thiophen-2-yl]methyl]amino]thiazol-4-one 879324-19-3P, (Z)-5-(4-Phenoxyquinolin-6-ylmethylidene)-2-[[(thiophen-2-yl)methyl]amino]thiazol-4-one 879324-27-3P, (Z)-2-[(2-Chloro-4-fluorobenzyl)amino]-5-(4-ethoxyquinolin-6-ylmethylidene)thiazol-4-one 879324-34-2P, (Z)-2-[[(5-Methylpyrazin-2-yl)methyl]amino]-5-(4-ethoxyquinolin-6-ylmethylidene)thiazol-4-one 879324-37-5P, (Z)-5-(4-Ethoxyquinolin-6-ylmethylidene)-2-[[2-(4-hydroxyphenyl)ethyl]amino]thiazol-4-one 879324-39-7P, (Z)-5-[4-(2-Dimethylaminoethoxy)quinolin-6-ylmethylidene]-2-[[2-(3-fluorophenyl)ethyl]amino]thiazol-4-one 879324-41-1P, (Z)-2-[[2-(3-Fluorophenyl)ethyl]amino]-5-[4-(2,2,2-trifluoroethoxy)quinolin-6-ylmethylidene]thiazol-4-one 879324-47-7P, (Z)-5-(4-Ethylsulfanylquinolin-6-ylmethylidene)-2-[[2-(3-fluorophenyl)ethyl]amino]thiazol-4-one 879324-54-6P, (Z)-5-[(4-Ethoxyquinolin-6-yl)methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]thiazol-4-one 879324-58-0P, (Z)-2-[[2-(2-Ethoxyphenyl)ethyl]amino]-5-[1-(4-ethoxyquinolin-6-yl)methylidene]thiazol-4-one mono(methanesulfonate) 879324-60-4P, (Z)-2-[[2,2-Difluoro-2-(pyridin-2-yl)ethyl]amino]-5-[1-(4-ethoxyquinolin-6-yl)methylidene]thiazol-4-one mono(methanesulfonate)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of thiazolinone 4-monosubstituted quinolines as CDK1-Cyclin B inhibitors for use as anti-cancer agents)

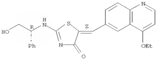
RN 879323-72-5 CAPLUS
CN 4(5H)-Thiazolone, 5-[(4-methoxy-6-quinolinyl)methylene]-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



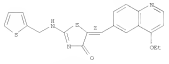
RN 879323-76-9 CAPLUS
CN 4(5H)-Thiazolone, 5-[(4-ethoxy-6-quinolinyl)methylene]-2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



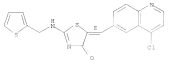
RN 879323-79-2 CAPLUS
CN 4(5H)-Thiazolone, 5-[(4-ethoxy-6-quinolinyl)methylene]-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



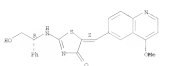
RN 879323-51-6 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-chloro-6-quinolinyl)methylene]-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



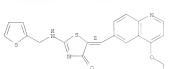
RN 879323-67-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[(1R)-2-hydroxy-1-phenylethyl]amino]-5-[[4-methoxy-6-quinolinyl)methylene]-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 879323-94-9 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-[cyclohexylmethoxy)-6-quinolinyl]methylene]-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

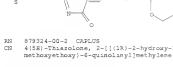
Double bond geometry as shown.



Double bond geometry as shown.

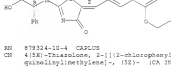
RN 879324-00-2 CAPLUS
CN 4(5H)-Thiazolone, 2-[(1R)-2-hydroxy-1-phenylethyl]amino]-5-[[4-(2-methoxyethoxy]-6-quinolinyl]methylene]-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



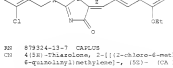
RN 879324-10-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(2-chlorophenyl)methyl]amino]-5-[[4-ethoxy-6-quinolinyl]methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



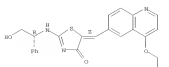
RN 879324-13-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(2-chloro-6-methylphenyl)methyl]amino]-5-[[4-ethoxy-6-quinolinyl]methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



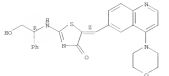
RN 879324-17-1 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-ethoxy-6-quinolinyl]methylene]-2-[[(3-methyl-2-thienyl)methyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



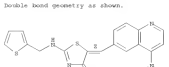
RN 879323-98-3 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-(cyclohexylmethoxy)-6-quinolinyl]methylene]-2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 879323-94-5 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-(4-morpholinyl)-6-quinolinyl]methylene]-2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-, (5Z)- (CA INDEX NAME)

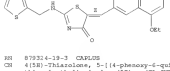
Absolute stereochemistry.
Double bond geometry as shown.



RN 879323-95-2 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-(4-morpholinyl)-6-quinolinyl]methylene]-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

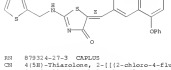
Double bond geometry as shown.

RN 879323-99-6 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-(2-methoxyethoxy)-6-quinolinyl]methylene]-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)



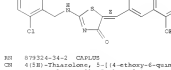
RN 879324-19-3 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-phenoxy-6-quinolinyl)methylene]-2-[(2-thienylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



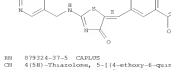
RN 879324-27-3 CAPLUS
CN 4(5H)-Thiazolone, 5-[[[2-chloro-4-fluorophenyl)methyl]amino]-5-[(4-ethoxy-6-quinolinyl)methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



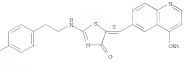
RN 879324-34-2 CAPLUS
CN 4(3H)-Thiazolone, 5-[[4-ethoxy-6-quinolinyl)methylene]-2-[[(5-methyl-2-pyrazinyl)methyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



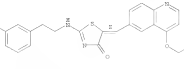
RN 879324-37-5 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-ethoxy-6-quinolinyl)methylene]-2-[[2-(4-hydroxyphenyl)ethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



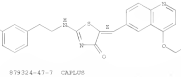
RN 879324-39-7 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-[2-[dimethylamino)ethoxy]-6-quinolinyl]methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



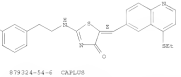
RN 879324-41-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(3-fluorophenyl)ethyl]amino]-5-[[4-[2,2,2-trifluoroethoxy]-6-quinolinyl]methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



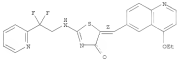
RN 879324-47-7 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-(ethylthio)-6-quinolinyl]methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



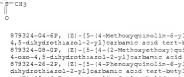
RN 879324-54-6 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-ethoxy-6-quinolinyl]methylene]-2-[[2-(3-fluorophenyl)ethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



CM 2

CRN 75-75-2
CMF C H4 O3 S



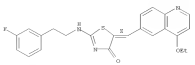
IT 879324-04-6P, (E)-[5-[4-Methoxyquinolin-6-yl)methylene)-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-Butyl ester
879324-08-0P, (E)-[5-[4-(2-Methoxyethoxy)quinolin-6-yl)methylidene]-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-butyl ester
879324-26-2P, (E)-[5-[4-Phenoxyquinolin-6-yl)methylene]-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-Butyl ester 879324-33-1P
, (E)-[5-[4-Butoxyquinolin-6-yl)methylene]-4-oxo-4,5-dihydrothiazol-2-yl]carbamic acid tert-Butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolone 4-monosubstituted quinolines as CDK1-Cyclin B inhibitors for use as anti-cancer agents)

RN 879324-04-6 CAPLUS
CN Carbamic acid, [(5E)-4,5-dihydro-5-[(4-methoxy-6-quinolinyl)methylene]-4-oxo-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 879324-08-0 CAPLUS
CN Carbamic acid, [(5Z)-4,5-dihydro-5-[(4-(2-methoxyethoxy)-6-quinolinyl]methylene]-4-oxo-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

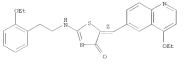


RN 879324-58-0 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(2-ethoxyphenyl)ethyl]amino]-5-[(4-ethoxy-6-quinolinyl)methylene]-, (5Z)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 879324-56-8
CMF C25 H25 N3 O3 S

Double bond geometry as shown.



CM 2

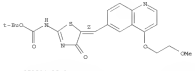
CRN 75-75-2
CMF C H4 O3 S

RN 879324-60-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2,2-difluoro-2-[2-pyridinyl)ethyl]amino]-5-[[4-ethoxy-6-quinolinyl]methylene]-, (5Z)-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

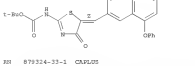
CRN 879324-59-1
CMF C22 H18 F2 N4 O2 S

Double bond geometry as shown.



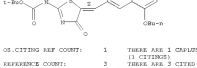
RN 879324-26-2 CAPLUS
CN Carbamic acid, [(5Z)-4,5-dihydro-4-oxo-5-[(4-phenoxy-6-quinolinyl)methylene]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 879324-33-1 CAPLUS
CN Carbamic acid, [(5Z)-5-[(4-butoxy-6-quinolinyl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2006:13243 CAPLUS
DOCUMENT NUMBER: 144:108310
TITLE: Thiazolinone 3,4-disubstituted quinolines as CDK1 inhibitors for treating cancer
INVENTOR(S): Chen, Li; Chen, Shaoqing; Michoud, Christophe
PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: U.S. Pat. Appl. Publ., 66 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060004046	A1	20060105	US 2005-170450	20050629
US 7250515	B2	20070731		
AU 2005259511	A1	20060112	AU 2005-259511	20050623
CA 2571732	A1	20060112	CA 2005-2571732	20050623
WO 2006002828	A1	20060112	WO 2005-EP6806	20050623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1771443	A1	20070411	EP 2005-745044	20050623
EP 1771443	B1	20090107		
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CN 1976927	A	20070606	CN 2005-80021959	20050623
JP 2008504323	T	20080214	JP 2007-518511	20050623
BR 2005012843	A	20080408	BR 2005-12843	20050623
AT 420086	T	20090115	AT 2005-745044	20050623
ES 2317238	T3	20090416	ES 2005-745044	20050623
AR 49539	A1	20060809	AR 2005-102684	20050629
ZA 2006010535	A	20090429	ZA 2006-10535	20061214
MX 2006015026	A	20070208	MX 2006-15026	20061219
KR 2007027656	A	20070309	KR 2006-7027955	20061229
KR 856363	B1	20080904		
IN 2006CN04824	A	20071005	IN 2006-CN4824	20061229
NO 2007000565	A	20070208	NO 2007-565	20070130
PRIORITY APPLN. INFO.:			US 2004-584931P P	20040701
			US 2005-658273P P	20050303
			WO 2005-EP6806 W	20050623

OTHER SOURCE(S): CASREACT 144:108310; MARPAT 144:108310
GI

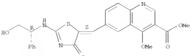
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = lower alkyl, lower alkoxy, aryloxy lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl, -(X)n-R2, etc.; X = lower alkylene, cyclo lower alkylene, aryl lower alkylene, etc.; R2 = (un)substituted hetero/aryl, cycloalkyl, heterocycloalkyl; R3 = CN, SO2-R10, CO2H and derivs., etc.; R10 = lower alkyl; R4 = lower alkyl, O-hydroxyalkyl, O(CH2CH2O)mR10 (R10 defined as above); n = 0-1; m = 1-3; and the N-oxides of compds. I where R2 contains a N in the

heterocycloalkyl or heteroaryl ring, and the sulfones of compds. I where R2 contains a S in the heterocycloalkyl or heteroaryl ring, and their pharmaceutically acceptable salts] were prepd. as CDK1 inhibitors. Thus, reacting 6-formyl-4-methoxy-3-(5-methyloxazol-2-yl)quinoline (prepn. given) with 2-[[2-(3-fluorophenyl)ethyl]amino]thiazol-4-one (prepn. given) gave quinoline (Z)-II. I exhibited CDK1/Cyclin B activity with Ki values of less than 5.0 µM in kinase assays using recombinant human CDK1/Cyclin B complex. I are useful as antiproliferation agents for treating cancer.

IT 872576-73-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of thiazolinone disubstituted quinolines as CDK1 inhibitors for treating cancer)
RN 872576-73-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-[(Z)-[2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-methoxy-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 872576-68-6P 872576-69-7P 872576-71-1P
872576-75-5P 872576-88-0P 872576-89-1P
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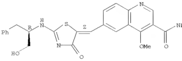
872577-04-3P 872577-06-5P 872577-07-6P
872577-08-7P 872577-09-8P 872577-11-2P
872577-12-3P 872577-13-4P 872577-22-5P
872577-27-0P 872577-28-1P 872577-38-3P
872577-41-8P 872577-45-2P 872577-78-1P
872577-83-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of thiazolinone disubstituted quinolines as CDK1 inhibitors for treating cancer)
RN 872576-68-6 CAPLUS
CN 3-Quinolinecarboxamide, 6-[(Z)-[2-[[(1R)-1-(hydroxymethyl)-2-phenylethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-methoxy- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



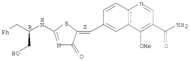
RN 872576-69-7 CAPLUS

CN 3-Quinolinecarboxamide, 6-[(Z)-[2-[[(1R)-1-(hydroxymethyl)-2-phenylethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-methoxy-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 872576-68-6
CMF C24 H22 N4 O4 S

Absolute stereochemistry.
Double bond geometry as shown.

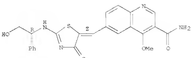


CM 2

CRN 76-05-1
CMF C2 H F3 O2

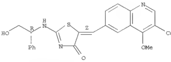
RN 872576-71-1 CAPLUS
CN 3-Quinolinecarboxamide, 6-[(Z)-[2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-methoxy- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



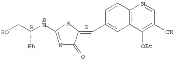
RN 872576-75-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-[(Z)-[2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-methoxy- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



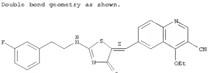
RN 872576-88-0 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-[2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



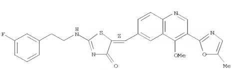
RN 872576-89-1 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-[2-[[2-(3-fluorophenyl)ethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Double bond geometry as shown.



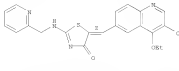
RN 872576-97-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(3-fluorophenyl)ethyl]amino]-5-[[4-methoxy-3-(5-methyl-2-oxazolyl)-6-quinolinyl]methylene]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



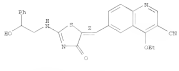
RN 872577-02-1 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-[4-oxo-2-[(2-pyridinylmethyl)amino]-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Double bond geometry as shown.



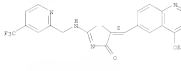
RN 872577-03-2 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-[2-[(2-hydroxy-2-phenylethyl)amino]-4-oxo-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Double bond geometry as shown.



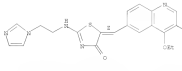
RN 872577-04-3 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-[4-oxo-2-[[4-(trifluoromethyl)-2-pyridinyl]methyl]amino]-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Double bond geometry as shown.



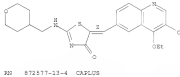
RN 872577-06-5 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-[2-[[2-(1H-imidazol-1-ylethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Double bond geometry as shown.



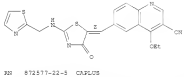
RN 872577-07-6 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-[4-oxo-2-[(2-pyrazinylmethyl)amino]-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Double bond geometry as shown.



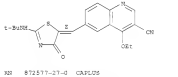
RN 872577-13-4 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(E)-[4-oxo-2-[(2-thiazolylmethyl)amino]-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Double bond geometry as shown.



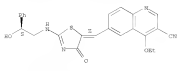
RN 872577-22-5 CAPLUS
CN 3-Quinolinecarbonitrile, 6-[(E)-[2-[(1,1-dimethylethyl)amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-ethoxy- (CA INDEX NAME)

Double bond geometry as shown.



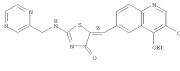
RN 872577-27-0 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-[2-[[(2S)-2-hydroxy-2-phenylethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



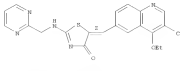
RN 872577-28-1 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-[2-[[(2R)-2-hydroxy-2-phenylethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



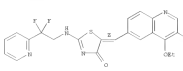
RN 872577-08-7 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(Z)-[4-oxo-2-[(2-pyridinylmethyl)amino]-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Double bond geometry as shown.



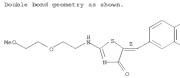
RN 872577-09-8 CAPLUS
CN 3-Quinolinecarbonitrile, 6-[(E)-[2-[[2,2-difluoro-2-(2-pyridinyl)ethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-ethoxy- (CA INDEX NAME)

Double bond geometry as shown.



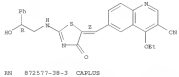
RN 872577-11-2 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(E)-[2-[[2-(2-methoxyethoxy)ethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Double bond geometry as shown.



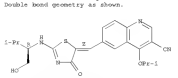
RN 872577-12-3 CAPLUS
CN 3-Quinolinecarbonitrile, 4-ethoxy-6-[(E)-[4-oxo-2-[[(tetrahydro-2H-pyran-4-yl)methyl]amino]-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

Double bond geometry as shown.



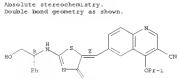
RN 872577-38-3 CAPLUS
CN 3-Quinolinecarbonitrile, 6-[(E)-[2-[[(1R)-1-hydroxyethyl]-2-methylpropyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-(1-methylethoxy)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



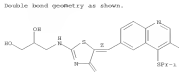
RN 872577-41-8 CAPLUS
CN 3-Quinolinecarbonitrile, 6-[(E)-[2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-(1-methylethoxy)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



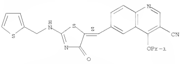
RN 872577-45-2 CAPLUS
CN 3-Quinolinecarbonitrile, 6-[(E)-[2-[[2,3-dihydroxypropyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-[(1-methylethyl)thio]- (CA INDEX NAME)

Double bond geometry as shown.



RN 872577-78-1 CAPLUS
CN 3-Quinolinecarbonitrile, 4-(1-methylethoxy)-6-[(Z)-[4-oxo-2-[(2-thienylmethyl)amino]-5(4H)-thiazolylidene]methyl]- (CA INDEX NAME)

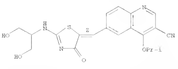
Double bond geometry as shown.



RN 872577-83-8 CAPLUS

CN 3-Quinolinecarbonitrile, 6-[(Z)-[2-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-4-oxo-5(4H)-thiazolylidene]methyl]-4-(1-methylethoxy)- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 48 CAPLUS

ACCESSION NUMBER: 2006:13215 CAPLUS
DOCUMENT NUMBER: 144:108309

TITLE: Thiazolinone unsubstituted quinolines as CDK1 inhibitors for treating solid tumors

INVENTOR(S): Chen, Li; Chen, Shaoqing
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 38 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060004045	A1	20060105	US 2005-170300	20050629
US 7326786	B2	20080205		
AU 2005259512	A1	20060112	AU 2005-259512	20050623
CA 2571738	A1	20060112	CA 2005-2571738	20050623
WO 2006002829	A1	20060112	WO 2005-EP6807	20050623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1765817	A1	20070328	EP 2005-753643	20050623
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CN 1984909	A	20070620	CN 2005-80022247	20050623
BR 2005011390	A	20071204	BR 2005-11390	20050623
JP 2008504324	T	20080214	JP 2007-518512	20050623
AR 50165	A1	20061004	AR 2005-102685	20050629
MX 2006015028	A	20070208	MX 2006-15028	20061219
ZA 2006010785	A	20081029	ZA 2006-10785	20061220
KR 2007024679	A	20070302	KR 2006-7027870	20061229
KR 866298	B1	20081031		
IN 2006CN04823	A	20071005	IN 2006-CN4823	20061229
NO 2007000564	A	20070315	NO 2007-564	20070130

PRIORITY APPLN. INFO.:
US 2004-584746P P 20040701
US 2004-629495P P 20041119
WO 2005-EP6807 W 20050623

OTHER SOURCE(S): CASREACT 144:108309; MARPAT 144:108309

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = lower alkyl, lower alkoxy, aryloxy lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl, -(X)n-R2; X = lower alkylene, cyclo lower alkylene, aryl substituted lower alkylene, etc.; R2 = (un)substituted hetero/aryl, cycloalkyl, heterocycloalkyl; and the N-oxides of compds. where R2 contains a N in the heterocycloalkyl or heteroaryl ring, and the sulfones where R2 contains a S in the heterocycloalkyl or heteroaryl ring; and their pharmaceutically acceptable salts] were prepared as CDK1 inhibitors. For example, condensation of 6-quinolinecarboxaldehyde with rhodanine, methylation with MeI and substitution with 2-thiophenemethanamine gave quinoline II. I exhibited

CDK1/Cyclin B activity with Ki values of less than 5.0 μM in kinase assays using recombinant human CDK1/Cyclin B complex. I are useful as antiproliferation agents for treating cancer, particularly solid tumors (no data).

IT 872574-72-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of thiazolinone unsubstituted quinolines as CDK1 inhibitors for treating cancer)

RN 872574-72-6 CAPLUS

CN 4(5H)-Thiazolone, 5-(6-quinolinylmethylene)-2-[[(tetrahydro-2H-thiopyran-4-yl)methyl]amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

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CRN 872574-67-9
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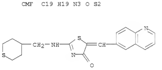


CM 2

CRN 76-05-1
CMF C2 H F3 O2

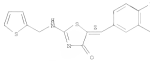
IT 872573-93-8P, 2-[[(Thiophen-2-yl)methyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872573-96-1P, 2-Pentylamino-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872573-97-2P, 2-[[2-(4-Methoxyphenyl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872573-98-3P, 2-[[2-(3-Methoxyphenyl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872573-99-4P, 2-[[2-(2,5-Dimethoxyphenyl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-00-0P, 2-[[(Furan-2-yl)methyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-01-1P, 2-[[(2,3-Dihydrobenzofuran-5-yl)methyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-02-2P, 2-[[(Benzodioxol-5-yl)methyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-03-3P, 2-[[2-(2-Ethoxyphenyl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-04-4P, 2-[(2-Methoxybenzyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-05-5P, 2-[[2-(2-Methoxyphenyl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-06-6P, 2-[((S)-1-Hydroxymethyl-2-phenylethyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-07-7P, 2-[[2-(Benzodioxol-5-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-08-8P, 2-[[2-(4-Aminophenyl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-

ylidene]thiazol-4-one 872574-09-9P, 2-[[2-(Pyridin-2-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-10-2P, 2-[[2-(Pyridin-3-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-11-3P, 2-[[2-(Thiophen-2-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-12-4P, 2-[[2-(3H-Imidazol-4-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-13-5P, 2-[[2-(Pyridin-4-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-14-6P, 2-[((R)-1-Hydroxymethyl-2-phenylethyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-15-7P, 2-[(2-Phenoxyethyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-17-9P, 2-[[1-(Thiophen-2-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-19-1P, 2-[[2-Hydroxy-1-(thiophen-2-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-20-4P, 2-[[(1,3,5-Trimethyl-1H-pyrazol-4-yl)methyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-21-5P, 2-[((S)-2-Hydroxy-1-phenylethyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-22-6P, 2-[((R)-2-Hydroxy-1-phenylethyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-23-7P, N-[4-Oxo-5-[(quinolin-6-yl)methylene]-4,5-dihydrothiazol-2-yl]thiophene-2-carboximidamide 872574-25-9P, 2-[[(R)-2-(4-Fluorophenyl)-1-(hydroxymethyl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-26-0P, 2-[[(R)-1-(4-Fluorophenyl)-2-hydroxyethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-27-1P, 2-[(3-Hydroxy-2-phenylpropyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-28-2P, 2-[[2-(2-Chlorophenyl)-2-dimethylaminoethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-29-3P, 2-[[2-(Morpholin-4-yl)-2-phenylethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-30-6P, 2-[[(R)-1-(Hydroxymethyl)propyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-31-7P, 2-[((R)-1-Hydroxymethyl-2-methylpropyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-32-8P, 2-[((R)-1-Hydroxymethyl-3-methylbutyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-33-9P 872574-34-0P 872574-35-1P, 2-[(3-Hydroxy-1-phenylpropyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-36-2P, (R)-2-[[4-Oxo-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]-4,5-dihydrothiazol-2-yl]amino]-2-phenylethanamide 872574-37-3P, 2-Methylamino-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-38-4P 872574-39-5P, 2-[[1-(2,4-Difluorophenyl)-2-hydroxyethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-42-0P 872574-43-1P 872574-44-2P, 2-[(2-Hydroxyethyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-45-3P, 2-[(2-Hydroxypropyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-46-4P, 2-[(2-Hydroxy-2-methylpropyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-47-5P, 2-[(2-Fluoro-6-methoxybenzyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-48-6P, 2-[((R)-1-Cyclohexyl-2-hydroxyethyl)amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-49-7P 872574-56-6P, 2-Methoxyamino-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-57-7P, 2-[[2,2-Difluoro-2-(pyridin-2-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one monohydrochloride 872574-58-8P, 2-[[2,2-Difluoro-2-(1-oxopyridin-2-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one monohydrochloride 872574-59-9P 872574-60-2P, 2-[[(S)-1-(3-Methoxyphenyl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-

yl)dene]thiazol-4-one 872574-61-3P,
2-[(5Z)-1-(4-Methoxyphenyl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-62-4P,
2-[[1-(4-Methylsilfonylphenyl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(Z)-ylidene]thiazol-4-one 872574-63-5P,
2-[[2-(Morpholin-4-yl)ethyl]amino]-5-[1-(quinolin-6-yl)meth-(E)-ylidene]thiazol-4-one 872574-64-6P 872574-65-7P
872574-67-9P, 5-[(Quinolin-6-yl)methylene]-2-[[(tetrahydrothiopyran-4-yl)methyl]amino]thiazol-4-one
872574-68-0P, 2-[[(2,2-Dioxotetrahydrothiopyran-4-yl)methyl]amino]-5-[(quinolin-6-yl)methylene]thiazol-4-one 872574-69-1P
872574-73-7P, 5-[(Quinolin-6-yl)methylene]-2-[[(tetrahydropyran-4-yl)methyl]amino]thiazol-4-one 872574-74-8P
872574-82-6P 872574-83-9P
872574-84-0P 872574-89-5P 872574-90-8P
872574-92-0P 872574-93-1P 872574-94-2P
872574-95-3P 872574-96-4P 872574-97-5P
872574-98-6P 872574-99-7P
IT: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of thiazolinone unsubstituted quinolines as CDC1 inhibitors for treating cancer)

RN 872573-93-8 CAPLUS
CN 4(5H)-Thiazolone, 5-(6-quinolylmethylene)-2-[[2-thienylmethyl]amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

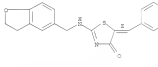


RN 872573-96-1 CAPLUS
CN 4(5H)-Thiazolone, 2-(pentylamino)-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

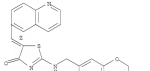
RN 872573-97-2 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(4-methoxyphenyl)ethyl]amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



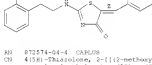
RN 872574-02-2 CAPLUS
CN 4(5H)-Thiazolone, 2-[(1,3-benzodioxol-5-ylmethyl)amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



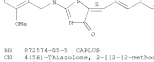
RN 872574-03-3 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(2-ethoxyphenyl)ethyl]amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

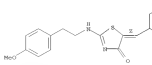


RN 872574-04-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(2-methoxyphenyl)methyl]amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

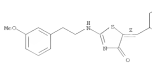


RN 872574-05-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(2-methoxyphenyl)ethyl]amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)



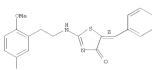
RN 872573-98-3 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(3-methoxyphenyl)ethyl]amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



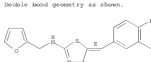
RN 872573-99-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(2,5-dimethoxyphenyl)ethyl]amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 872574-00-0 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-furanylmethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

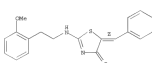
Double bond geometry as shown.



RN 872574-01-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(2,3-dihydro-5-benzofuranyl)methyl]amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

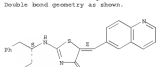
Double bond geometry as shown.

Double bond geometry as shown.



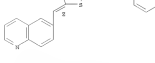
RN 872574-06-6 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1S)-1-(hydroxymethyl)-2-phenylethyl]amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



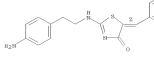
RN 872574-07-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(1,3-benzodioxol-5-yl)ethyl]amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 872574-08-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(4-aminophenyl)ethyl]amino]-5-(6-quinolylmethylene)-, (5Z)- (CA INDEX NAME)

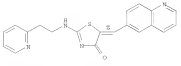
Double bond geometry as shown.



RN 872574-09-9 CAPLUS

CN 4(5H)-Thiazolone, 2-[2-[2-(3-pyridinyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

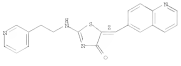
Double bond geometry as shown



RN 872574-10-2 CAPLUS

CN 4(5H)-Thiazolone, 2-[[2-(3-pyridinyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

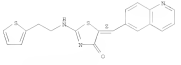
Double bond geometry as shown.



RN 872574-11-3 CAPLUS

CN 4(5H)-Thiazolone, 5-[(6-quinolinylmethylene)-2-[[2-(2-thienyl)ethyl]amino]- , (5Z)- (CA INDEX NAME)

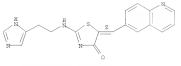
Double bond geometry as shown.



RN 872574-12-4 CAPLUS

CN 4(5H)-Thiazolone, 2-[[2-(1H-imidazol-5-yl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

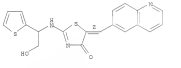
Double bond geometry as shown.



RN 872574-13-5 CAPLUS

CN 4(5H)-Thiazolone, 2-[[2-(4-pyridinyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

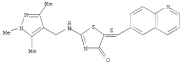
Double bond geometry as shown.



RN 872574-20-4 CAPLUS

CN 4(5H)-Thiazolone, 5-[(6-quinolinylmethylene)-2-[[(3,5-trimethyl-1H-pyrazol-4-yl)methyl]amino]-, (5Z)- (CA INDEX NAME)

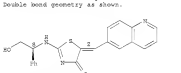
Double bond geometry as shown.



RN 872574-21-5 CAPLUS

CN 4(5H)-Thiazolone, 2-[[(1S)-2-hydroxy-1-phenylethyl]amino]-5-[(6-quinolinylmethylene)-, (5E)- (CA INDEX NAME)

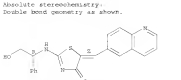
Absolute stereochemistry.
Double bond geometry as shown.



RN 872574-22-6 CAPLUS

CN 4(5H)-Thiazolone, 2-[[(1R)-2-hydroxy-1-phenylethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

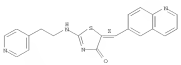
Absolute stereochemistry.
Double bond geometry as shown.



RN 872574-23-7 CAPLUS

CN 2-Thiophenecarboximidamide, N-[4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]- (CA INDEX NAME)

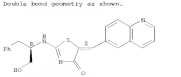
Double bond geometry as shown.



RN 872574-14-6 CAPLUS

CN 4(5H)-Thiazolone, 2-[[(1R)-1-(hydroxymethyl)-2-phenylethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

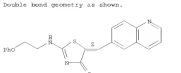
Absolute stereochemistry.
Double bond geometry as shown.



RN 872574-15-7 CAPLUS

CN 4(5H)-Thiazolone, 2-[(2-phenoxyethyl)amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

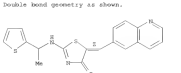
Double bond geometry as shown.



RN 872574-17-9 CAPLUS

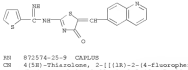
CN 4(5H)-Thiazolone, 5-[(6-quinolinylmethylene)-2-[[1-(2-thienyl)ethyl]amino]- , (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 872574-19-1 CAPLUS

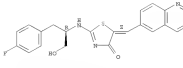
CN 4(5H)-Thiazolone, 2-[[2-hydroxy-1-(2-thienyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)



RN 872574-25-9 CAPLUS

CN 4(5H)-Thiazolone, 2-[[(1R)-2-(4-fluorophenyl)-1-(hydroxymethyl)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

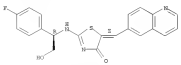
Absolute stereochemistry.
Double bond geometry as shown.



RN 872574-26-0 CAPLUS

CN 4(5H)-Thiazolone, 2-[[(1R)-1-(4-fluorophenyl)-2-hydroxyethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

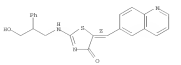
Absolute stereochemistry.
Double bond geometry as shown.



RN 872574-27-1 CAPLUS

CN 4(5H)-Thiazolone, 2-[(3-hydroxy-2-phenylpropyl)amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

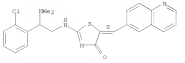
Double bond geometry as shown.



RN 872574-28-2 CAPLUS

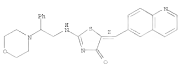
CN 4(5H)-Thiazolone, 2-[[2-(2-chlorophenyl)-2-(dimethylamino)ethyl]amino]-5-[(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



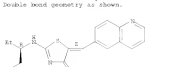
RN 872574-29-3 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(4-morpholinyl)-2-phenylethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



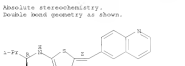
RN 872574-32-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1S)-1-(hydroxymethyl)propyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



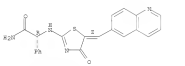
RN 872574-31-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



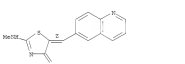
RN 872574-32-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1R)-1-(hydroxymethyl)-3-methylbutyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.



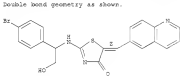
RN 872574-37-3 CAPLUS
CN 4(5H)-Thiazolone, 2-(methylamino)-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



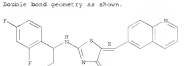
RN 872574-38-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(6-bromophenyl)-2-hydroxyethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 872574-39-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(2,4-difluorophenyl)-2-hydroxyethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

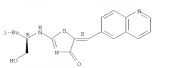
Double bond geometry as shown.



RN 872574-42-0 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(4-chlorophenyl)-2-hydroxyethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

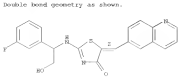
Double bond geometry as shown.

Double bond geometry as shown.



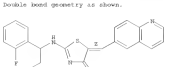
RN 872574-33-9 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(3-fluorophenyl)-2-hydroxyethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



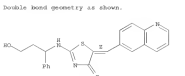
RN 872574-34-0 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(2-fluorophenyl)-2-hydroxyethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



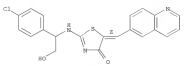
RN 872574-35-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[(3-hydroxy-1-phenylpropyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



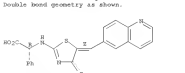
RN 872574-36-2 CAPLUS
CN Benzeneacetamide, α-[[(5Z)-4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]amino]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



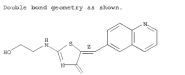
RN 872574-43-1 CAPLUS
CN Benzeneacetic acid, α-[[(5Z)-4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]amino]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



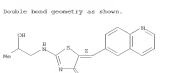
RN 872574-44-2 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-hydroxyethyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



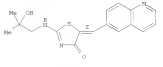
RN 872574-45-3 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-hydroxypropyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



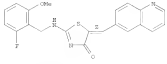
RN 872574-46-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-hydroxy-2-methylpropyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



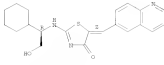
RN 872574-47-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(2-fluoro-6-methoxyphenyl)methyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



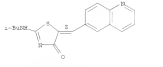
RN 872574-48-6 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1S)-1-cyclohexyl-2-hydroxyethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



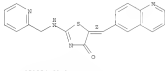
RN 872574-49-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-methylpropyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



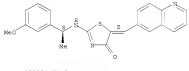
RN 872574-56-6 CAPLUS
CN 4(5H)-Thiazolone, 2-(methoxyamino)-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



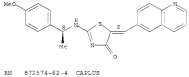
RN 872574-60-2 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1S)-1-(3-methoxyphenyl)ethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



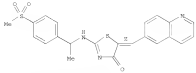
RN 872574-61-3 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1S)-1-(4-methoxyphenyl)ethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

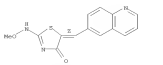


RN 872574-62-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-[4-(methylsulfonyl)phenyl]ethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

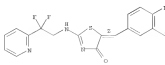


RN 872574-63-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(4-morpholinyl)ethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)



RN 872574-57-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2,2-difluoro-2-(2-pyridinyl)ethyl]amino]-5-(6-quinolinylmethylene)-, hydrochloride (1:1), (5Z)- (CA INDEX NAME)

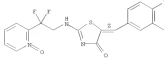
Double bond geometry as shown.



● HCl

RN 872574-58-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2,2-difluoro-2-(1-oxido-2-pyridinyl)ethyl]amino]-5-(6-quinolinylmethylene)-, hydrochloride (1:1), (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

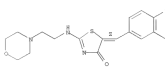


● HCl

RN 872574-59-9 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-pyridinylmethyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

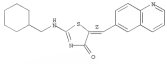
Double bond geometry as shown.

Double bond geometry as shown.



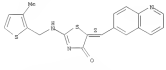
RN 872574-64-6 CAPLUS
CN 4(5H)-Thiazolone, 2-[(cyclohexylmethyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

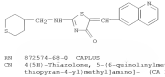


RN 872574-65-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(3-methyl-2-thienyl)methyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

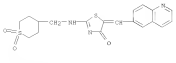
Double bond geometry as shown.



RN 872574-67-9 CAPLUS
CN 4(5H)-Thiazolone, 5-(6-quinolinylmethylene)-2-[[(tetrahydro-2H-thiopyran-4-yl)methyl]amino]- (CA INDEX NAME)



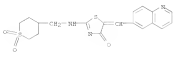
RN 872574-68-0 CAPLUS
CN 4(5H)-Thiazolone, 5-(6-quinolinylmethylene)-2-[[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)methyl]amino]- (CA INDEX NAME)



RN 872574-69-1 CAPLUS
CN 4(5H)-Thiazolone, 5-(6-quinolinylmethylene)-2-[[tetrahydro-3,3-dioxido-2H-thiopyran-4-yl]methyl]amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

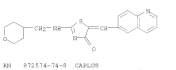
CRN 872574-68-0
CMF C19 H19 N3 O3 S2



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 872574-73-7 CAPLUS
CN 4(5H)-Thiazolone, 5-(6-quinolinylmethylene)-2-[[tetrahydro-2H-pyran-4-yl]methyl]amino]- (CA INDEX NAME)



RN 872574-74-8 CAPLUS
CN 4(5H)-Thiazolone, 5-(6-quinolinylmethylene)-2-[[tetrahydro-2H-pyran-4-yl]methyl]amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 872574-73-7
CMF C19 H19 N3 O2 S

RN 872574-76-0 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(cyclopropylmethyl)amino]-5-(6-quinolinylmethylene)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 872574-75-9
CMF C17 H15 N3 O S

CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 872574-82-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(hydroxymethyl)propyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

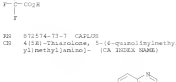
Double bond geometry as shown.

RN 872574-83-9 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(hydroxymethyl)-2-methylpropyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 872574-84-0 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(hydroxymethyl)-3-methylbutyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

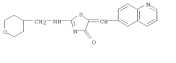


RN 872574-89-5 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(3-methoxyphenyl)ethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 872574-90-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(4-methoxyphenyl)ethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 872574-92-0 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 872574-93-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-hydroxy-1-phenylethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

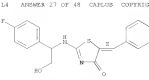
Double bond geometry as shown.

RN 872574-94-2 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(4-fluorophenyl)-1-(hydroxymethyl)ethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

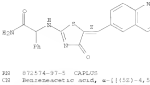
RN 872574-95-3 CAPLUS
CN 4(5H)-Thiazolone, 2-[[1-(4-fluorophenyl)-2-hydroxyethyl]amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



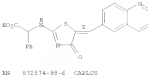
RN 872574-96-4 CAPLUS
CN Benzeneacetamide, a-[[(5Z)-4,5-dihydro-4-oxo-5-[(6-quinolinylmethylene)-2-thiazolyl]amino]- (CA INDEX NAME)

Double bond geometry as shown.



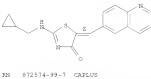
RN 872574-97-5 CAPLUS
CN Benzeneacetic acid, a-[[(5Z)-4,5-dihydro-4-oxo-5-[(6-quinolinylmethylene)-2-thiazolyl]amino]- (CA INDEX NAME)

Double bond geometry as shown.



RN 872574-98-6 CAPLUS
CN 4(5H)-Thiazolone, 2-[(cyclopropylmethyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



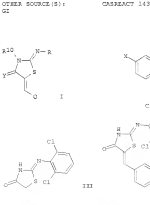
RN 872574-99-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[(1-cyclohexyl-2-hydroxyethyl)amino]-5-(6-quinolinylmethylene)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

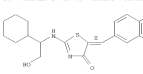
ACCESSION NUMBER: 2005:979651 CAPLUS
DOCUMENT NUMBER: 143:286417
TITLE: Preparation of thiazolone compounds for inhibiting hYAX3 proteins
INVENTOR(S): Duffy, Kevin J.; Fitch, Duke M.; Goodman, Steven Neal; Kasegawa, Masaichi; Johnson, Neil W.; Kaspares, Jill; Shaw, Antony N.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082901	A1	20050909	WO 2005-US6022	20050224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1728442	A1	20061208	EP 2005-713757	20050224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, HU, PL, SK, HR, IS
JP 2007529857	T	20071018	JP 2007-500992	20050224
US 20070244589	A1	20071025	US 2006-590522	20060824
PRIORITY APPLN. INFO.:			US 2004-547639P	P 20040225
			WO 2005-US6022	W 20050224

OTHER SOURCE(S): CASREACT 143:286417; MARPAT 143:286417
GI



AB Title compds. I [wherein R = H, (un)substituted aryl or (cyclo)alkyl; Y =

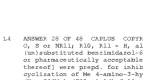


CS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

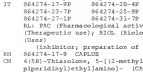
O, S or NR11; R10, R11 = H, alkyl, (CH2)nOR, (CH2)nCOOR; a = 0-6; Q = (un)substituted benzimidazol-6-yl, benzotriazol-6-yl or benzoxazol-6-yl, or pharmaceutically acceptable salts, hydrates, solvates or prodrugs thereof] were prepd. for inhibiting hYAX3 proteins. For instance, cyclization of Me 4-amino-3-hydroxybenzoate with tri-Et orthoacetate to II (X = COOMe) (71% yield) followed by redn. with LiAlH4 led to alc. II (X = CH2OH) (58% yield). This compd. underwent oxidn. with PCC to afford aldehyde II (X = CHO) (66% yield), which was condensed with thiazolidinone III in the presence of piperidine to give IV (15% yield). Compds. IV showed inhibition against hYAX3 kinase enzyme with pIC50 in the range of 8.99-8. Therefore, I and their pharmaceutical compns. (examples given) are useful for treating diseases assocd. with the imbalance or inappropriate activity of hYAX3 proteins, esp. diseases of the erythroid and hematopoietic systems.

IT 864274-17-9P 864274-20-4P 864274-21-5P
864274-23-7P 864274-25-9P 864274-26-0P
864274-27-1P 864274-31-7P 864274-32-8P
RL: RACT (Pharmacological activity); RPW (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitor; preparation of thiazolone compds. for inhibiting hYAX3 proteins)

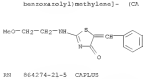
RN 864274-17-9 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2-methyl-4-benzoxazolyl)methylene]-2-[(2-(1-piperidinyl)ethyl]amino]- (CA INDEX NAME)



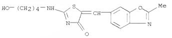
RN 864274-20-4 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-methoxyethyl)amino]-5-[(2-methyl-6-benzoxazolyl)methylene]- (CA INDEX NAME)



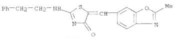
RN 864274-21-5 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2-methyl-4-benzoxazolyl)methylene]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)



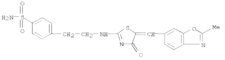
RN 864274-23-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[(4-hydroxybutyl)amino]-5-[(2-methyl-6-benzoxazolyl)methylene]- (CA INDEX NAME)



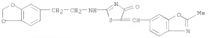
RN 864274-25-9 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2-methyl-6-benzoxazolyl)methylene]-2-[(2-phenylethyl)amino]- (CA INDEX NAME)



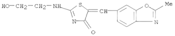
RN 864274-26-0 CAPLUS
CN Benzenesulfonamide, 4-[2-[[4,5-dihydro-5-[(2-methyl-6-benzoxazolyl)methylene]-4-oxo-2-thiazolyl]amino]ethyl]- (CA INDEX NAME)



RN 864274-27-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(1,3-benzodioxol-5-yl)ethyl]amino]-5-[(2-methyl-6-benzoxazolyl)methylene]- (CA INDEX NAME)

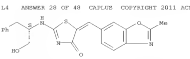


RN 864274-31-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[(2-hydroxyethyl)amino]-5-[(2-methyl-6-benzoxazolyl)methylene]- (CA INDEX NAME)



RN 864274-32-8 CAPLUS
CN 4(5H)-Thiazolone, 2-[[(1S)-1-(hydroxymethyl)-2-phenylethyl]amino]-5-[(2-methyl-6-benzoxazolyl)methylene]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



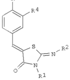
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:523434 CAPLUS
DOCUMENT NUMBER: 143:59967
TITLE: Preparation of 2-imino-5-benzylidenethiazolidin-4-one derivatives as immunosuppressants
INVENTOR(S): Bolli, Martin; Scherz, Michael; Mueller, Claus; Mathys, Boris; Binkert, Christoph
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

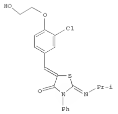
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054215	A1	20050616	WO 2004-EP12953	20041116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004295047	A1	20050616	AU 2004-295047	20041116
CA 2545582	A1	20050616	CA 2004-2545582	20041116
EP 1689726	A1	20060816	EP 2004-819591	20041116
EP 1689726	B1	20101006		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
CN 1882555	A	20061220	CN 2004-80034209	20041116
CN 100567275	C	20091209		
BR 2004016752	A	20070227	BR 2004-16752	20041116
JP 2007511563	T	20070510	JP 2006-540283	20041116
JP 4061332	B2	20080319		
RU 2379299	C2	20100120	RU 2006-121651	20041116
NZ 547962	A	20100625	NZ 2004-547962	20041116
AT 483698	T	20101015	AT 2004-819591	20041116
PT 1689726	E	20101209	PT 2004-819591	20041116
ES 2352555	T3	20110221	ES 2004-819591	20041116
AR 47128	A1	20060111	AR 2004-104281	20041119
TW 323659	B	20100421	TW 2004-135720	20041119
MX 2006005590	A	20060725	MX 2006-5590	20060517
KR 2006117328	A	20061116	KR 2006-7009783	20060519
KR 783835	B1	20071210		
NO 2006002483	A	20060810	NO 2006-2483	20060530
ZA 2006005077	A	20070530	ZA 2006-5077	20060620
IN 2006CN02225	A	20070608	IN 2006-CN2225	20060621
US 20070082933	A1	20070412	US 2006-580169	20061201
US 7435828	B2	20081014		
JP 2008081504	A	20080410	JP 2007-279142	20071026
JP 4129286	B2	20080806		
US 20080146629	A1	20080619	US 2008-26277	20080205
US 7626037	B2	20091201		
US 20080280962	A1	20081113	US 2008-132443	20080603
US 7875726	B2	20110125		
US 20090275625	A1	20091105	US 2009-496945	20090702

PRIORITY APPLN. INFO.:		
WO 2003-EP13072	A	20031121
JP 2006-540283	A3	20041116
WO 2004-EP12953	W	20041116
US 2006-580169	A1	20061201
US 2008-132443	A3	20080603

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:59967; MARPAT 143:59967
GI



I



II

AB The invention relates to pharmaceutical compns. containing at least one 5-(benz-(Z)-ylidene)thiazolidin-4-one derivative (shown as I; variables defined below; e.g. 5-[3-chloro-4-(2-hydroxyethoxy)benz-(Z)-ylidene]-2-((Z)-isopropylimino)-3-phenylthiazolidin-4-one (shown as II)), to prevent or treat disorders associated with an activated immune system. Furthermore, the invention relates to novel thiazolidin-4-one derivs. notably for use as pharmaceutically active compds. Said compds. particularly act also as immunosuppressive agents. The % reduction in the number of circulating lymphocytes in whole blood of rats is tabulated for 17 examples of I, e.g. 67 % for II at 3 mg/kg p.o. For I: R1 = lower alkyl, lower alkenyl, cycloalkyl, 5,6,7,8-tetrahydronaphth-1-yl, 5,6,7,8-tetrahydronaphth-2-yl, (un)substituted phenyl; R2 = lower alkyl, allyl, cyclopropyl, cyclobutyl, cyclopentyl, mono- or dilower alkylamino; R3 = -NR5R6, -OCR7R8CR9R0(CR11R12)nOR13; R4 = H, hydroxy, lower alkoxy, lower alkyl, halogen; or R3 and R4 together may form a methylenedioxy or ethylenedioxy ring optionally further substituted with a hydroxymethyl group; R5, R6 lower alkyl; R7 = H, lower alkyl, or hydroxymethyl; R8, R9, R11, R12 H or Me; R10 = H or lower alkyl; when n = 1, R10 in addition = lower alkoxy, hydroxy, -NH2, -NHR5 or -NR5R6; R13 = H, lower alkyl, hydroxycarbonyl-lower alkyl, 1-glyceryl or 2-glyceryl; n = 0 or 1; addnl. details are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data are included for 157 examples of 1 and 37 precursors. For example, 11 was prepared from 3-chloro-4-(2-acetoxyethoxy)benzaldehyde and 2-((Z)-isopropylimino)-3-phenylthiazolidin-4-one according to a general procedure; a general method for preparing the thiazolidinone reactant is also given.
IT 854107-49-6P, 5-(Benzodioxol-5-yl)meth-(Z)-ylidene-2-((Z)-isopropylimino)-3-phenylthiazolidin-4-one 854107-52-1P, 5-[2,3-Dihydrobenzo[1,4]dioxin-6-ylmeth-(Z)-ylidene]-2-((Z)-isopropylimino)-3-(o-tolyl)thiazolidin-4-one 854108-06-8P, 5-[2,3-Dihydrobenzo[1,4]dioxin-6-ylmeth-(Z)-ylidene]-2-((Z)-isopropylimino)-3-phenylthiazolidin-4-one 854108-28-4P, 5-[3-Hydroxymethyl-2,3-dihydrobenzo[1,4]dioxin-6-ylmeth-(Z)-ylene]-2-((Z)-isopropylimino)-3-phenylthiazolidin-4-one 854108-30-8P, 5-[((Z)-Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-(o-tolyl)thiazolidin-4-one 854108-50-2P, 5-[[(Z)-2,3-Dihydro-2-(hydroxymethyl)-1,4-benzodioxin-6-yl]methylene]-2-((Z)-isopropylimino)-3-(o-tolyl)thiazolidin-4-one 854108-52-4P , 5-[(Z)-(Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-(m-

tolyl)thiazolidin-4-one 854108-54-6P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(m-tolyl)thiazolidin-4-one 854108-64-8P, 5-[(Z)-(Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-(p-tolyl)thiazolidin-4-one 854108-66-0P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(p-tolyl)thiazolidin-4-one 854108-74-0P, 5-[(Z)-(Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-(2,3-dimethylphenyl)thiazolidin-4-one 854108-76-2P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(2,3-dimethylphenyl)thiazolidin-4-one 854108-94-4P, 5-[[(Z)-2,3-Dihydro-2-(hydroxymethyl)-1,4-benzodioxin-6-yl]methylene]-2-((Z)-isopropylimino)-3-(2,3-dimethylphenyl)thiazolidin-4-one 854108-96-6P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(2,4-dimethylphenyl)thiazolidin-4-one 854109-00-5P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(2,6-dimethylphenyl)thiazolidin-4-one 854109-04-9P, 5-[(Z)-(Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-(2-chlorophenyl)thiazolidin-4-one 854109-06-1P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(2-chlorophenyl)thiazolidin-4-one 854109-16-3P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(2-methoxyphenyl)thiazolidin-4-one 854109-22-1P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(3-methoxyphenyl)thiazolidin-4-one 854109-26-5P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(4-methoxyphenyl)thiazolidin-4-one 854109-32-3P, 5-[(Z)-(Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-allylthiazolidin-4-one 854109-34-5P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-allylthiazolidin-4-one 854109-44-7P, 5-[(Z)-(Benzodioxol-5-yl)methylene]-3-phenyl-2-((Z)-propylimino)thiazolidin-4-one 854109-46-9P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-3-phenyl-2-((Z)-propylimino)thiazolidin-4-one 854109-56-1P, 5-[(Z)-(Benzodioxol-5-yl)methylene]-2-((Z)-propylimino)-3-(o-tolyl)thiazolidin-4-one 854109-58-3P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-propylimino)-3-(o-tolyl)thiazolidin-4-one 854109-72-1P, 5-[(Z)-(Benzodioxol-5-yl)methylene]-2-((Z)-propylimino)-3-(2,3-dimethylphenyl)thiazolidin-4-one 854109-74-3P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-propylimino)-3-(2,3-dimethylphenyl)thiazolidin-4-one 854109-90-3P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-tert-Butylimino)-3-phenylthiazolidin-4-one 854110-06-8P, 5-[(Z)-(Benzodioxol-5-yl)methylene]-2-((Z)-Ethylimino)-3-(2-methylphenyl)thiazolidin-4-one 854110-08-0P, 5-[(Z)-(2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-Ethylimino)-3-(2-methylphenyl)thiazolidin-4-one 854110-32-0P, 5-[(Z)-(Benzodioxol-5-yl)methylene]-2-((Z)-sec-Butylimino)-3-phenylthiazolidin-4-one 854110-40-0P, 5-[((Z)-Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-isopropylthiazolidin-4-one 854110-42-2P, 5-[((Z)-2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-isopropylthiazolidin-4-one 854110-52-4P, 5-[((Z)-2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(2-ethylphenyl)thiazolidin-4-one 854110-58-0P, 5-[((Z)-Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-(5,6,7,8-tetrahydronaphthalen-1-yl)thiazolidin-4-one 854110-60-4P, 5-[((Z)-2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(5,6,7,8-tetrahydronaphthalen-1-yl)thiazolidin-4-one 854110-62-6P, 5-[((Z)-Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-(3-chloro-2-methylphenyl)thiazolidin-4-one 854110-64-8P, 5-[((Z)-2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(3-chloro-2-methylphenyl)thiazolidin-4-one 854110-68-2P, 5-[((Z)-Benzodioxol-5-yl)methylene]-2-((Z)-

isopropylimino)-3-(3-chloro-4-methylphenyl)thiazolidin-4-one 854110-70-6P, 5-[((Z)-2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(3-chloro-4-methylphenyl)thiazolidin-4-one 854110-74-0P, 5-[((Z)-Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-(3-trifluoromethylphenyl)thiazolidin-4-one 854110-76-2P, 5-[((Z)-2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(3-trifluoromethylphenyl)thiazolidin-4-one 854110-80-8P, 5-[((Z)-Benzodioxol-5-yl)methylene]-2-((Z)-isopropylimino)-3-(3-chlorophenyl)thiazolidin-4-one 854110-82-0P, 5-[((Z)-2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-isopropylimino)-3-(3-chlorophenyl)thiazolidin-4-one 854110-90-0P, 5-[((Z)-Benzodioxol-5-yl)methylene]-2-((Z)-allylimino)-3-allylthiazolidin-4-one 854110-92-2P, 5-[((Z)-2,3-Dihydro-1,4-benzodioxin-6-yl)methylene]-2-((Z)-allylimino)-3-allylthiazolidin-4-one

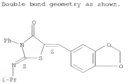
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of 2-imino-5-benzylidenethiazolidin-4-one derivs. as immunosuppressants)

RN 854107-49-6 CAPLUS

CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(1-methylethyl)imino]-3-phenyl-, (2Z,5Z)- (CA INDEX NAME)

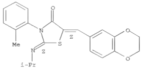
Double bond geometry as shown.



RN 854107-52-1 CAPLUS

CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[(1-methylethyl)imino]-3-(2-methylphenyl)-, (2Z,5Z)- (CA INDEX NAME)

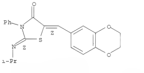
Double bond geometry as shown.



RN 854108-06-8 CAPLUS

CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[(1-methylethyl)imino]-3-phenyl-, (2Z,5Z)- (CA INDEX NAME)

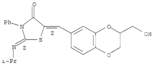
Double bond geometry as shown.



RN 854108-28-4 CAPLUS

CN 4-Thiazolidinone, 5-[[2,3-dihydro-3-(hydroxymethyl)-1,4-benzodioxin-6-yl]methylene]-2-[(1-methylethyl)imino]-3-phenyl-, (2Z,5Z)- (CA INDEX NAME)

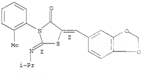
Double bond geometry as shown.



RN 854108-30-8 CAPLUS

CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(1-methylethyl)imino]-3-(2-methylphenyl)-, (2Z,5Z)- (CA INDEX NAME)

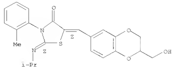
Double bond geometry as shown.



RN 854108-50-2 CAPLUS

CN 4-Thiazolidinone, 5-[[2,3-dihydro-2-(hydroxymethyl)-1,4-benzodioxin-6-yl]methylene]-2-[(1-methylethyl)imino]-3-(2-methylphenyl)-, (2Z,5Z)- (CA INDEX NAME)

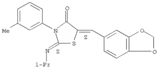
Double bond geometry as shown.



RN 854108-52-4 CAPLUS

CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(1-methylethyl)imino]-3-(3-methylphenyl)-, (2Z,5Z)- (CA INDEX NAME)

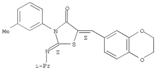
Double bond geometry as shown.



RN 854108-54-6 CAPLUS

CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[(1-methylethyl)imino]-3-(3-methylphenyl)-, (2Z,5Z)- (CA INDEX NAME)

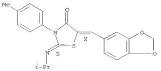
Double bond geometry as shown.



RN 854108-64-8 CAPLUS

CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(1-methylethyl)imino]-3-(4-methylphenyl)-, (2Z,5Z)- (CA INDEX NAME)

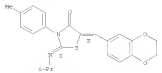
Double bond geometry as shown.



RN 854108-66-0 CAPLUS

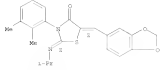
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[(1-methylethyl)imino]-3-(4-methylphenyl)-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



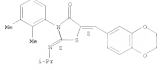
RN 854108-74-0 CAPLUS
CN 4-Thiazolidinone, 5-[1,3-benzodioxol-5-ylmethylene]-3-[2,3-dimethylphenyl]-2-[(1-methylethyl)imino]-, (2E,5E)- (CA INDEX NAME)

Double bond geometry as shown.



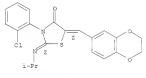
RN 854108-76-2 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-3-[2,3-dimethylphenyl]-2-[(1-methylethyl)imino]-, (2E,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



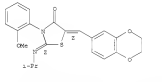
RN 854108-94-4 CAPLUS
CN 4-Thiazolidinone, 5-[[2,3-dihydro-2-(hydroxymethyl)-1,4-benzodioxin-6-yl]methylene]-3-[2,3-dimethylphenyl]-2-[(1-methylethyl)imino]-, (2E,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



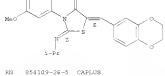
RN 854109-06-1 CAPLUS
CN 4-Thiazolidinone, 3-(2-chlorophenyl)-5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[(1-methylethyl)imino]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 854109-16-3 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-3-(2-methoxyphenyl)-2-[(1-methylethyl)imino]-, (2Z,5E)- (CA INDEX NAME)

Double bond geometry as shown.

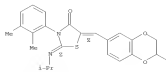


RN 854109-22-1 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-3-(3-methoxyphenyl)-2-[(1-methylethyl)imino]-, (2E,5E)- (CA INDEX NAME)

Double bond geometry as shown.

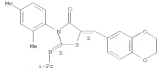
RN 854109-24-5 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-3-(4-methoxyphenyl)-2-[(1-methylethyl)imino]-, (2Z,5E)- (CA INDEX NAME)

Double bond geometry as shown.



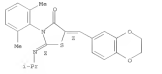
RN 854108-96-6 CAPLUS
CN 4-Thiazolidinone, 5-[[2,3-dihydro-1,4-benzodioxin-6-yl]methylene]-3-[2,4-dimethylphenyl]-2-[(1-methylethyl)imino]-, (2E,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



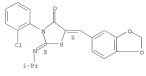
RN 854109-00-5 CAPLUS
CN 4-Thiazolidinone, 5-[[2,3-dihydro-1,4-benzodioxin-6-yl]methylene]-3-[2,6-dimethylphenyl]-2-[(1-methylethyl)imino]-, (2E,5Z)- (CA INDEX NAME)

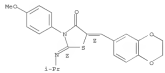
Double bond geometry as shown.



RN 854109-04-9 CAPLUS
CN 4-Thiazolidinone, 5-[(1,3-benzodioxol-5-ylmethylene)-3-(2-chlorophenyl)-2-[(1-methylethyl)imino]-, (2E,5E)- (CA INDEX NAME)

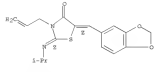
Double bond geometry as shown.





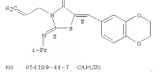
RN 854109-32-3 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(1-methylethyl)imino]-3-(2-propen-1-yl)-, (2E,5E)- (CA INDEX NAME)

Double bond geometry as shown.



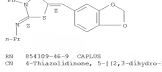
RN 854109-34-5 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[(1-methylethyl)imino]-3-(2-propen-1-yl)-, (2E,5E)- (CA INDEX NAME)

Double bond geometry as shown.



RN 854109-44-7 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-3-phenyl-2-(propylimino)-, (2Z,5E)- (CA INDEX NAME)

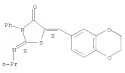
Double bond geometry as shown.



RN 854109-46-9 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-3-phenyl-

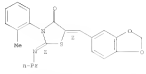
2-(propylimino)-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



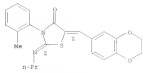
RN 854109-56-1 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-3-(2-methylphenyl)-2-(propylimino)-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 854109-58-3 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-3-(2-methylphenyl)-2-(propylimino)-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.

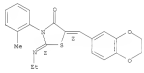


RN 854109-72-1 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-3-(2,3-dimethylphenyl)-2-(propylimino)-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.

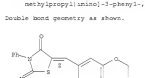
RN 854110-08-0 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-(ethylimino)-3-(2-methylphenyl)-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



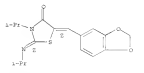
RN 854110-32-0 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(1-methylpropyl)imino]-3-phenyl-, (2E,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



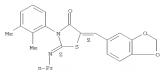
RN 854110-40-0 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-3-(1-methylethyl)-2-[(1-methylethyl)imino]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



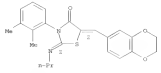
RN 854110-42-2 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-3-[(1-methylethyl)-2-[(1-methylethyl)imino]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



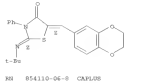
RN 854109-74-3 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-3-(2,3-dimethylphenyl)-2-(propylimino)-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



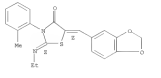
RN 854109-90-3 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[(1,1-dimethylethyl)imino]-3-phenyl-, (2E,5Z)- (CA INDEX NAME)

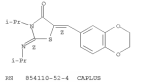
Double bond geometry as shown.



RN 854110-06-8 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-2-(ethylimino)-3-(2-methylphenyl)-, (2Z,5Z)- (CA INDEX NAME)

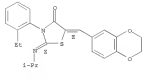
Double bond geometry as shown.





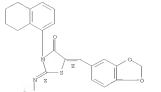
RN 854110-52-4 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-3-(2-ethylphenyl)-2-[(1-methylethyl)imino]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



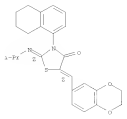
RN 854110-58-0 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(1-methylethyl)imino]-3-(5,6,7,8-tetrahydro-1-naphthalenyl)-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



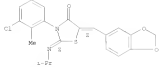
RN 854110-60-4 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[(1-methylethyl)imino]-3-(5,6,7,8-tetrahydro-1-naphthalenyl)-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



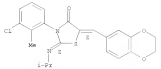
RN 854110-62-6 CAPLUS
CN 4-Thiazolidinone, 5-[1,3-benzodioxol-5-ylmethylene]-3-[3-chloro-2-methylphenyl]-2-[[1-methylethyl]amino]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



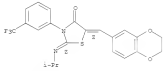
RN 854110-64-8 CAPLUS
CN 4-Thiazolidinone, 3-[3-chloro-2-methylphenyl]-5-[[2,3-dihydro-1,4-benzodioxin-6-yl]methylene]-2-[[1-methylethyl]imino]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



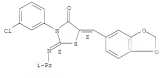
RN 854110-68-2 CAPLUS
CN 4-Thiazolidinone, 5-[1,3-benzodioxol-5-ylmethylene]-3-[3-chloro-4-methylphenyl]-2-[[1-methylethyl]imino]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



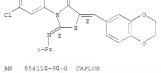
RN 854110-82-8 CAPLUS
CN 4-Thiazolidinone, 5-[1,3-benzodioxol-5-ylmethylene]-3-(3-chlorophenyl)-2-[[1-methylethyl]imino]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



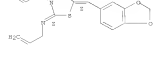
RN 854110-82-0 CAPLUS
CN 4-Thiazolidinone, 3-(3-chlorophenyl)-5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[[1-methylethyl]imino]-, (2Z,5Z)- (CA INDEX NAME)

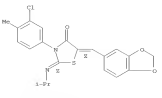
Double bond geometry as shown.



RN 854110-92-0 CAPLUS
CN 4-Thiazolidinone, 5-[1,3-benzodioxol-5-ylmethylene]-3-[2-propen-1-yl]-2-[2-propen-1-ylimino]-, (2E,5Z)- (CA INDEX NAME)

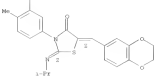
Double bond geometry as shown.





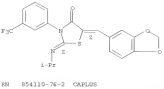
RN 854110-70-6 CAPLUS
CN 4-Thiazolidinone, 3-(3-chloro-4-methylphenyl)-5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[(1-methylethyl)imino]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 854110-74-0 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(1-methylethyl)imino]-3-[5-(trifluoromethyl)phenyl]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.

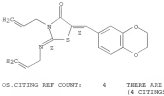


RN 854110-76-2 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-2-[(1-methylethyl)imino]-3-[3-(trifluoromethyl)phenyl]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 854110-92-2 CAPLUS
CN 4-Thiazolidinone, 5-[(2,3-dihydro-1,4-benzodioxin-6-yl)methylene]-3-[2-propen-1-yl]-2-[2-propen-1-ylimino]-, (2Z,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

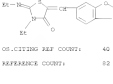
L4 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:442327 CAPLUS
DOCUMENT NUMBER: 144:402477
TITLE: Binding site of activators of the cystic fibrosis transmembrane conductance regulator in the nucleotide binding domains
AUTHOR(S): Moran, O.; Galietta, L. J. V.; Zegarra-Moran, O.
CORPORATE SOURCE: Istituto di Biofisica, CNR, Genoa, 16149, Italy
SOURCE: Cellular and Molecular Life Sciences (2005), 62(14), 1646-1660
CODEN: CMLSFJ; ISSN: 1420-682X
PUBLISHER: Birkhaeuser Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The use of substances that could activate the defective chloride channels of the mutant cystic fibrosis transmembrane conductance regulator (CFTR) has been suggested as possible therapy for cystic fibrosis. Using epithelia formed by cells stably transfected with wild-type or mutant (G551D, G1349D) CFTR, we estimated the apparent dissociation constant, KD, of a series of CFTR activators by measuring the increase in the apical membrane current. Modification of apparent KD of CFTR activators by mutations of the nucleotide-binding domains (NBDs) suggests that the binding site might be in these regions. The human NBD structure was predicted by homol. with murine NBD1. An NBD1-NBD2 complex was constructed by overlying monomers to a bacterial ABC transporter NBD dimer in the 'head-to-tail' conformation. Binding sites for CFTR activators were predicted by mol. docking. Comparison of theor. binding free energy estimated in the model to free energy estimated from the apparent dissociation consts., KD, resulted in a remarkably good correlation coefficient for one of the putative binding sites, located in the interface between NBD1 and NBD2.

IT 341128-76-5
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(binding site of activators of the cystic fibrosis transmembrane conductance regulator in the nucleotide binding domains)

RN 341128-76-5 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-3-ethyl-2-(ethylimino)- (CA INDEX NAME)



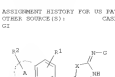
OS.CITING REF COUNT: 40 THERE ARE 40 CAPLUS RECORDS THAT CITE THIS RECORD (40 CITINGS)
REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

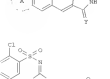
L4 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:128737 CAPLUS
DOCUMENT NUMBER: 142:219270
TITLE: Preparation of 2-imino-4-(thioloxo-5-polycyclovinylazoliner as PI3 kinase inhibitors
INVENTOR(S): Kaeckle, Thomas; Shaw, Jeffrey; Church, Deniz; Covini, David
PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth.
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005011696	A1	20050210	WO 2004-EP51625	20040727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2004260876	A1	20050210	AU 2004-260876	20040727
CA 2531449	A1	20050210	CA 2004-2531449	20040727
EP 1649452	A1	20060426	EP 2004-766335	20040727
EP 1649452	B1	20090722		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007526973	T	20070111	JP 2006-521581	20040727
US 20070021447	A1	20070125	US 2004-569976	20040727
ES 2328146	T3	20091106	ES 2004-766335	20040727
NO 2006000273	A	20060203	NO 2006-173	20060117
			EP 2009-162313	A 20040727
PRIORITY APPLN. INFO.:			WO 2004-EP51625	W 20040727

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LOSS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:219270; MARPAT 142:219270
GI





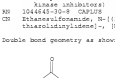
L4 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

AB The title compds. I [A = 5-8 membered heterocyclic or carbocyclic group which may be fused with an aryl, heteroaryl, cycloalkyl or heterocycloalkyl; X = S, O, NR3, Y = S, O; R1 = H, CN, CONR, acyl, etc.; R2 = H, halo, acyl, NR4, etc.; G = alkoxy, alkyl, CN, etc.; R3 = H, alkyl; with provisos], useful in particular for the treatment and/or prophylaxis of autoimmune disorders and/or inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, kidney diseases, platelet aggregation, cancer, transplantation, graft rejection or lung injuries, were prepared and formulated. Thus, reacting 5-benzo[1,3]dioxol-5-ylmethene-2-mercaptoacetoldin-4-one (preparation given) with 2-chlorobenzenesulfonyl chloride afforded 17% II. The tested compds. showed IC50 of < 10 μM with regard to PI3Kγ.

IT 1044645-30-8 1044645-32-0 1044645-33-1
1044645-34-2 1044645-35-3 1044645-40-0
1044645-41-1 1044645-42-2 1044645-43-3
1044645-48-8 1044645-49-9 1044645-51-3
1044645-55-7 1044645-56-8 1044645-57-9
1044645-59-0 1044645-62-6 1044645-63-7
1044645-65-9 1044645-66-0 1044645-70-6
1044645-72-8 1044645-73-9 1044645-77-3
1044645-78-4
RL: PRPH (Prophetic)
(Preparation of 2-imino-4-(thio)oxo-5-polyoxolinylazolines as PI3 kinase inhibitors)

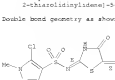
RN 1044645-30-8 CAPLUS
CN Ethanesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thioxothiazolidinyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 1044645-32-0 CAPLUS
CN 1H-Pyrazole-4-sulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thioxothiazolidinyl]-3-chloro-1,3-dimethyl-, (9CI) (CA INDEX NAME)

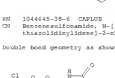
Double bond geometry as shown.



RN 1044645-33-1 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-(dimethylamino)-6-quinazolinyl]methylene]-2-(methylamino)-, (5E)- (CA INDEX NAME)

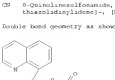
Double bond geometry as shown.

L4 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



RN 1044645-34-2 CAPLUS
CN Methanesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thioxothiazolidinyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 1044645-35-3 CAPLUS
CN Benzenesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thioxothiazolidinyl]-2-chloro-, (9CI) (CA INDEX NAME)

Double bond geometry as shown.

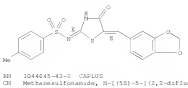
L4 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 1044645-40-0 CAPLUS
CN 8-Quinolinesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thioxothiazolidinyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

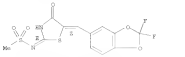
RN 1044645-41-1 CAPLUS
CN Benzenesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thioxothiazolidinyl]-4-methyl-, (9CI) (CA INDEX NAME)

Double bond geometry as shown.



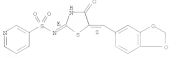
RN 1044645-42-2 CAPLUS
CN Methanesulfonamide, N-[(5Z)-5-[[2,2-difluoro-1,3-benzodioxol-5-ylmethylene]-4-oxo-2-thiazolidinylidene]-, (N|E)- (CA INDEX NAME)

Double bond geometry as shown.



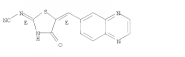
RN 1044645-45-5 CAPLUS
CN 5-Pyridinesulfonamide, N-[(5Z)-5-[(2,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, (N|E)- (CA INDEX NAME)

Double bond geometry as shown.



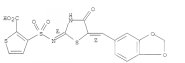
RN 1044645-48-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



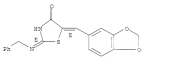
RN 1044645-49-9 CAPLUS
CN 3-Pyridinesulfonamide, N-[(5E)-5-[(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-6-chloro-, (N|E)- (CA INDEX NAME)

Double bond geometry as shown.



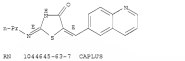
RN 1044645-58-0 CAPLUS
CN 4-Thiazolidinone, 5-[1,3-benzodioxol-5-ylmethylene)-2-[(phenylmethyl)amino]-, (2E,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



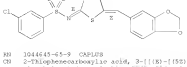
RN 1044645-62-6 CAPLUS
CN 4-Thiazolidinone, 2-(propylamino)-3-(6-quinolinylmethylene)-, (2E,5E)- (CA INDEX NAME)

Double bond geometry as shown.



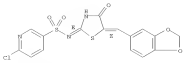
RN 1044645-63-7 CAPLUS
CN Benzenesulfonamide, N-[(5E)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-3-chloro-, (N|E)- (CA INDEX NAME)

Double bond geometry as shown.



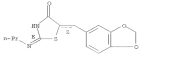
RN 1044645-65-9 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[(5E)-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

Double bond geometry as shown.



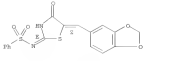
RN 1044645-51-3 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-2-(propylimino)-, (2E,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



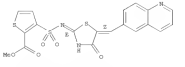
RN 1044645-55-7 CAPLUS
CN Benzenesulfonamide, N-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]-, (N|E)- (CA INDEX NAME)

Double bond geometry as shown.



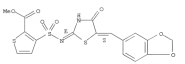
RN 1044645-56-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[(E)-[(5Z)-4-oxo-5-(6-quinolinylmethylene)-2-thiazolidinylidene]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

Double bond geometry as shown.



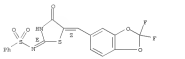
RN 1044645-57-9 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[(E)-[(5Z)-5-(1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thiazolidinylidene]amino]sulfonyl]- (CA INDEX NAME)

Double bond geometry as shown.



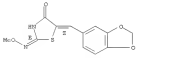
RN 1044645-66-0 CAPLUS
CN Benzenesulfonamide, N-[(5Z)-5-[(2,2-difluoro-1,3-benzodioxol-5-ylmethylene]-4-oxo-2-thiazolidinylidene]-, (N|E)- (CA INDEX NAME)

Double bond geometry as shown.



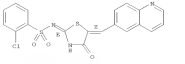
RN 1044645-70-6 CAPLUS
CN 2,4-Thiazolidinedione, 5-(1,3-benzodioxol-5-ylmethylene)-, 2-(O-methyloxime), (2E,5Z)- (CA INDEX NAME)

Double bond geometry as shown.



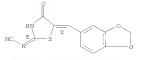
RN 1044645-72-8 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[(5Z)-4-oxo-5-(6-quinolinylmethylene)-2-thiazolidinylidene]-, (N|E)- (CA INDEX NAME)

Double bond geometry as shown.



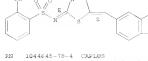
RN 1044645-73-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Double bond geometry as shown.



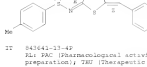
RN 1044645-77-3 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-[(5E)-5-[1,3-benzodioxol-5-ylmethylene)-4-oxo-2-thioxothiazolidinylidene]-, [N(E)]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1044645-78-4 CAPLUS
CN Benzenesulfonamide, N-[(5E)-5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4-oxo-2-thiazolidinylidene]-4-methyl-, [N(E)]- (CA INDEX NAME)

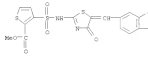
Double bond geometry as shown.



IT 843641-13-4P

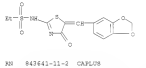
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-imino-6-(thio)oxo-5-polycyclovinylazolines as PI3 kinase inhibitors)

RN 843641-13-4 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[5-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)

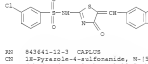


IT 176529-68-3P 324693-91-8P 419552-35-5P

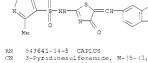
RN 843641-10-1 CAPLUS
CN Ethanesulfonamide, N-[5-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



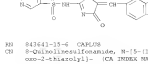
RN 843641-11-2 CAPLUS
CN Benzenesulfonamide, N-[5-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-3-chloro- (CA INDEX NAME)



RN 843641-12-3 CAPLUS
CN 1H-Pyrazole-4-sulfonamide, N-[5-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-5-chloro-1,3-dimethyl- (CA INDEX NAME)



RN 843641-14-5 CAPLUS
CN 3-Pyridinesulfonamide, N-[5-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-6-chloro- (CA INDEX NAME)

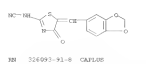


RN 843641-15-6 CAPLUS
CN 8-Quinolinesulfonamide, N-[5-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

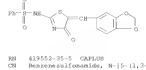
RN 843641-09-8P 843641-10-1P 843641-11-2P
843641-12-3P 843641-14-5P 843641-15-6P
843641-16-7P 843641-17-8P 843641-18-9P
843641-19-0P 843641-20-3P 843641-21-4P
843641-22-5P 843641-27-0P 843641-24-7P
843641-25-8P 843641-26-9P 843641-27-0P
843641-28-1P 843641-29-2P 843641-30-5P
888948-67-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-imino-4-(thio)oxo-5-polycyclovinylazolines as PI3 kinase inhibitors)

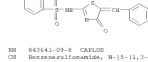
RN 176529-68-3 CAPLUS
CN Cyanamide, [5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



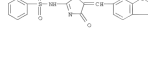
RN 324693-91-8 CAPLUS
CN Benzenesulfonamide, N-[5-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

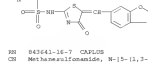


RN 419552-35-5 CAPLUS
CN Benzenesulfonamide, N-[5-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (CA INDEX NAME)

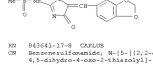


RN 843641-09-8 CAPLUS
CN Benzenesulfonamide, N-[5-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-2-chloro- (CA INDEX NAME)

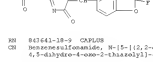




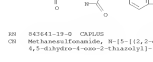
RN 843641-16-7 CAPLUS
CN Benzenesulfonamide, N-[5-[1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



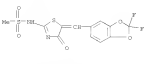
RN 843641-17-8 CAPLUS
CN Benzenesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



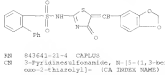
RN 843641-18-9 CAPLUS
CN Benzenesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (CA INDEX NAME)



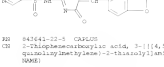
RN 843641-19-0 CAPLUS
CN Methanesulfonamide, N-[5-[(2,2-difluoro-1,3-benzodioxol-5-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



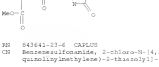
RN 843641-20-3 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-[5-[(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)



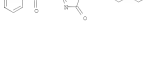
RN 843641-21-4 CAPLUS
CN 3-Pyridinesulfonamide, N-[5-[(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

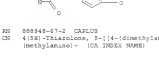


RN 843641-22-5 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[4,5-dihydro-4-oxo-5-[6-quinolinylmethylene)-2-thiazolyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)



RN 843641-23-6 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[4,5-dihydro-4-oxo-5-[6-quinolinylmethylene)-2-thiazolyl]- (CA INDEX NAME)





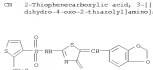
RN 843641-30-5 CAPLUS
CN 4(5H)-Thiazolone, 5-(1,3-benzodioxol-5-ylmethylene)-2-(propylamino)- (CA INDEX NAME)

RN 888948-67-2 CAPLUS
CN 4(5H)-Thiazolone, 5-[[4-(dimethylamino)-6-quinazolinyl]methylene]-2-(methylamino)- (CA INDEX NAME)

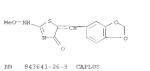
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

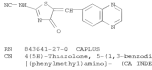
RN 843641-24-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]amino]sulfonyl]- (CA INDEX NAME)



RN 843641-25-8 CAPLUS
CN 4(5H)-Thiazolone, 5-(1,3-benzodioxol-5-ylmethylene)-2-(methoxyamino)- (CA INDEX NAME)



RN 843641-26-9 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-(6-quinolinylmethylene)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 843641-27-0 CAPLUS
CN 4(5H)-Thiazolone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(phenylmethyl)amino]- (CA INDEX NAME)

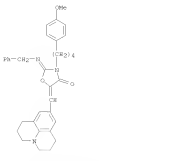
RN 843641-28-1 CAPLUS
CN 4(5H)-Thiazolone, 2-[(phenylmethyl)amino]-5-(6-quinolinylmethylene)- (CA INDEX NAME)

RN 843641-29-2 CAPLUS
CN 4(5H)-Thiazolone, 2-(propylamino)-5-(6-quinolinylmethylene)- (CA INDEX NAME)

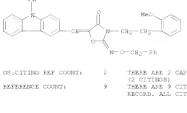
ACCESSION NUMBER: 2004:964678 CAPLUS
DOCUMENT NUMBER: 141:417965
TITLE: Sensitizing dye and photosensitive composition for lithographic printing plate
INVENTOR(S): Shimuya, Akinori
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: U.S. Pat. Appl. Publ., 32 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040224257	A1	20041111	US 2004-838316	20040505
US 7169529	B2	20070130		
JP 2004331880	A	20041125	JP 2003-131847	20030509
JP 4469561	B2	20100526		
EP 1491536	A1	20041229	EP 2004-10971	20040507
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRIORITY APPLN. INFO.:			JP 2003-131847 A	20030509

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUB DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:417965
AB A photo-sensitive composition for lithog. printing plate comprises (i) the novel compound as a sensitizing dye, (ii) an activator compound generating at least one of a radical and an acid by interacting the activator compound with light absorption of the sensitizing dye to cause chemical change, and (iii) a compound changing its phys. or chemical property irreversibly by a reaction with at least one of the radical and the acid. The object of the present invention is to provide a photosensitive composition having high sensitivity to the wavelength over a wide range 350-450 nm, high press life and good compatibility and being suited for a lithog. printing plate precursor to the oscillation wavelength of a short-wave semiconductor laser and thereby obtain a lithog. printing plate or the like for scanning exposure, which is ensured with excellent workability, high profitability and good suitability for CTP system.
IT 791806-48-9 791806-55-8
RL: TEM (Technical or engineered material use); USES (Uses)
(sensitizing dye; sensitizing dye and photosensitive composition for lithog. printing plate)
RN 791806-48-9 CAPLUS
CN 4-Oxazolidinone, 3-[4-(4-methoxyphenyl)butyl]-2-[(phenylmethyl)imino]-5-[(2,3,6,7-tetrahydro-1H,5H-benzo[ij]quinolizin-9-yl)methylene]- (CA INDEX NAME)



RN 791804-55-8 CAPLUS
CN 2,4-Oxazolidinedione, 3-[2-[2-methoxyphenyl]ethyl]-5-[(9-phenyl-9H-carbazol-3-yl)methylene]-, 2-[(4-phenylmethyl)oxime] (CA INDEX NAME)



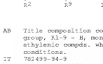
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2004:898945 CAPLUS
DOCUMENT NUMBER: 141:386405
TITLE: Photosensitive composition for making lithographic printing plate
INVENTOR(S): Ishii, Yohei; Shibuya, Akinori
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.
CODEN: JKXAAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004302207	A	20041028	JP 2003-95901	20030331
PRIORITY APPLN. INFO.:			JP 2003-95901	20030331
OTHER SOURCE(S):		MARPAT 141:386405		

GI



AB Title composition comprises (1) sensitizing dye I (X = O, S, bivalent non-metal group, R1-9 = H, monovalent non-metal group), (2) an activating agent, (3) ethylenic compds. which can undergo addition polymerization under radical or acidic conditions.

IT 782499-94-9
RL: MOA (Modifier or additive use); USES (Uses)
(photosensitive composition containing sensitizing dyes for making lithog. printing plate)

RN 782499-94-9 CAPLUS
CN 1GH-Pheno[a]fine-19-carboxylic acid, 3-[(3-methyl-2-[(3-methylethyl)imine]-4-oxo-5-oxazolidinylidene)methyl]-, methyl ester (CA INDEX NAME)

ACCESSION NUMBER: 2004:878843 CAPLUS
DOCUMENT NUMBER: 141:372907
TITLE: Light-sensitive material compositions for lithographic printing plate precursors
INVENTOR(S): Shibuya, Akinori
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 87 pp.
CODEN: JKXAAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004298012	A	20041021	JP 2003-90715	20030328
PRIORITY APPLN. INFO.:			JP 2003-90715	20030328
OTHER SOURCE(S):		MARPAT 141:372907		

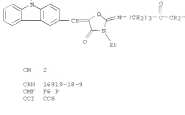
AB The title composition contains a photosensitizing dye, and a light-sensitive radical-, acid-, or base-generator, and compds. irreversibly changing the phys. properties by reacting with the generated acid, radical, or base, wherein the photosensitizing dye has general structure Dye-L-MDye = main photosensitizing dye group; L = 2-valent connecting organic group; M = acceptor having lower reduction potential than the oxidation potential of Dye). The composition shows high sensitivity toward laser beam generated by inexpensive semiconductor laser apparatus and good handling under light and provides printing plates of high printing durability.

IT 778610-65-4P
RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(photosensitizing dye in light-sensitive material compns.)

RN 778610-65-4 CAPLUS
CN Sulfonium, [5-[(3-ethyl-5-[(9-ethyl-9H-carbazol-3-yl)methylene]-4-oxo-2-oxazolidinylidene]ethoxy]-2-oxopentyl]dimethyl-, hexafluorophosphate(1-) (1:1) (CA INDEX NAME)

CM 1

CRN 778610-64-3
CMF C27 H32 N3 O3 S



CM 2

CRN 16919-18-9
CMF F6 P
CCI CCS

L4 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2004:802426 CAPLUS
DOCUMENT NUMBER: 141:322604
TITLE: Photosensitive composition containing novel sensitizer dyes for light-sensitive lithographic printing plate precursors
INVENTOR(S): Shibuya, Akinori
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan; Fujifilm Corporation
SOURCE: U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040195579	A1	20040930	US 2004-813136	20040331
US 7069925	B2	20070711		
JP 2004315649	A	20041111	JP 2003-111253	20030403
JP 4578857	B2	20101027		
CN 1574479	A	20050202	CN 2004-10031934	20040331
CN 100523963	C	20090805		
EP 1471387	A2	20041027	EP 2004-7862	20040331
EP 1471387	A3	20051111		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			JP 2003-96765	A 20030331
			JP 2003-111253	A 20030403

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:322604
GI

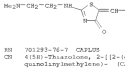
AB The invention relates to a photosensitive composition containing: a sensitizing dye represented by the formula I; A = aromatic ring, heterocyclic ring; X = O, S, Y = O, =N(R2)-; R2-3 = H, mono-valent nonmetallic atomic group); an initiator compound capable of generating a radical, an acid, or a base; and a compound whose phys. or chemical characteristic irreversibly changes by at least one of a radical, an acid, and a base. The composition is suitable for light-sensitive lithog. printing plate precursors.
IT 766515-10-0
RL: TEM (Technical or engineered material use); USES (Uses)
(dye in photosensitive composition)
RN 766515-10-0 CAPLUS
CN 4-Oxazolidinone, 3-ethyl-5-[(9-ethyl-9H-carbazol-3-yl)methylene]-2-[(phenylmethyl)amino]- (CA INDEX NAME)

L4 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 2004:467939 CAPLUS
DOCUMENT NUMBER: 141:38601
TITLE: Preparation of thiazolidinones for inhibiting hYAK3
INVENTOR(S): Saegawa, Masaichi; Tang, Jun; Sato, Hideyuki
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

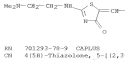
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004047760	A2	20040610	WO 2003-US37658	20031118
WO 2004047760	A3	20041021		
W: AE, AG, AL, AM, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, DM, DZ, EC, EE, EG, GD, GE, GH, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MA, MG, MK, MN, MW, MX, NO, NZ, OM, PH, PL, RO, RU, SC, SG, TN, TT, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2507256	A1	20040610	CA 2003-2507256	20031118
AU 2003286693	A1	20040618	AU 2003-286693	20031118
AU 2003286693	B2	20100930		
EP 1567112	A2	20050831	EP 2003-796448	20031118
EP 1567112	B1	20091014		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015502	A	20050914	BR 2003-15502	20031118
CN 1742010	A	20060301	CN 2003-80109119	20031118
JP 2006509763	T	20060323	JP 2004-553871	20031118
NZ 539879	A	20090925	NZ 2003-539879	20031118
AT 412372	T	20081115	AT 2003-796448	20031118
ES 2315112	T3	20090401	ES 2003-796448	20031118
AU 2007	A	20090430	AP 2005-3304	20031118
TW 309448	B	20090511	TW 2003-132476	20031120
IN 2005DN02002	A	20070502	IN 2005-DN2002	20050511
IN 219587	A1	20090627		
MX 2005005406	A	20050803	MX 2005-5406	20050520
NO 20051928	A	20050817	NO 2005-1928	20050415
HK 1083443	A1	20090710	HK 2006-102181	20060217
US 20060259338	A1	20061109	US 2006-535690	20060410
US 7787701	B2	20100803		
			US 2002-4283049	P 20021122
PRIORITY APPLN. INFO.:			WO 2003-US37658	W 20031118

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:38601
GI

AB This invention relates to newly identified compds. I [R = cyclealkyl, naphthyl, (un)substituted Ph, etc.; Q = quivelinyl, dihydrobenzofuranyl, benzodioxanyl, etc.] for inhibiting hYAK3 proteins and methods for treating diseases associated with the imbalance or inappropriate activity of hYAK3 proteins such as anemia. E.g., a 3-step synthesis of II, starting from 2-chloro-5-fluoroaniline, was given. The compds. I have valuable pharmacol. properties due to their ability to inhibit the hYAK3 kinase as demonstrated by data given for the representative compds. I.
IT 701293-74-5P 701293-76-7P 701293-78-9P
701293-80-3P 701293-81-4P 701293-82-5P
701294-17-9P 701294-18-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolidinones for inhibiting hYAK3)
RN 701293-74-5 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2,3-dihydro-5-benzofuranyl)methylene]-2-[(2-(dimethylamino)ethyl)amino]- (CA INDEX NAME)

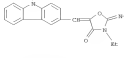


RN 701293-76-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(dimethylamino)ethyl]amino]-5-[6-quinolinylmethylene]- (CA INDEX NAME)



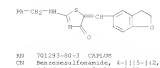
RN 701293-78-9 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2,3-dihydro-5-benzofuranyl)methylene]-2-[(phenylmethyl)amino]- (CA INDEX NAME)

L4 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

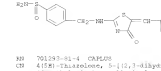


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

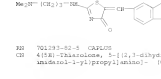
L4 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



RN 701293-80-3 CAPLUS
CN Benzenesulfonamide, 4-[[5-[(2,3-dihydro-5-benzofuranyl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]amino]methyl]- (CA INDEX NAME)



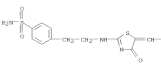
RN 701293-81-4 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2,3-dihydro-5-benzofuranyl)methylene]-2-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)



RN 701293-82-5 CAPLUS
CN 4(5H)-Thiazolone, 5-[(2,3-dihydro-5-benzofuranyl)methylene]-2-[[3-(2H-imidazol-1-yl)propyl]amino]- (CA INDEX NAME)

RN 701294-17-9 CAPLUS
CN 4(5H)-Thiazolone, 2-[[2-(3-chlorophenyl)ethyl]amino]-5-[6-quinolinylmethylene]- (CA INDEX NAME)

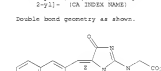
RN 701294-18-0 CAPLUS
CN Benzenesulfonamide, 4-[2-[[4,5-dihydro-4-oxo-5-[6-quinolinylmethylene]-2-thiazolyl]amino]ethyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

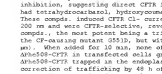
ACCESSION NUMBER: 2003:215947 CAPLUS
DOCUMENT NUMBER: 139:62612
TITLE: Structure and activity studies of glycine receptor ligands. Part 8. Arylidene-imidazolone-4-one amino acids
AUTHOR(S): Karolak-Wojciechowska, Janina; Mrozek, Agnieszka; Kiec-Kononowicz, Katarzyna; Handzlik, Jadwiga
CORPORATE SOURCE: Institute of General and Ecological Chemistry, Technical University of Lodz, Lodz, 90-924, Pol.
SOURCE: Journal of Molecular Structure (2003), 649(1-2), 25-36
CODEN: JMOSB4; ISSN: 0022-2860
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Based on chemical and preliminary biol. expts. (inhibition to glycine receptor), structure and activity relation of arylidene-imidazolone-4-one amino acids has been studied. In the course of our work, the simulation of the hydrogen bonds formation between ligand mol. and hypothetical receptor has been designed. Computed interactions are going to simulate possible ligand-receptor interaction with selected amino acids (in this investigation-with basic lysine and acidic aspartic acid). Obtained model sets, roughly the binding energy of the amino acids with ligand mols. The proposed amino acids binding energies approx. agree with activity of the isomeric benzylidene-imidazolino-4-one glycines and ω-alanines which decreases in the order of m-Cl>p-Cl>o-Cl substituents in benzylidene moiety. Additi., the lowering of activity is caused by lipophilic pocket volume
IT 550348-15-7P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PREP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure and activity studies of glycine receptor ligands)
RN 550348-15-7 CAPLUS
CN Glycine, N-[(4Z)-4,5-dihydro-4-[2-naphthalenylmethylene]-5-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:742984 CAPLUS
DOCUMENT NUMBER: 138:213909
TITLE: High-affinity Activators of Cystic Fibrosis Transmembrane Conductance Regulator (CFTR) Chloride Conductances Identified by High-Throughput Screening
AUTHOR(S): Ma, Tonghui; Vetrivel, L.; Yang, Hong; Pedemonte, Nicoletta; Zegarra-Moran, Olga; Galietta, Luis J. V.; Verkman, A. S.
CORPORATE SOURCE: Departments of Medicine and Physiology, Cardiovascular Research Institute, University of California, San Francisco, CA, 94143-0521, USA
SOURCE: Journal of Biological Chemistry (2002), 277(40), 37235-37241
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Cystic fibrosis (CF) is caused by mutations in the CF transmembrane conductance regulator (CFTR) protein that reduces cAMP-stimulated Cl- conductance in airway and other epithelia. The purpose of this investigation was to identify new classes of potent CFTR activators. A collection of 60,000 diverse drug-like compds. was screened at 10 μM together with a low concentration of forskolin (0.5 μM) in Fischer rat thyroid epithelial cells co-expressing human CFTR and a green fluorescent protein-based Cl- sensor. Primary screening yielded 57 strong activators (greater activity than reference compound apigenin), most of which were unrelated in chemical structure to known CFTR activators, and 284 weaker activators. Secondary anal. of the strong activators included anal. of CFTR specificity, forskolin enhancement, transepithelial short-circuit current, activation kinetics, dose response, toxicity, and activation mechanism. Three compds., the most potent being a dihydrobenzoquinoline, activated CFTR by elevating cellular cAMP, probably by phosphodiesterase inhibition. Fourteen compds. activated CFTR without cAMP elevation or phosphatase inhibition, suggesting direct CFTR interaction. The most potent compds. had tetrahydrocarbazol, hydroxycoumarin, and thiazolidine core structures. These compds. induced CFTR Cl- currents rapidly (<5 min) with Kd down to 200 nM and were CFTR-selective, reversible, and nontoxic. Several compds., the most potent being a trifluoromethylphenylthiosemicarbazone, activated the CF-causing mutant Δ55D, but with much weaker affinity (Kd > 10 μM). When added for 10 min, none of the compds. activated ΔF508-CFTR transfected cells grown at 37° (with ΔF508-CFTR trapped in the endoplasmic reticulum). However, after correction of trafficking by 48 h of growth at 27°, tetrahydrocarbazol and 8-phenylthiazine derivs. strongly stimulated Cl- conductance with Kd < 1 μM. The new activators identified here may be useful in defining mol. mechanisms of CFTR activation and as lead compds. in CF drug development.
IT 361182-76-5
RL: PMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(high-affinity activators of cystic fibrosis transmembrane conductance regulator (CFTR) chloride conductance identified by high-throughput screening)
RN 361182-76-5 CAPLUS
CN 4-Thiazolidinone, 5-(1,3-benzodioxol-5-ylmethylene)-3-ethyl-2-(ethylimino)- (CA INDEX NAME)

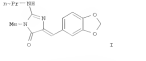


OS.CITING REF COUNT: 100 THERE ARE 100 CAPLUS RECORDS THAT CITE THIS RECORD (100 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:302968 CAPLUS
DOCUMENT NUMBER: 137:185708
TITLE: Microwave-assisted solventless synthesis of new derivatives of marine alkaloid Leucettamine B
AUTHOR(S): Cheneviere, Jean-Rene; Carreau, Francois; Barueau, Jean Pierre
CORPORATE SOURCE: Institut de Chimie, Synthese & Electrosynthese
Organiques 3, UMR 6510, Universite Rennes 1, Rennes, 35042, Fr.
SOURCE: Tetrahedron Letters (2002), 43(19), 3581-3584
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:185708

GI



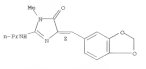
I

AB New access to N-alkyl deriv., e.g. I, of the marine alkaloid Leucettamine B are described using two three-step convergent routes. For the formation of the 2-amino imidazolone ring, the key steps involve solvent-free condensations under microwaves and guanylation reactions with non-sterically hindered primary amines.

IT 451455-66-6P 451455-67-7P 451455-68-8P
451455-69-9P 451455-70-2P 451455-72-4P
451455-73-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(microwave-mediated solventless synthesis of leucettamine B deriv.)

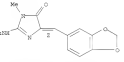
RN 451455-66-6 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene]-3,5-dihydro-3-methyl-2-(propylamino)-, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



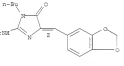
RN 451455-67-7 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene]-2-(butylamino)-3,5-dihydro-3-methyl-, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



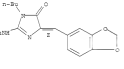
RN 451455-68-8 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene]-3-butyl-3,5-dihydro-2-(propylamino)-, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



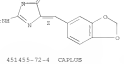
RN 451455-69-9 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene]-3-butyl-2-(butylamino)-3,5-dihydro-, (5E)- (CA INDEX NAME)

Double bond geometry as shown.



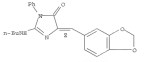
RN 451455-70-2 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene]-3,5-dihydro-3-phenyl-2-(propylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



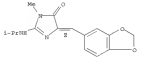
RN 451455-72-4 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene]-2-(butylamino)-3,5-dihydro-3-phenyl-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 451455-73-5 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene]-3,5-dihydro-3-methyl-2-[(3-methylethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1999:798095 CAPLUS
DOCUMENT NUMBER: 132:152069
TITLE: Synthesis of the marine alkaloid leucettamine B
AUTHOR(S): Rose, Nathalie; Bergman, Jan
CORPORATE SOURCE: Unit of Organic Chemistry, Department of Biosciences at Novum, Huddinge, SE-14157, Swed.
SOURCE: Tetrahedron (1999), 55(50), 14729-14738
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:152069
GI

AB The marine natural product leucettamine B (I) has been prepared in good yield via two different routes, starting with glycine or with 3-Me thiohydantoin, involving simple aldol condensation with piperonal, and finally transmutation of the thiohydantoin derivative
IT 257869-48-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of the marine alkaloid leucettamine B)
RN 257869-48-0 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-1-benzoyl-1,5-dihydro-2-(methylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

IT 257869-46-8P 257869-53-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of the marine alkaloid leucettamine B)
RN 257869-46-8 CAPLUS
CN 4H-Imidazol-4-one, 5-(1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-2-(methylamino)-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1999:163657 CAPLUS
DOCUMENT NUMBER: 130:325282
TITLE: Synthesis of Marine Alkaloids Isonaamine A, Dorimidazole A, and Preclathridine A. Iminophosphorane-Mediated Preparation of 2-Amino-1,4-disubstituted Imidazoles from α-Azido Esters
AUTHOR(S): Molina, Pedro; Fresneda, Pilar M.; Sanz, Miguel A.
CORPORATE SOURCE: Departamento de Química Orgánica Facultad de Química, Universidad de Murcia, Murcia, E-30071, Spain
SOURCE: Journal of Organic Chemistry (1999), 64(7), 2540-2544
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:325282
AB The preparation of 2-amino-1,5-disubstituted imidazoles from α-azido esters was achieved. The aza-Wittig reaction of the iminophosphorane derivs. with tosyl isocyanate, reaction with primary amines yielded the appropriately substituted 2-aminoimidazolinone ring followed by DIBAL reduction, methanesulfonyl chloride dehydration and N-tosyl deprotection afforded the title alkaloids. The key step was the Staudinger/aza-Wittig/carbodiimide-mediated cyclization of a novel guanidine precursor that yielded the appropriately substituted imidazole ring.
IT 223757-37-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of isonaamine A, dorimidazole A, and preclathridine A via iminophosphorane mediated approach)
RN 223757-37-7 CAPLUS
CN Benzenesulfonamide, N-[4-(2,3-benzodioxol-5-ylmethyl)-4,5-dihydro-1-methyl-5-oxo-1H-imidazol-2-yl]-4-methyl- (CA INDEX NAME)

OS.CITING REF COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS RECORD (36 CITINGS)
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

RN 257869-53-7 CAPLUS
CN 4H-Imidazol-4-one, 5-[1,3-benzodioxol-5-ylmethylene)-3,5-dihydro-3-methyl-2-[(phenylmethyl)amino]-, (5Z)- (CA INDEX NAME)

Double bond geometry as shown.

OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1997:648537 CAPLUS
DOCUMENT NUMBER: 127:307379
ORIGINAL REFERENCE NO.: 127:60122a
TITLE: Preparation of benzylidenes as antiallergy agents
INVENTOR(S): Kubo, Junichi; Yonemura, Keiji; Wakai, Mitsuo
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09255669	A	19970930	JP 1996-103104	19960322
PRIORITY APPLN. INFO.:			JP 1996-103104	19960322

OTHER SOURCE(S): MARPAT 127:307379
GI

AB Benzylidenes I [R1, R2 = H, halo, lower (halo)alkyl, lower alkoxy, CN, lower alkoxycarbonyl, lower alkylcarbonyloxy, lower alkoxyaralkenyl; R1 and R2 may form (O-substituted) lower alkylene] or their salts, useful for treatment of immediate-type and delayed-type allergy and autoimmune diseases (e.g. chronic rheumatoid arthritis), are prepared Refluxing guanylthiourea with Et chloroacetate in EtOH for 2 h gave 70% N-(4,5-dihydro-4-oxo-2-thiazolyl)guanidine.HCl, which was treated with PhCHO and AcONa at 80° for 1 h in AcOH to afford 43% I (R1 = R2 = H).
IT 197441-67-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzylidenes for treatment of allergy and autoimmune diseases)
RN 197441-67-7 CAPLUS
CN Guanidine, [5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-, (E)- (9CI) (CA INDEX NAME)

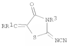
Double bond geometry as shown.

L4 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:298393 CAPLUS
DOCUMENT NUMBER: 124:343290
ORIGINAL REFERENCE NO.: 124:63763a,63766a
TITLE: Preparation of 5-alkylidene-2-(N-cyanoimino)thiazolidin-4-ones as aldose reductase inhibitors
INVENTOR(S): Fumio, Yoneda; Mayumi, Watanabe; Masatoshi, Sakae; Masanori, Katurada; Takaaki, Sabato
PATENT ASSIGNEE(S): Fujimoto Pharmaceutical Co, Ltd, Japan
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 697410	A1	19960221	EP 1995-304416	19950623
EP 697410	B1	20021106		
R: BE, DE, FR, GB, IT, SE				
JP 08041040	A	19960213	JP 1994-209067	19940729
JP 3871354	B2	20070124		
US 5750712	A	19980512	US 1995-493152	19950621

PRIORITY APPLN. INFO.: JP 1994-209067 A 19940729
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 124:343290; MARPAT 124:343290
GI

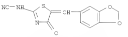


I

AB Title compds. [I; R = (Z)-R2(CH:CR1)n][II; each R1 independently = H or alkyl; R2 = (un)substituted Ph, naphthyl; R3 = H, alkyl, CH2CO2R4; R4 = H or alkyl; n = 0 or 1] were prepared Thus, 2-(N-cyanoimino)thiazolidin-4-one K salt was condensed with vanillin to give II (R1 = R3 = H, R2 = 4-hydroxy-3-methoxyphenyl, n = 0) which gave 100% inhibition of aldose reductase at 1.0x10-7M in vitro.

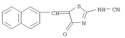
IT 176529-68-3P 176529-69-4P 176529-72-9P 176529-79-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-alkylidene-2-(N-cyanoimino)thiazolidin-4-ones as aldose reductase inhibitors)

RN 176529-68-3 CAPLUS
CN Cyanamide, [5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

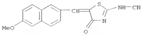


RN 176529-69-4 CAPLUS
CN Cyanamide, [4,5-dihydro-5-(2-naphthalenylmethylene)-4-oxo-2-thiazolyl]-

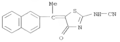
L4 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



RN 176529-72-9 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[(6-methoxy-2-naphthalenyl)methylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 176529-79-6 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[1-(2-naphthalenyl)ethylidene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



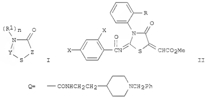
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L4 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1996:130808 CAPLUS
DOCUMENT NUMBER: 124:176081
ORIGINAL REFERENCE NO.: 124:32655a,32658a
TITLE: Preparation of 1,3-thiazolidin-4-one derivatives and analogs as thrombin receptor antagonists
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07285952	A	19951031	JP 1995-67197	19950327

PRIORITY APPLN. INFO.: GB 1994-7018 A 19940408
GB 1994-17443 A 19940830
OTHER SOURCE(S): MARPAT 124:176081
GI

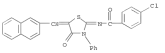


AB The title compds. [I; R1 = lower alkyl, aryl-lower alkyl, lower cycloalkyl, heterocyclyl, acylheterocyclyl, (un)substituted aryl; Y = R2-W:C, R3R4NC, CO; wherein R2 = acyl; W = N, CH; R3 = acyl; R4 = aryl; Z = C:CHR5, CHR7; wherein R5 = (un)protected CO2H, (un)protected amino-lower alkoxycarbonyl, acyl, (un)substituted aryl, heterocyclyloxy; R7 = H, (un)protected carboxy-lower alkyl; n = 0,1], useful for the treatment of the thrombin receptor-mediated diseases, e.g. thrombotic diseases, angina pectoris, heart disorder after implantation of a heart pace maker, valvular heart disease after replacement of an artificial heart vulvae, lung infarction, Raynaud syndrome, nephritis, inflammation, and arteriosclerosis, are prepared Thus, 0.29 mL di-Me butynedioate was added to a suspension of 0.50 g 1-benzoyl-3-phenylthiourea in MeOH and the resulting mixture was refluxed for 3 h to give the title compound (II; R = X = H). II (R = Q, X = Cl) showed IC50 of 2.2 x 10-6 M for inhibiting the blood platelet aggregation of human platelet rich plasma which was induced by thrombin receptor agonist peptide.

IT 173905-79-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolidinone derivs. and analogs as thrombin receptor antagonists)

RN 173905-79-8 CAPLUS
CN Benzamide, 4-chloro-N-[5-(2-naphthalenylmethylene)-4-oxo-3-phenyl-2-thiazolidinylidene]- (CA INDEX NAME)

L4 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1993:528107 CAPLUS
DOCUMENT NUMBER: 119:128107
ORIGINAL REFERENCE NO.: 119:22779a,22779e
TITLE: Azoheterocyclic nonlinear optical material
INVENTOR(S): Kawamonen, Yoshihiro; Mori, Yasuaki
PATENT ASSIGNEE(S): Tokyo Shibaura Electric Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXOAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05062200	A	19930108	JP 1991-248750	19910927
PRIORITY APPLN. INFO.:			JP 1990-256875	A1 19900928

OTHER SOURCE(S): MARPAT 119:128107
GI

AB The material comprises I [X = CR1R2, NR3; Y = O, S; Z = O, S, SO2, NR4; R = (substituted) aromatic hydrocarbon residue, heterocycle, aliphatic or alicyclic hydrocarbon residue, S; R1-4 = H, functional group; R1 and R2 may form ring]. The material shows high second harmonic generation.
IT 149246-09-9P 149246-14-0P
RL: PREP (Preparation)
(preparation of, nonlinear optical material, with high second harmonic generation)
RN 149246-09-9 CAPLUS
CN Acetanilide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-1-methyl-4-oxo-1H-imidazol-2-yl]- (CA INDEX NAME)

RN 149246-14-0 CAPLUS
CN Acetanilide, N-[5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (CA INDEX NAME)

L4 ANSWER 46 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1979:197535 CAPLUS
DOCUMENT NUMBER: 90:197535
ORIGINAL REFERENCE NO.: 90:31286b,31287a
TITLE: Interaction of indolmycin in the metabolism of tryptophan in rat liver
AUTHOR(S): Werner, R. G.; Werner, W.
CORPORATE SOURCE: Abt. Biol. Forsch., Mikrobiol., Dr. Karl Thomae G.m.b.H., Biberach an der Riss, Fed. Rep. Ger.
SOURCE: Arzneimittel-Forschung (1979), 29(1), 59-63
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

AB When compared with other tryptophan analogs, indolmycin (I) [21200-24-8] is a potent inhibitor of tryptophan pyrrolase [9014-51-1] and tryptophan decarboxylase [9042-64-2], both enzymes involved in tryptophan [73-22-3] catabolism. Otherwise the decarboxylation of 5-hydroxytryptophan [56-69-9] is only slightly affected by I. The 7-aminoalkyl-2-methylamino-5-(1-naphthylmethyl)oxazolidin-4-one [70020-61-0] demonstrates only a slightly weaker inhibitory effect in the tryptophan-tRNA-ligase system in E. coli, but does not show any significant action on the tryptophan metabolism in the eukaryotic system.
IT 70020-62-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(tryptophan metabolism by liver response to)
RN 70020-62-1 CAPLUS
CN 4(5H)-Oxazolone, 2-methylamino-5-(2-naphthalenylmethyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN (Continued)

L4 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN
ACCESSION NUMBER: 1976:74185 CAPLUS
DOCUMENT NUMBER: 84:74185
ORIGINAL REFERENCE NO.: 84:12171a,12174a
TITLE: 4-Thiazolidinones. IV. Stereochemistry and reactions of 5-arylidene-4-thiazolidinones
AUTHOR(S): Raouf, A. R. A.; Omar, M. T.; El-Atta1, M. M.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Acta Chimica Academiae Scientiarum Hungaricae (1975), 87(2), 187-93
CODEN: ACASAJ; ISSN: 0001-5407
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 84:74185
GI For diagram(s), see printed CA Issue.
AB Condensation of 4-thiazolidinone with aldehyde gave I (R = 6-bromo-3,4-methylenedioxyphenyl, 6,7,4-Br(MeO)2C6H2, 5,4,3-Br(HO)(MeO)C6H2, Rl = H, Me, Ph; X = O, S). Some E isomers were also obtained. Condensation of I (R = 6-bromo-3,4-methylenedioxyphenyl, 6,3,4-Br(MeO)2C6H2, 5,4,3-Br(HO)(MeO)C6H2 Rl = H, X = S) with piperidine and morpholine gave II (R2 = piperidine, morpholine). III (R = 6-bromo-3,4-methylenedioxyphenyl, 6-bromo-3,4-dimethoxyphenyl were obtained from I and PhCH2NH2. I (R = 6-bromo-3,4-methylenedioxyphenyl, 6,3,4-Br(MeO)2C6H2, Rl = H, X = O) and H2NNH2 gave IV, but I (R = 6,3,4-Br(MeO)2C6H2, Rl = Ph, X = O) and H2NNH2 gave H2NHCOCHPhCONHN:CHCH2R.
IT 58215-71-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 58215-71-7 CAPLUS
CN 4-Thiazolidinone, 5-[(6-bromo-1,3-benzodioxol-5-yl)methylene]-3-methyl-2-[(phenylmethyl)imino]- (CA INDEX NAME)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 48 OF 48 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 1939:57036 CAPLUS

DOCUMENT NUMBER: 33:57036

ORIGINAL REFERENCE NO.: 33:8198c-i,8199a-i,8200a-d

TITLE: The alkyl derivatives of the isomeric o- and p-phenoxyphenylthiazolidones

AUTHOR(S): Roberts, Merritt E.; Dains, F. B.

SOURCE: Univ. Kansas Sci. Bull. (1938), 25, 213-27

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB cf. C. A. 31, 686.2. The effect of the PhO group in both the o- and p-positions has been studied in order to ascertain the influence of this relatively high-mol.-weight radical in 2-arylamino thiazolidones. The stable thiazolidones, S1.C2(NHC6H4OPH):N3.CO4.C5H2, were prepared by (a) heating the thioureas, RNHCSNH2, in alc. with ClCH2CO2Et and (b) heating the ω-chlorophenoxyacetanilides, ClCH2CONHC6H4OPh, with KSCN; modifying the conditions of the latter led to the isolation of the 2-imino or labile form, S1.C2(:NH).N3R.C4O.C5H2. Reduction of p-PhOC6H4NO2, prepared by the Ullman method from PhOK, Cu powder and p-ClC6H4NO2, with Zn and CaCl2 gave p-phenoxyaniline (I), b14 187-9°, m. 83.5°; the latter with PhNCO gave α-p-phenoxyphenyl-β-phenylurea, m. 194°. EtI and I formed p-phenoxyphenylethylamine (II), b32 213-14°, which with PhNCO gave α-p-phenoxyphenyl-α-ethyl-β-phenylurea (III), m. 118°. Treating NH4 p-phenoxyphenyldithiocarbamate with PbNO3 gave 60% p-phenoxyphenyl isothiocyanate, m. 42°, which with excess EtNH2 formed α-p-phenoxyphenyl-β-ethylthiourea (IV), m. 102°, and with PhCH2Cl α-p-phenoxyphenyl-β-benzylthiourea (V), m. 150°. Treating phenoxyphenylbenzylamine, b40 293-305°, prepared from I and PhCH2Cl, with PhNCO gave α-p-phenoxyphenyl-α-benzyl-β-phenylurea, m. 185°. Adding 1.2 mols ClCH2CO2Et to 1 mol I in a mixture of Me2CO and C5H5N (2 mols) gave p-phenoxychloroacetanilide, m. 101°, which upon refluxing for 4 h. with KCNO in alc. gave the stable form, 2-p-phenoxyphenylamino-4-thiazolidone (VI), m. 183.5°, also obtained by the action of ClCH2CO2Et on PhOC6H4NHCSNH2; 5-benzal derivative, m. 241°. Acid hydrolysis of VI, using HCl in AcOH, gave I and 2,4-thiazoledione (VII), m. 125°. Alkylation of the Na salt of VI with EtI gave a mixture of 2-(p-phenoxyphenyl)ethylamino-4-thiazolidone (VIII), m. 108°, and the 3-Et derivative of VI, m. 124°, identical with that prepared from IV, ClCH2CO2Et and C5H5N. The position of the Et group in VIII was determined by alkaline hydrolysis with alc. NaOH, giving p-phenoxyphenylethylcyanamide, m. 72°, accompanied by loss of HSCH2CO2H; for further proof the latter was synthesized from II and CNBr. An independent proof of the constitution of VIII was carried out as follows: the 5-benzal derivative, m. 176°, was hydrolyzed by boiling in strong alc. NaOH, giving 5-benzal-2,4-thiazoledione, m. 240°, and III. Treating the Na salt of VI with PhCH2Cl gave 2-(p-phenoxyphenyl)benzylamino-4-thiazolidone, m. 125-6° (3,4-methylenedioxybenzal derivative, m. 133°), whose constitution was proven by the fact that it differed from its isomer, 2-p-phenoxyphenylimino-3-benzyl-4-thiazolidone, m. 108°, prepared from V and ClCH2CO2Et. Treating the Na salt of VI with BzCl gave orange 2-(p-phenoxyphenyl)benzoylamino-4-thiazolidone, m. 165°, which with 10% HCl in an autoclave was hydrolyzed, giving VII, p-PhOC6H4NH2, and BzOH; that the Bz group is at the 2-position is indicated by analogy to the action of Ac2O on 2-phenylimino-4-thiazolidone (cf. C. A. 32, 3396.4). Boiling diphenoxyphenylthiourea and ClCH2CO2Et in alc.-C5H5N or glacial AcOH yielded 2-p-phenoxyphenylimino-3-phenoxyphenyl-4-thiazolidone, m. 131°; 5-benzal derivative, m. 151°. Refluxing 7 g. ω-chloro-p-phenoxyacetanilide with 3 g. KSCN in absolute alc. gave the corresponding thiocyano-p-phenoxyacetanilide (IX), m. 106-7°; this thiocyanate could be recrystd. without change from 95% alc., provided the concentration was such that it separated out quickly, but if it was of such concentration that all stayed in solution on cooling, it rearranged after 15 h. to the unstable 2-imino-3-p-phenoxyphenyl-4-thiazolidone (X), m. 132-3°.

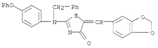
On long standing or boiling in alc. labile X changed to stable VI; under the influence of (CH2)5NH or NaOH in alc., X condensed with BzH, yielding the benzal deriv., m. 174°, which was also obtained from IX and BzH in alc. with (CH2)5NH; the m. p. of this benzal deriv. was lowered by repeated crystn. from alc., due to partial rearrangement to the benzal deriv. of stable VI. X and α-C10H7NCS gave α-(α-naphthyl)-β-2-(3-p-phenoxyphenyl-4-thiazolidone)urea, m. 247°, while the stable isomer VI would not react; 5-benzal deriv. m. 221°. The latter was also obtained from α-C10H7NCS and the unstable benzal deriv. of X. Heating a mixt. of labile X, BzCl and dil. NaOH gave 2-benzoylimino-3-p-phenoxyphenyl-4-thiazolidine, m. 214°; the constitution of the latter was proved by synthesis from ClCH2CO2Et and α-benzoyl-β-p-phenoxyphenylthiourea, m. 128°, which in turn was prepd. from BzNCS and p-PhOC6H4NH2. Refluxing an alc. soln. of o-phenoxyphenylthiourea, m. 124°, prepd. from o-PhOC6H4NH2.HCl and NH4SCN, with ClCH2CO2Et and C5H5N gave the stable 2-o-phenoxyphenylamino-4-thiazolidone (XI), m. 147.5°, while no unstable form was obtained; the Na salt, from C6H6, m. 64°, resolidified and again fused at 236°; 5-benzal deriv. of XI m. 213° and its structure was proved by hydrolysis with 3.5% HCl under pressure to almost quant. yields of o-PhOC6H4NH2 and 5-benzal-2,4-thiazolidone; 5-p-dimethylaminobenzal deriv. of XI m. 230° and was hydrolyzed on boiling with 18% HCl to o-PhOC6H4NH2 and 5-p-dimethylaminobenzal-2,4-thiazoledione, m. 292°. Treating the Na salt of XI with EtI gave only 2-(o-phenoxyphenyl)ethylamino-4-thiazolidone, m. 112°; 5-benzal deriv., orange, m. 210°. Heating ω-chloro-o-phenoxyacetanilide, m. 79°, obtained from o-PhOC6H4NH2 and ClCH2COCl in C5H5N, with KSCN in alc. for 20 min.-3 h. gave only the labile form, 2-imino-3-o-phenoxyphenyl-4-thiazolidone (XII), m. 97.5°, and not the expected thiocyanate as in the case of IX. Dry XII can be heated to 130° for 20 min. and even up to 8 h. without change, while longer than 8 h. gave unidentifiable products. This indicates that the 2-imino derivs., which are the labile type, are more stable in the o-series than in the p-series. With dry HCl gas XII gave a salt, m. 242°, which could not be neutralized to give the original compd., but when heated in glacial AcOH with AcONa and BzH gave the benzal deriv. of the stable form XI. Hydrolysis of XII with dil. acid usually gave o-PhOC6H4NH2, HSCH2CO2H and gummy products. However, more or less complete conversion to the stable form (XI) resulted in the following cases: (a) heating at 15 lb. pressure for 20 min. with 2 cc. HCl and 80 cc. H2O, (b) heating a glacial AcOH soln. for 20 min. at 15 lb. pressure, causing almost quant. rearrangement, (c) cooling rapidly a hot aq. soln. of the acid salt of the unstable form and (d) refluxing a short time with alc. KOH, producing partial rearrangement. Hydrolysis of XII by dissolving in 60 cc. alc. and 10 cc. HCl, refluxing for 2 h., and evapg. gave the HCl salt, m. 129°, of o-phenoxyphenylthiohydantoic acid. XII and α-C10H7NCS formed α-(α-naphthyl)-β-2-(3-o-phenoxyphenyl-4-thiazolidone)urea (XIII), m. 129°, which upon heating in alc. with HCl gave, besides some gummy products, di-α-naphthylurea, and the HCl salt, m. 172°, of the naphthylurea of o-phenoxyphenylthiohydantoic acid. XIII and p-Me2NC6H4CHO gave α-(α-naphthyl) - β - 2 - (3 - o - phenoxyphenyl - 5-p - dimethylaminobenzal-4-thiazolidone)urea, m. 209°, which upon acid hydrolysis gave di-α-naphthylurea and 3-o-phenoxyphenyl-5-p-dimethylaminobenzal-2,4-thiazoledione (XIV), m. 167°. XIII likewise condensed with BzH, giving the benzal deriv., m. 227°, which on heating with 18% HCl under pressure hydrolyzed to di-α-naphthylurea and 3-o-phenoxyphenyl-5-benzal-2,4-thiazoledione, m. 178°. Labile XII and PhNCO yielded α-phenyl-β-2-(3-o-phenoxyphenyl-4-thiazolidone)urea (XV), m. 190°; 5-benzal deriv., m. 242°. Treating XV with 60 cc. alc. and 10 cc. HCl under reflux for a short time gave a compd. m. 139° which has the probable formula PhOC6H4NHC(:NCONHPh)SCH2CO2H.HCl. A mixt. of XII, BzCl and NaOH soln. gave 2-benzoylimino-3-o-phenoxyphenyl-4-thiazolidone (XVI), m. 164°. Treating o-PhOC6H4NH2 with BzNCS gave

α-o-phenoxyphenyl-B-benzoylthiourea, m. 172°, which failed to give XI with ClCH2COCl and hence proof of structure as in the case of the corresponding p-deriv. was not realized. Hydrolysis of XVI with dil. HCl gave the HCl salt of o-phenoxythiohydantoic acid. XVI and p-Me2NC6H4CHO gave 2-benzoylimino-3-o-phenoxyphenyl-5-p-dimethylarninobenzal-4-thiazolidone, m. 233°, which was also obtained by condensing labile XII and p-Me2NC6H4CHO and then reacting with BzCl; hydrolysis in acid soln. eliminated the Bz group and gave XIV.

IT 854477-15-9P, 4(5)-Thiazolone, 2-(N-benzyl-p-phenoxyanilino)-5-piperonylidene-
RL: PREP (Preparation)
(preparation of)

RN 854477-15-9 CAPLUS

CN 4(5H)-Thiazolone, 5-(1,3-benzodioxol-5-ylmethylene)-2-[(4-phenoxyphenyl)(phenylmethyl)amino]- (CA INDEX NAME)



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